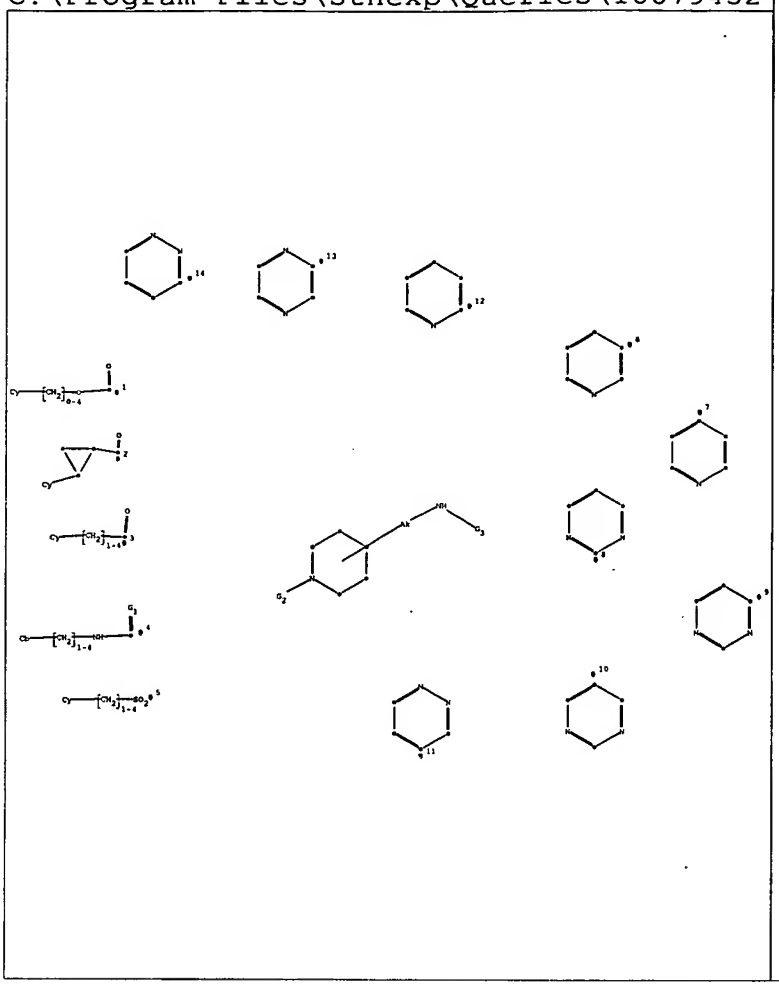
Ref #	Hits	Search Query	DBs	Default Operator	Plurals	Time Stamp
L1	5154	((544/326,328,329,330,331,332) or (514/256,275)).CCLS.	US-PGPUB; USPAT; USOCR; EPO; JPO; DERWENT	OR	OFF	2005/01/21 17:05
L2	10068	((546/194,208,209) or (544/238, 264,336,409) or (514/252.03,255. 05,263.22,318,322,326)).CCLS.	US-PGPUB; USPAT; USOCR; EPO; JPO; DERWENT	OR	OFF	2005/01/21 17:06
L3	2091494	"2004".py. or "2005".py.	US-PGPUB; USPAT; USOCR; EPO; JPO; DERWENT	OR	ON	2005/01/21 17:06
L4	525	1 and 3	US-PGPUB; USPAT; USOCR; EPO; JPO; DERWENT	OR	ON	2005/01/21 17:07
L5	10420	2 or 4	US-PGPUB; USPAT; USOCR; EPO; JPO; DERWENT	OR	ON	2005/01/21 17:07

C:\Program Files\Stnexp\Queries\10079452

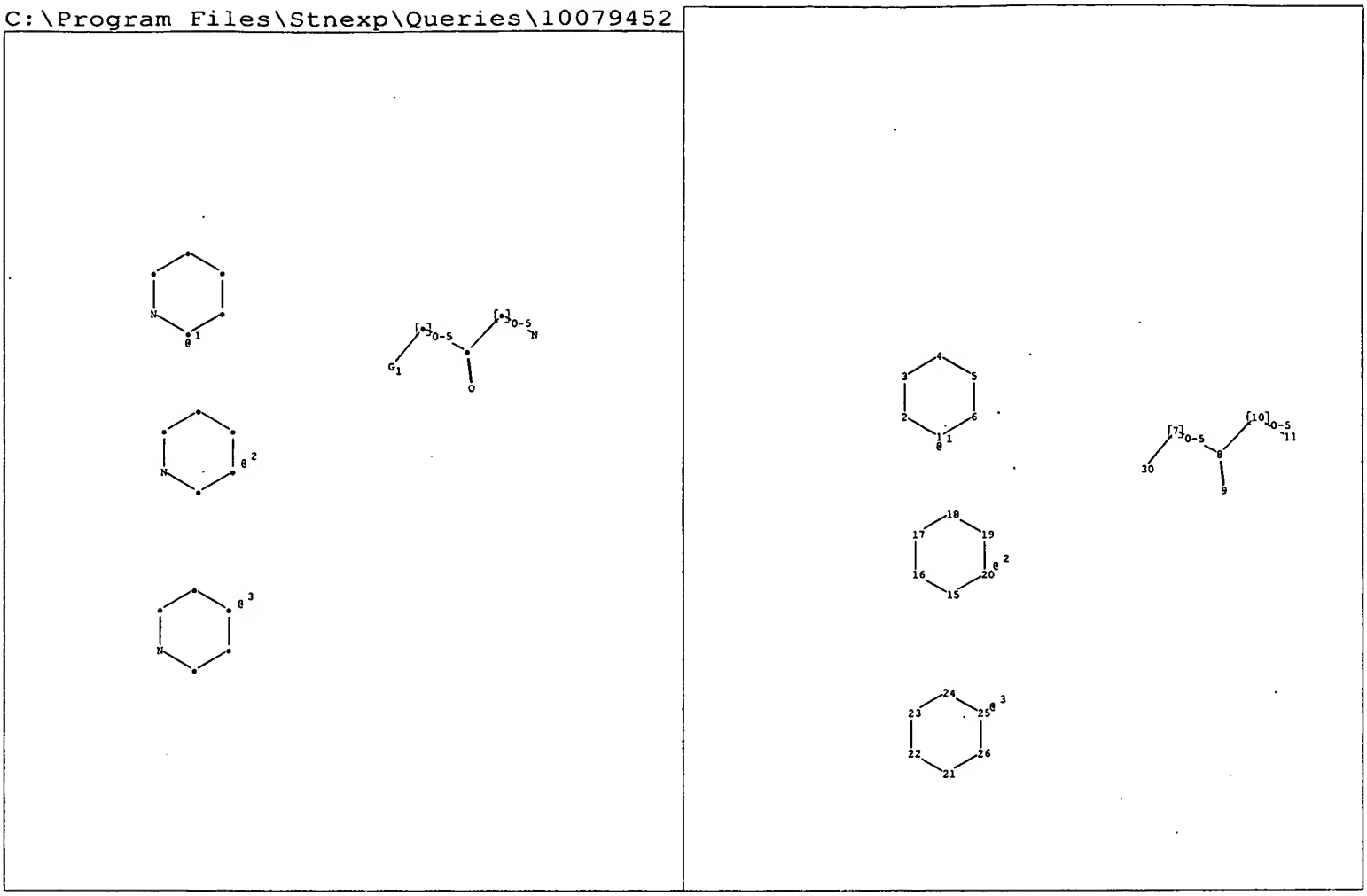


chain nodes :
7 8 9 10 11 14 15 19 20 21 22 23 27 29 30 31 32 35 36 37
46 47 48 116
ring nodes :
1 2 3 4 5 6 16 17 18 50 51 52 53 54 55 56 57 58 59 60
61 62 63 64 65 66 67 68 69 70 71 72 73 74 75 76 77 78 79
80 81 82 83 84 85 86 87 88 89 90 91 92 93 94 95 96 97 98
99 100 101 102 103
chain bonds :
2-46 7-8 7-9 9-10 10-11 14-15 14-18 16-19 20-21 20-22 22-23
27-29 27-30 30-31 31-32 35-36 36-37 47-48 48-116
ring bonds :
1-2 1-6 2-3 3-4 4-5 5-6 16-17 16-18 17-18 50-51 50-55 51-52
52-53 53-54 54-55 56-57 56-61 57-58 58-59 59-60 60-61 62-63 62-67
63-64 64-65 65-66 66-67 68-69 68-73 69-70 70-71 71-72 72-73 74-75
74-79 75-76 76-77 77-78 78-79 80-81 80-85 81-82 82-83 83-84 84-85
86-87 86-91 87-88 88-89 89-90 90-91 92-93 92-97 93-94 94-95 95-96
96-97 98-99 98-103 99-100 100-101 101-102 102-103
exact/norm bonds :
1-2 1-6 2-3 2-46 3-4 4-5 5-6 7-8 7-9 10-11 14-15 16-19 20-21
22-23 27-29 27-30 36-37 47-48 48-116
exact bonds :
9-10 14-18 16-17 16-18 17-18 20-22 30-31 31-32 35-36
normalized bonds :
50-51 50-55 51-52 52-53 53-54 54-55 56-57 56-61 57-58 58-59 59-60
60-61 62-63 62-67 63-64 64-65 65-66 66-67 68-69 68-73 69-70 70-71
71-72 72-73 74-75 74-79 75-76 76-77 77-78 78-79 80-81 80-85 81-82
82-83 83-84 84-85 86-87 86-91 87-88 88-89 89-90 90-91 92-93 92-97
93-94 94-95 95-96 96-97 98-99 98-103 99-100 100-101 101-102
102-103
isolated ring systems :
containing 1 : 16 : 50 : 56 : 62 : 68 : 74 : 80 : 86 : 92 : 98 :

G1:O,N

```
G2:[*1],[*2],[*3],[*4],[*5]

G3:[*6],[*7],[*8],[*9],[*10],[*11],[*12],[*13],[*14]

Match level :
    1:Atom  2:Atom  3:Atom  4:Atom  5:Atom  6:Atom  7:CLASS  8:CLASS  9:CLASS
    10:CLASS  11:Atom  14:CLASS  15:CLASS  16:Atom  17:Atom  18:Atom  19:Atom
    20:CLASS  21:CLASS  22:CLASS  23:Atom  27:CLASS  29:CLASS  30:CLASS
    31:CLASS  32:Atom  35:CLASS  36:CLASS  37:Atom  46:CLASS  47:CLASS
    48:CLASS  50:Atom  51:Atom  52:Atom  53:CLASS  54:Atom  55:CLASS  56:Atom
    57:Atom  58:Atom  59:Atom  60:Atom  61:Atom  62:Atom  63:Atom  64:CLASS
    65:CLASS  66:CLASS  67:Atom  68:Atom  69:Atom  70:Atom  71:Atom  72:Atom
    73:Atom  74:Atom  75:Atom  76:Atom  77:Atom  78:Atom  79:Atom  80:Atom
    81:Atom  82:Atom  83:Atom  84:Atom  85:Atom  86:Atom  87:Atom  88:Atom
    89:Atom  90:Atom  91:Atom  92:Atom  93:Atom  94:Atom  95:Atom  96:Atom
    97:Atom  98:Atom  99:Atom  100:Atom  101:Atom  102:Atom  103:Atom
    114:CLASS  116:CLASS
Generic attributes :
    11:
    Saturation              : Unsaturated
    19:
    Saturation              : Unsaturated
    23:
    Saturation              : Unsaturated
    32:
    Saturation              : Unsaturated
    37:
    Saturation              : Unsaturated

Element Count :
    Node 47: Limited
        C,C1-5
```

C:\Program Files\Stnexp\Queries\10079452



chain nodes :
7 8 9 10 11 30
ring nodes :
1 2 3 4 5 6 15 16 17 18 19 20 21 22 23 24 25 26
chain bonds :
7-8 7-30 8-9 8-10 10-11
ring bonds :
1-2 1-6 2-3 3-4 4-5 5-6 15-16 15-20 16-17 17-18 18-19 19-20
21-22 21-26 22-23 23-24 24-25 25-26
exact/norm bonds :
1-2 1-6 2-3 3-4 4-5 5-6 7-30 8-9 10-11 15-16 15-20 16-17 17-18
18-19 19-20 21-22 21-26 22-23 23-24 24-25 25-26
exact bonds :
7-8 8-10

G1:[*1],[*2],[*3]

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:CLASS 8:CLASS 9:CLASS
10:CLASS 11:CLASS 15:Atom 16:Atom 17:Atom 18:Atom 19:Atom 20:Atom
21:Atom 22:Atom 23:Atom 24:Atom 25:Atom 26:Atom 30:CLASS

=> ....Testing the current file.... screen

ENTER SCREEN EXPRESSION OR (END):end

=> screen 1840

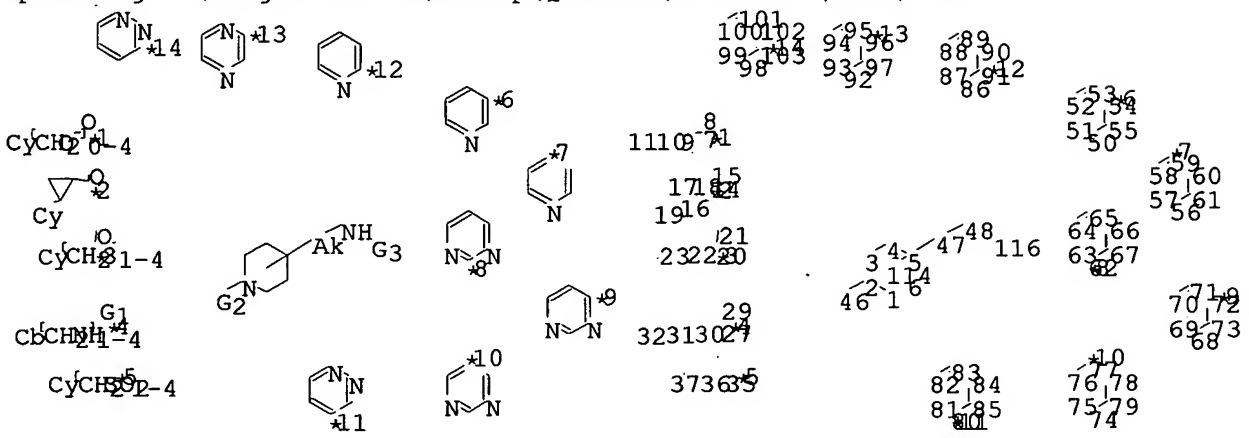
L1 SCREEN CREATED

=> screen 2016 OR 2039 OR 2040 OR 2045 OR 2047

L2 SCREEN CREATED

=>

Uploading C:\Program Files\Stnexp\Queries\10079452 (rce7).str



chain nodes :
7 8 9 10 11 14 15 19 20 21 22 23 27 29 30 31 32 35 36 37 46 47
48 116
ring nodes :
1 2 3 4 5 6 16 17 18 50 51 52 53 54 55 56 57 58 59 60 61 62
63 64 65 66 67 68 69 70 71 72 73 74 75 76 77 78 79 80 81 82 83
84 85 86 87 88 89 90 91 92 93 94 95 96 97 98 99 100 101 102 103

chain bonds :
2-46 7-8 7-9 9-10 10-11 14-15 14-18 16-19 20-21 20-22 22-23 27-29 27-30
30-31 31-32 35-36 36-37 47-48 48-116
ring bonds :
1-2 1-6 2-3 3-4 4-5 5-6 16-17 16-18 17-18 50-51 50-55 51-52 52-53
53-54 54-55 56-57 56-61 57-58 58-59 59-60 60-61 62-63 62-67 63-64 64-65
65-66 66-67 68-69 68-73 69-70 70-71 71-72 72-73 74-75 74-79 75-76 76-77
77-78 78-79 80-81 80-85 81-82 82-83 83-84 84-85 86-87 86-91 87-88 88-89
89-90 90-91 92-93 92-97 93-94 94-95 95-96 96-97 98-99 98-103 99-100
100-101 101-102 102-103
exact/norm bonds :
1-2 1-6 2-3 2-46 3-4 4-5 5-6 7-8 7-9 10-11 14-15 16-19 20-21 22-23
27-29 27-30 36-37 47-48 48-116
exact bonds :
9-10 14-18 16-17 16-18 17-18 20-22 30-31 31-32 35-36
normalized bonds :
50-51 50-55 51-52 52-53 53-54 54-55 56-57 56-61 57-58 58-59 59-60 60-61
62-63 62-67 63-64 64-65 65-66 66-67 68-69 68-73 69-70 70-71 71-72 72-73
74-75 74-79 75-76 76-77 77-78 78-79 80-81 80-85 81-82 82-83 83-84 84-85
86-87 86-91 87-88 88-89 89-90 90-91 92-93 92-97 93-94 94-95 95-96 96-97
98-99 98-103 99-100 100-101 101-102 102-103
isolated ring systems :
containing 1 : 16 : 50 : 56 : 62 : 68 : 74 : 80 : 86 : 92 : 98 :

G1:O,N

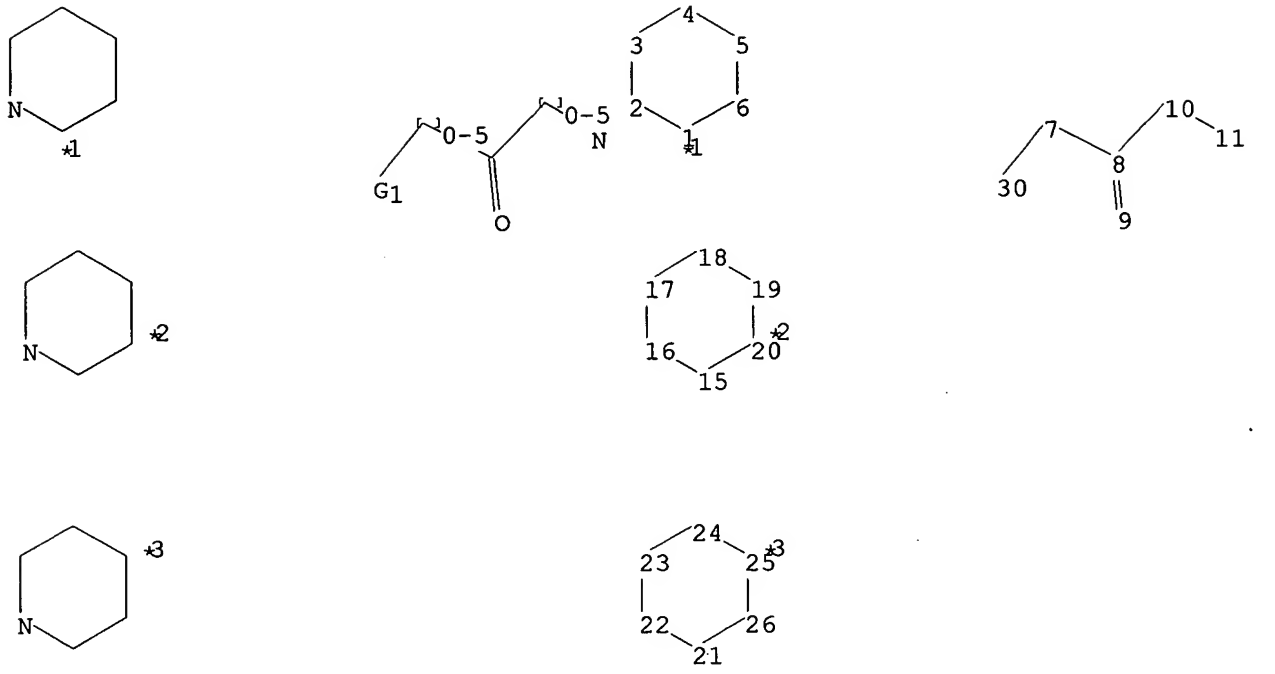
G2:[*1],[*2],[*3],[*4],[*5]

G3:[*6],[*7],[*8],[*9],[*10],[*11],[*12],[*13],[*14]

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:CLASS 8:CLASS 9:CLASS 10:CLASS
11:Atom 14:CLASS 15:CLASS 16:Atom 17:Atom 18:Atom 19:Atom 20:CLASS
21:CLASS 22:CLASS 23:Atom 27:CLASS 29:CLASS 30:CLASS 31:CLASS 32:Atom
35:CLASS 36:CLASS 37:Atom 46:CLASS 47:CLASS 48:CLASS 50:Atom 51:Atom
52:Atom 53:CLASS 54:Atom 55:CLASS 56:Atom 57:Atom 58:Atom 59:Atom 60:Atom
61:Atom 62:Atom 63:Atom 64:CLASS 65:CLASS 66:CLASS 67:Atom 68:Atom 69:Atom
70:Atom 71:Atom 72:Atom 73:Atom 74:Atom 75:Atom 76:Atom 77:Atom 78:Atom
79:Atom 80:Atom 81:Atom 82:Atom 83:Atom 84:Atom 85:Atom 86:Atom 87:Atom
88:Atom 89:Atom 90:Atom 91:Atom 92:Atom 93:Atom 94:Atom 95:Atom 96:Atom
97:Atom 98:Atom 99:Atom 100:Atom 101:Atom 102:Atom 103:Atom 114:CLASS
116:CLASS
Generic attributes :
11:
Saturation : Unsaturated
19:
Saturation : Unsaturated
23:
Saturation : Unsaturated
32:
Saturation : Unsaturated
37:
Saturation : Unsaturated

Element Count :
Node 47: Limited
C,Cl-5

```
L3      STRUCTURE UPLOADED

=> que L3 AND L1 NOT L2

L4   QUE L3 AND L1 NOT L2

=> d l4
L4 HAS NO ANSWERS
L1              SCR 1840
L2              SCR 2016 OR 2039 OR 2040 OR 2045 OR 2047
L3              STR
*** STRUCTURE DIAGRAM IS NOT AVAILABLE ***

Structure attributes must be viewed using STN Express query preparation.
L4              QUE  L3 AND L1 NOT L2


=> s l4 sss sam
SAMPLE SEARCH INITIATED 13:50:04 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED -   3223 TO ITERATE

 31.0% PROCESSED    1000 ITERATIONS                              5 ANSWERS
INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS:  ONLINE  **COMPLETE**
                        BATCH   **COMPLETE**
PROJECTED ITERATIONS:        61056 TO    67864
PROJECTED ANSWERS:              82 TO      562

L5            5 SEA SSS SAM L3 AND L1 NOT L2

=> => s l4 sss ful
FULL SEARCH INITIATED 13:51:47 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED -  62610 TO ITERATE

100.0% PROCESSED   62610 ITERATIONS                          231 ANSWERS
SEARCH TIME: 00.00.02

L6          231 SEA SSS FUL L3 AND L1 NOT L2

=>
Uploading C:\Program Files\Stnexp\Queries\10079452 (rce sub).str
```



chain nodes :
7 8 9 10 11 30
ring nodes :
1 2 3 4 5 6 15 16 17 18 19 20 21 22 23 24 25 26
chain bonds :
7-8 7-30 8-9 8-10 10-11
ring bonds :
1-2 1-6 2-3 3-4 4-5 5-6 15-16 15-20 16-17 17-18 18-19 19-20 21-22
21-26 22-23 23-24 24-25 25-26
exact/norm bonds :
1-2 1-6 2-3 3-4 4-5 5-6 7-30 8-9 10-11 15-16 15-20 16-17 17-18 18-19
19-20 21-22 21-26 22-23 23-24 24-25 25-26
exact bonds :
7-8 8-10

G1:[*1],[*2],[*3]

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:CLASS 8:CLASS 9:CLASS 10:CLASS
11:CLASS 15:Atom 16:Atom 17:Atom 18:Atom 19:Atom 20:Atom 21:Atom 22:Atom
23:Atom 24:Atom 25:Atom 26:Atom 30:CLASS

```
L7      STRUCTURE UPLOADED

=> d l7
L7 HAS NO ANSWERS
L7              STR

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY -  AVAILABLE VIA OFFLINE PRINT *

Structure attributes must be viewed using STN Express query preparation.


=> s l7 sub=l6 sss sam
SAMPLE SUBSET SEARCH INITIATED 13:55:04 FILE 'REGISTRY'
SAMPLE SUBSET SCREEN SEARCH COMPLETED -     16 TO ITERATE

100.0% PROCESSED      16 ITERATIONS                              4 ANSWERS
SEARCH TIME: 00.00.01

PROJECTIONS (WITHIN SPECIFIED SUBSET):           ONLINE  **COMPLETE**
PROJECTED ITERATIONS (WITHIN SPECIFIED SUBSET):          80 TO      560
PROJECTED ANSWERS (WITHIN SPECIFIED SUBSET):              4 TO      200

L8            4 SEA SUB=L6 SSS SAM L7

=> => s l7 sub=l6 sss ful
FULL SUBSET SEARCH INITIATED 13:55:49 FILE 'REGISTRY'
FULL SUBSET SCREEN SEARCH COMPLETED -    230 TO ITERATE

100.0% PROCESSED     230 ITERATIONS                            24 ANSWERS
SEARCH TIME: 00.00.01

L9           24 SEA SUB=L6 SSS FUL L7

=> s l6 not l9
L10        207 L6 NOT L9

=> => s l10
L11           7 L10

=> d l11 1-7 bib,ab,hitstr
```

L11 ANSWER 1 OF 7 CAPLUS COPYRIGHT 2005 ACS on STN
AN 2004:1080888 CAPLUS
DN 142:56340
TI 4-Heteroarylamino-substituted 3-fluoro-piperidines as NMDA/NR2B antagonists, and their preparation, pharmaceutical compositions, and methods of use
IN Liverton, Nigel J.; Claiborne, Christopher F.; Claremon, David A.; McCauley, John A.
PA Merck & Co., Inc., USA
SO PCT Int. Appl., 41 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2004108705	A1	20041216	WO 2004-US17175	20040528

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRAI US 2003-475938P P 20030604

AB Title compds. I and their pharmaceutically acceptable salts are disclosed [wherein: HetAr is a 5- or 6-membered heteroarom. ring containing 1 or 2 N ring atoms, thiazolyl, or thiadiazolyl; HetAr is optionally substituted with 1 or 2 substituents, each substituent independently is C1-4 alkyl, F, Cl, Br, or iodo; A is a bond or C1-2 alkylene; and B is aryl-(CH2)0-3OC(O)-, indanyl-(CH2)0-3OC(O)-, aryl-(CH2)1-3C(O)-, arylcyclopropyl-C(O)-, or aryl-(CH2)1-3NHC(O)-, wherein any aryl is optionally substituted by 1-5 substituents, each substituent is independently C1-4 alkyl, F, or Cl]. I are effective as NMDA NR2B antagonists, useful for treating conditions such as, for example, Parkinson's disease, Alzheimer's disease, migraine, epilepsy and pain. Seven specific examples are claimed, and these plus various salts were prepared For instance, invention compound II was prepared in 8 steps: (1) coupling of CDI with 4-MeC6H4CH2OH and 4-piperidone HCl; (2) α-fluorination of the piperidone carbonyl; (3) Witting reaction of the piperidone carbonyl with Ph3P:CHCO2Et; (4) stereoselective reduction of the resulting olefin to give primarily cis-isomeric ester III; (5) alkaline saponification of the Et ester; (6) conversion of the resulting acid to an amine with diphenylphosphoryl azide; (7) heteroarylation of the amine with 2-chloropyrimidine; and (8) chiral HPLC. In both (1) a cell-based functional assay to determine IC50 for inhibition of NR1A/NR2B receptors in Ltk- cells, and (2) a radioligand binding assay using tritiated AMD-2 (preparation given) to determine Ki, compds. I had values of less than 50 μM, with these values advantageously being even lower than 0.1 μM.

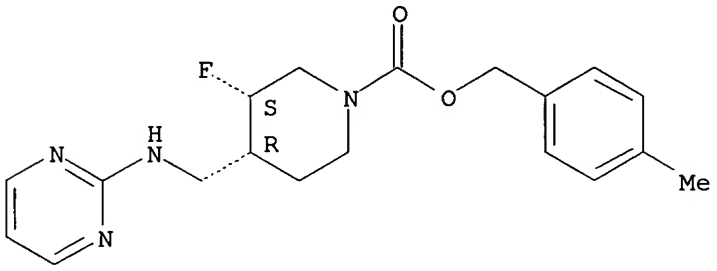
IT **808732-98-1P**, (-)-(3S,4R)-4-Methylbenzyl 3-fluoro-4-[(pyrimidin-2-ylamino)methyl]piperidine-1-carboxylate
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP

(Preparation); RACT (Reactant or reagent); USES (Uses)
(drug candidate; preparation of heteroarylamino-substituted fluoropiperidines as NMDA/NR2B receptor antagonists)

RN 808732-98-1 CAPLUS

CN 1-Piperidinecarboxylic acid, 3-fluoro-4-[(2-pyrimidinylamino)methyl]-, (4-methylphenyl)methyl ester, (3S,4R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

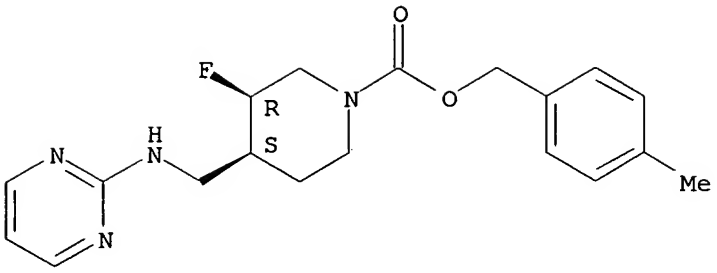


IT **808732-99-2P**, (+)-(3R,4S)-4-Methylbenzyl 3-fluoro-4-[(pyrimidin-2-ylamino)methyl]piperidine-1-carboxylate **808733-00-8P**, (-)-trans-4-Methylbenzyl 3-fluoro-4-[(pyrimidin-2-ylamino)methyl]piperidine-1-carboxylate **808733-01-9P**, (+)-trans-4-Methylbenzyl 3-fluoro-4-[(pyrimidin-2-ylamino)methyl]piperidine-1-carboxylate **808733-02-0P**, (-)-N-[[(3S,4R)-cis-3-Fluoro-1-[((1R,2R)-2-phenylcyclopropyl)carbonyl]piperidin-4-yl]methyl]pyrimidin-2-amine **808733-05-3P**, cis-4-Methylbenzyl 3-fluoro-4-[(pyrimidin-2-ylamino)methyl]piperidine-1-carboxylate **808733-06-4P**, (-)-(3S,4R)-4-Methylbenzyl 3-fluoro-4-[(pyrimidin-2-ylamino)methyl]piperidine-1-carboxylate hydrochloride **808733-07-5P**, (+)-(3R,4S)-4-Methylbenzyl 3-fluoro-4-[(pyrimidin-2-ylamino)methyl]piperidine-1-carboxylate hydrochloride **808733-08-6P**, (-)-trans-4-Methylbenzyl 3-fluoro-4-[(pyrimidin-2-ylamino)methyl]piperidine-1-carboxylate hydrochloride **808733-09-7P**, (+)-trans-4-Methylbenzyl 3-fluoro-4-[(pyrimidin-2-ylamino)methyl]piperidine-1-carboxylate hydrochloride **808733-10-0P**, (-)-N-[[(3S,4R)-cis-3-Fluoro-1-[((1R,2R)-2-phenylcyclopropyl)carbonyl]piperidin-4-yl]methyl]pyrimidin-2-amine hydrochloride
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; preparation of heteroarylamino-substituted fluoropiperidines as NMDA/NR2B receptor antagonists)

RN 808732-99-2 CAPLUS

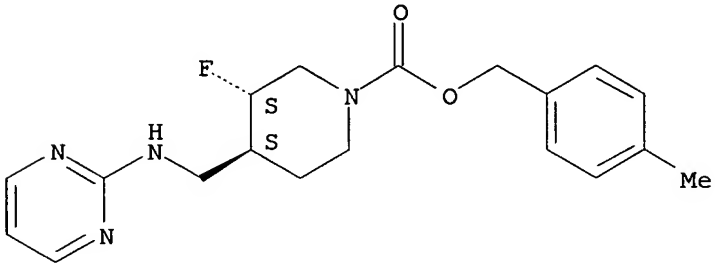
CN 1-Piperidinecarboxylic acid, 3-fluoro-4-[(2-pyrimidinylamino)methyl]-, (4-methylphenyl)methyl ester, (3R,4S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



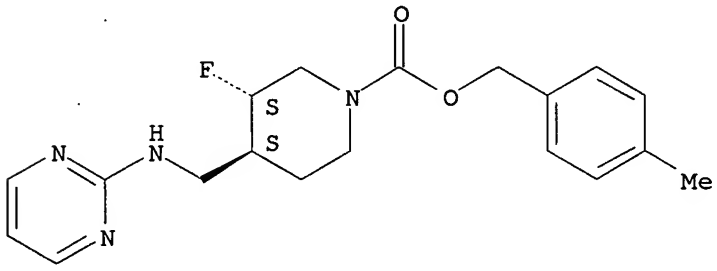
RN 808733-00-8 CAPLUS
CN 1-Piperidinecarboxylic acid, 3-fluoro-4-[(2-pyrimidinylamino)methyl]-, (4-methylphenyl)methyl ester, (3R,4R)-rel-(-)- (9CI) (CA INDEX NAME)

Rotation (-). Absolute stereochemistry unknown.



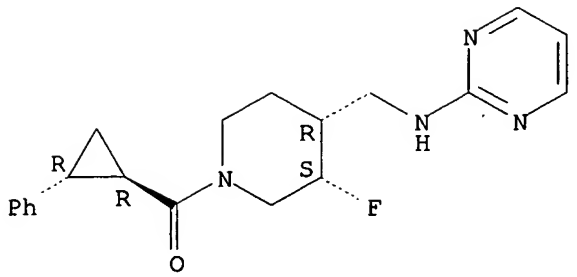
RN 808733-01-9 CAPLUS
CN 1-Piperidinecarboxylic acid, 3-fluoro-4-[(2-pyrimidinylamino)methyl]-, (4-methylphenyl)methyl ester, (3R,4R)-rel-(+)- (9CI) (CA INDEX NAME)

Rotation (+). Absolute stereochemistry unknown.



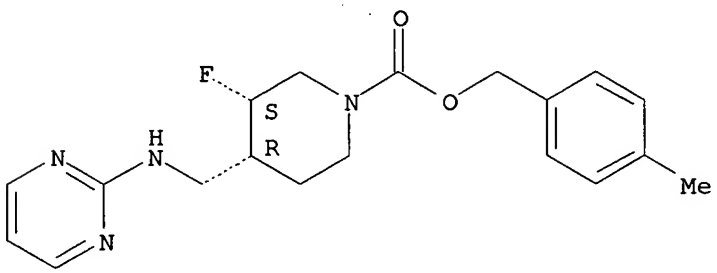
RN 808733-02-0 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

Absolute stereochemistry. Rotation (-).



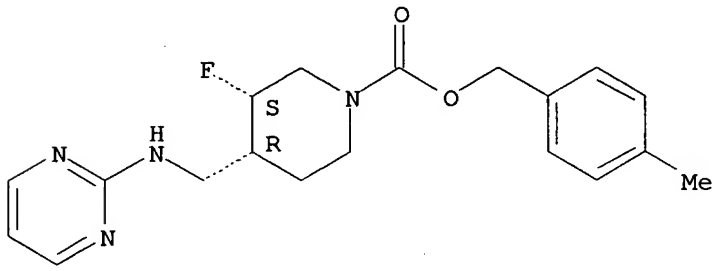
RN 808733-05-3 CAPLUS
CN 1-Piperidinecarboxylic acid, 3-fluoro-4-[(2-pyrimidinylamino)methyl]-, (4-methylphenyl)methyl ester, (3R,4S)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



RN 808733-06-4 CAPLUS
CN 1-Piperidinecarboxylic acid, 3-fluoro-4-[(2-pyrimidinylamino)methyl]-, (4-methylphenyl)methyl ester, monohydrochloride, (3S,4R)- (9CI) (CA INDEX NAME)

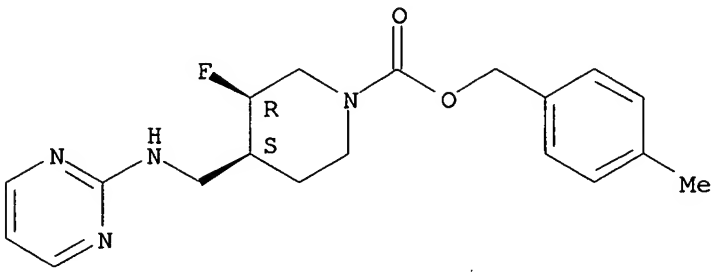
Absolute stereochemistry. Rotation (-).



● HCl

RN 808733-07-5 CAPLUS
CN 1-Piperidinecarboxylic acid, 3-fluoro-4-[(2-pyrimidinylamino)methyl]-, (4-methylphenyl)methyl ester, monohydrochloride, (3R,4S)- (9CI) (CA INDEX NAME)

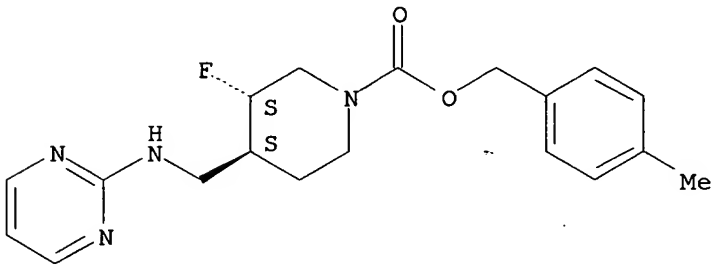
Absolute stereochemistry. Rotation (+).



● HCl

RN 808733-08-6 CAPLUS
CN 1-Piperidinecarboxylic acid, 3-fluoro-4-[(2-pyrimidinylamino)methyl]-, (4-methylphenyl)methyl ester, monohydrochloride, (3R,4R)-rel-(-)- (9CI) (CA INDEX NAME)

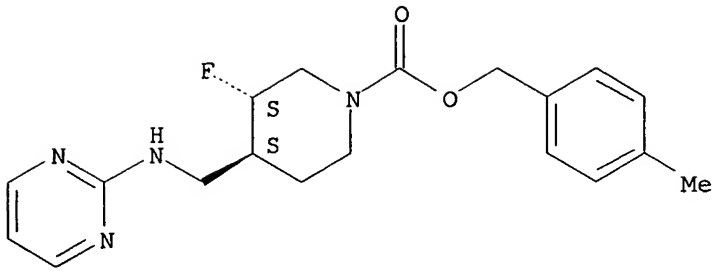
Rotation (-). Absolute stereochemistry unknown.



● HCl

RN 808733-09-7 CAPLUS
CN 1-Piperidinecarboxylic acid, 3-fluoro-4-[(2-pyrimidinylamino)methyl]-, (4-methylphenyl)methyl ester, monohydrochloride, (3R,4R)-rel-(+)- (9CI) (CA INDEX NAME)

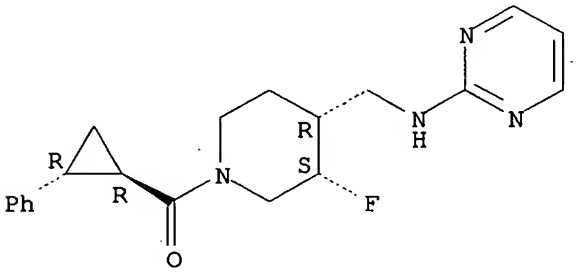
Rotation (+). Absolute stereochemistry unknown.



● HCl

RN 808733-10-0 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

Absolute stereochemistry. Rotation (-).



● HCl

RE.CNT 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 2 OF 7 CAPLUS COPYRIGHT 2005 ACS on STN
AN 2004:412925 CAPLUS
DN 141:7135
TI Preparation of N-(arylacetyl) cyclic amine derivatives as orexin antagonists
IN Chan, Wai Ngor; Nash, David John; Porter, Roderick Alan; Stead, Rachel Elizabeth Anne
PA Glaxo Group Limited, UK
SO PCT Int. Appl., 25 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

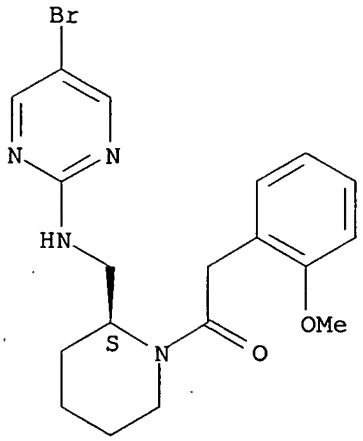
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2004041791	A1	20040521	WO 2003-EP12407	20031104

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRAI GB 2002-25944 A 20021106
OS MARPAT 141:7135
AB The title compds. [I; Y = a bond, O, NQ, (CH2)n (wherein n = 1-3); m = 0-1; X = NR (R = H, alkyl); Q = H, alkyl; Ar1 = (un)substituted aryl, mono or bicyclic heteroaryl group containing up to 4 heteroatoms selected from N, O and S; Ar2 = (un)substituted Ph, 5-6 membered heterocyclyl group containing up to 4 heteroatoms selected from N, O and S, or substituted bicyclic aromatic or bicyclic heteroarom. group containing up to 4 heteroatoms selected from N, O and S; R1, R2 = H, (un)substituted amino, alkyl or Ph] which are non-peptide antagonists of human orexin receptors, in particular orexin-1 receptors, were prepared Thus, reacting 2-methoxyphenylacetic acid with (S)-2-[(6,7-difluoroquinoxalin-2-ylamino)methyl]piperidine afforded 70% 1-{(S)-2-[(6,7-difluoroquinoxalin-2-ylamino)methyl]piperidin-1-yl}-2-(2-methoxyphenyl)ethanone. The exemplified compds. I showed pKb values in the range 6.8 to 8.9 at the human cloned orexin-1 receptor, and pKb values in the range < 6.7 to 8.2 at the human cloned orexin-2 receptor. In particular, the compds. I are of potential use in the treatment of obesity, including obesity observed in Type 2 (non-insulin-dependent) diabetes patients, and/or sleep disorders. Addnl. the compds. I are useful in the treatment of stroke, particularly ischemic or hemorrhagic stroke, and/or blocking the emetic response, i.e. useful in the treatment of nausea and vomiting. The pharmaceutical composition comprising the compound I is claimed.

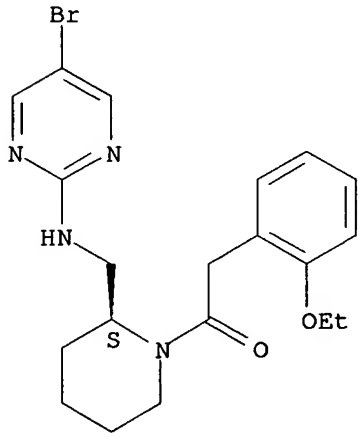
IT **691372-59-5P 691372-60-8P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of N-(arylacetyl) cyclic amines as orexin antagonists)
RN 691372-59-5 CAPLUS
CN 2-Piperidinemethanamine, N-(5-bromo-2-pyrimidinyl)-1-[(2-methoxyphenyl)acetyl]-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 691372-60-8 CAPLUS
CN 2-Piperidinemethanamine, N-(5-bromo-2-pyrimidinyl)-1-[(2-ethoxyphenyl)acetyl]-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



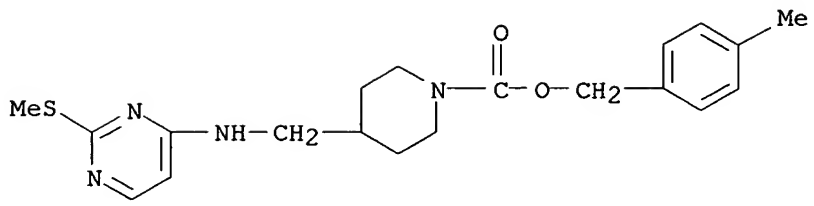
L11 ANSWER 3 OF 7 CAPLUS COPYRIGHT 2005 ACS on STN
AN 2002:964146 CAPLUS
DN 138:39187
TI Preparation of piperidinecarboxylates and related compounds as NMDA NR2B receptor antagonists for the treatment or prevention of migraine.
IN Allen, Christopher; Koblan, Ken S.; Sleeth, Timothy
PA Merck & Co., Inc., USA
SO PCT Int. Appl., 185 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2002100352	A2	20021219	WO 2002-US21069	20020607
	WO 2002100352	A3	20030327		
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW				
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	EP 1399160	A2	20040324	EP 2002-744807	20020607
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
	JP 2004537526	T2	20041216	JP 2003-503178	20020607
	US 2004204341	A1	20041014	US 2003-479923	20031205
PRAI	US 2001-297672P	P	20010612		
	WO 2002-US21069	W	20020607		

AB A method for treating or preventing migraines comprises administration of an NR2B receptor antagonist (no data). The invention also encompasses the combination of an NR2B antagonist with a cyclooxygenase-2 selective inhibitor, a calcitonin gene-related peptide receptor (CGRP) ligand, a leukotriene receptor antagonist, or a 5HT1B/1D agonist for the treatment or prevention of migraines. Thus, 4-hydroxybenzoic acid, 1-hydroxybenzotriazole hydrate, benzyl 4-(aminomethyl)piperidine-1-carboxylate (preparation given), and Et3N in DMF were treated with 1-ethyl-3-(3-dimethylaminopropyl)carbodiimide hydrochloride and the mixture allowed to stir at room temperature for 18 h to give 4-[(4-hydroxybenzoylamino)methyl]piperidine-1-carboxylic acid benzyl ester.

IT **455265-37-9P**
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(preparation of piperidinecarboxylates and related compds. as NR2B receptor antagonists for the treatment or prevention of migraine)

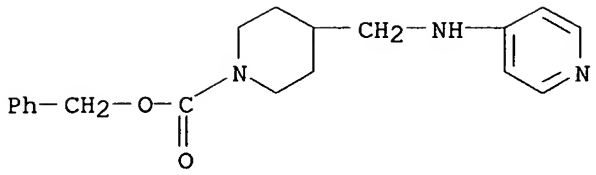
RN 455265-37-9 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[[[2-(methylthio)-4-pyrimidinyl]amino]methyl]-, (4-methylphenyl)methyl ester (9CI) (CA INDEX NAME)



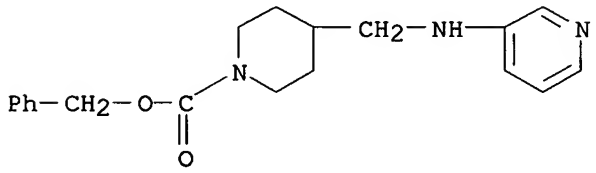
IT **455265-19-7P**, Benzyl 4-[(4-pyridinylamino)methyl]-1-piperidinecarboxylate **455265-20-0P**, Benzyl 4-[[(3-pyridinyl)amino]methyl]-1-piperidinecarboxylate **455265-24-4P** **455265-25-5P**, 4-[(3-Methylpyridin-4-ylamino)methyl]piperidine-1-carboxylic acid benzyl ester **455265-27-7P**, Benzyl 4-[[(4-methyl-2-pyridinyl)amino]methyl]-1-piperidinecarboxylate **455265-30-2P** **455265-31-3P** **455265-32-4P**, Benzyl 4-[[(2-pyridinyl)amino]methyl]-1-piperidinecarboxylate **455265-33-5P**, Benzyl 4-[[(4-ethyl-2-pyridinyl)amino]methyl]-1-piperidinecarboxylate **455265-34-6P**, Benzyl 4-[[(1-oxido-4-pyridinyl)amino]methyl]-1-piperidinecarboxylate **455265-35-7P** **455265-36-8P** **455265-38-0P** **455265-39-1P** **455265-40-4P** **455265-41-5P** **455265-42-6P** **455265-44-8P** **455265-45-9P** **455265-48-2P** **455265-49-3P** **455265-51-7P** **455265-52-8P** **455265-54-0P** **455265-55-1P** **455265-56-2P** **455265-57-3P** **455265-58-4P** **455265-59-5P** **455265-60-8P** **455265-61-9P** **455265-62-0P** **455265-63-1P** **455265-64-2P** **455265-66-4P** **455265-67-5P** **455265-68-6P** **455265-69-7P** **455265-70-0P** **455265-71-1P** **455265-73-3P** **455265-74-4P** **455265-75-5P** **455265-76-6P** **455265-77-7P** **455265-78-8P** **455265-79-9P** **455265-80-2P** **455265-81-3P** **455265-82-4P** **455265-83-5P** **455265-84-6P** **455265-85-7P** **455265-86-8P** **455265-88-0P** **455265-89-1P** **455265-90-4P** **455265-91-5P** **455265-94-8P** **455265-95-9P** **455265-98-2P** **455265-99-3P** **455266-00-9P** **455266-01-0P** **455266-03-2P** **455266-04-3P** **455266-05-4P** **455266-06-5P** **455266-07-6P** **455266-08-7P** **455266-11-2P** **455266-12-3P** **455266-14-5P** **455266-15-6P** **455266-22-5P** **455266-25-8P** **455266-26-9P** **455266-28-1P** **455266-29-2P** **455266-98-5P** **455267-18-2P** **455267-73-9P** **455267-78-4P** **455267-93-3P** **455267-94-4P** **455267-96-6P** **455268-07-2P** **455290-06-9P**, Benzyl 4-[[(5-methyl-2-pyridinyl)amino]methyl]-1-piperidinecarboxylate **455290-15-0P** **478552-71-5P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of piperidinecarboxylates and related compds. as NR2B receptor antagonists for the treatment or prevention of migraine)

RN 455265-19-7 CAPLUS

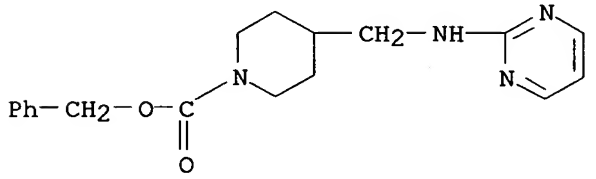
CN 1-Piperidinecarboxylic acid, 4-[(4-pyridinylamino)methyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)



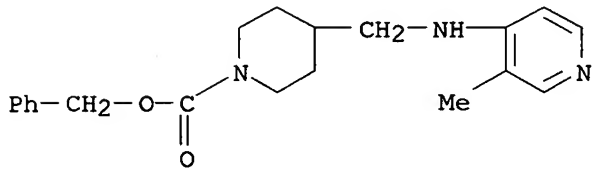
RN 455265-20-0 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[(3-pyridinylamino)methyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)



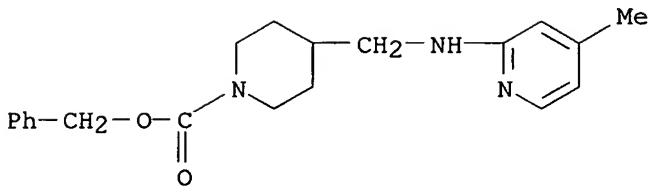
RN 455265-24-4 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[(2-pyrimidinylamino)methyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)



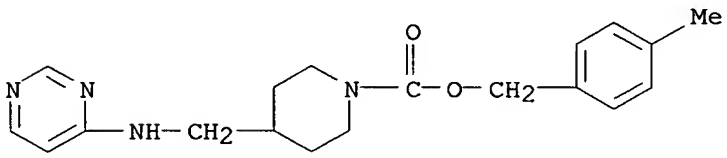
RN 455265-25-5 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[[(3-methyl-4-pyridinyl)amino]methyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)



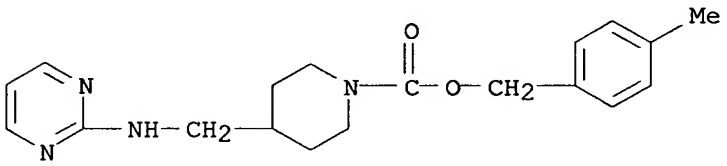
RN 455265-27-7 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[[(4-methyl-2-pyridinyl)amino]methyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)



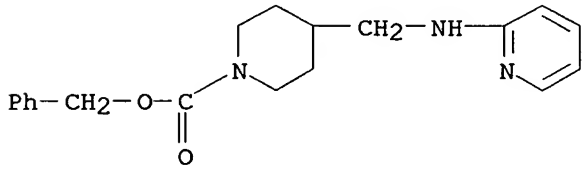
RN 455265-30-2 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[(4-pyrimidinylamino)methyl]-, (4-methylphenyl)methyl ester (9CI) (CA INDEX NAME)



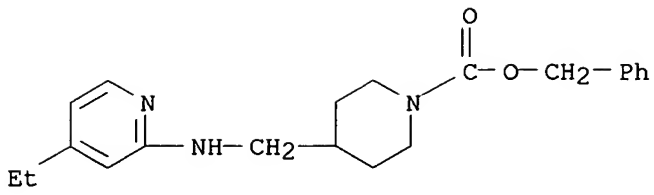
RN 455265-31-3 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[(2-pyrimidinylamino)methyl]-, (4-methylphenyl)methyl ester (9CI) (CA INDEX NAME)



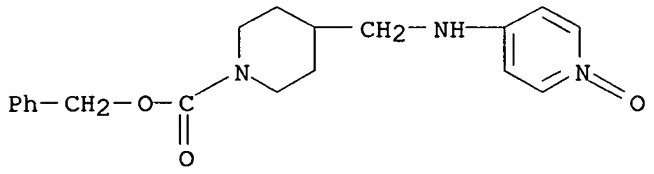
RN 455265-32-4 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[(2-pyridinylamino)methyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)



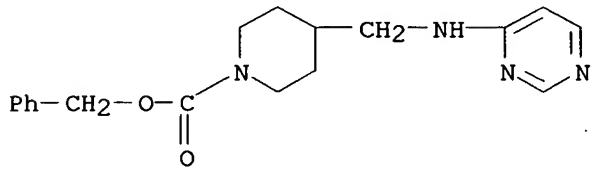
RN 455265-33-5 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[[(4-ethyl-2-pyridinyl)amino]methyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)



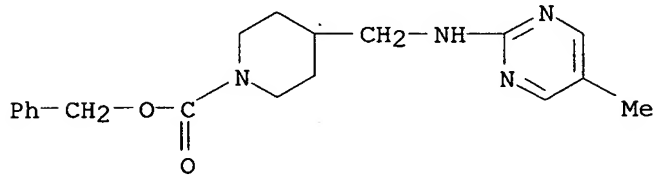
RN 455265-34-6 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[[(1-oxido-4-pyridinyl)amino]methyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)



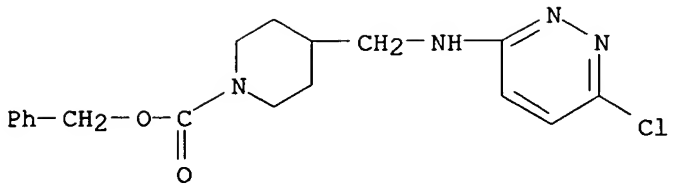
RN 455265-35-7 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[(4-pyrimidinylamino)methyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)



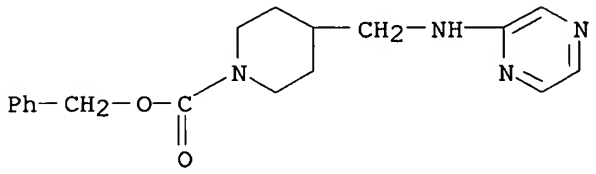
RN 455265-36-8 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[[(5-methyl-2-pyrimidinyl)amino]methyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)



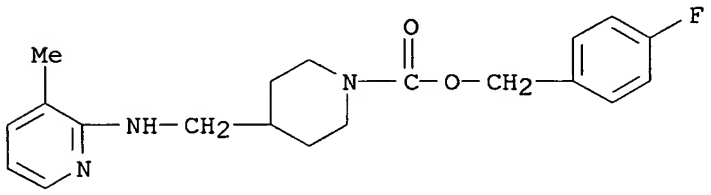
RN 455265-38-0 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[[(6-chloro-3-pyridazinyl)amino]methyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)



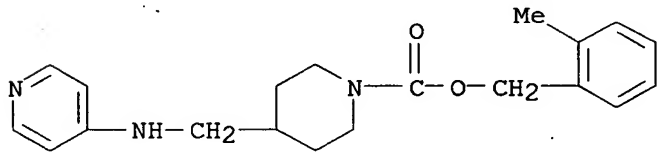
RN 455265-39-1 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[(pyrazinylamino)methyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)



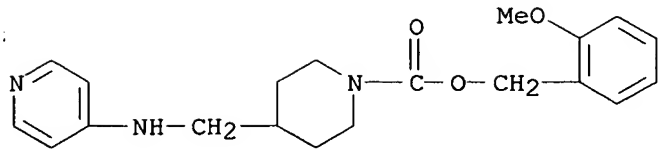
RN 455265-40-4 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[[(3-methyl-2-pyridinyl)amino]methyl]-, (4-fluorophenyl)methyl ester (9CI) (CA INDEX NAME)



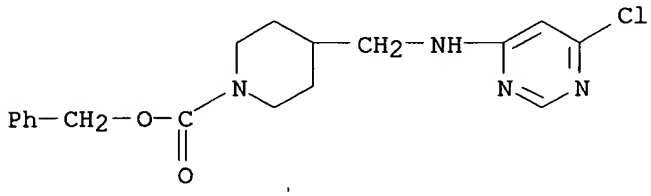
RN 455265-41-5 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[(4-pyridinylamino)methyl]-, (2-methylphenyl)methyl ester (9CI) (CA INDEX NAME)



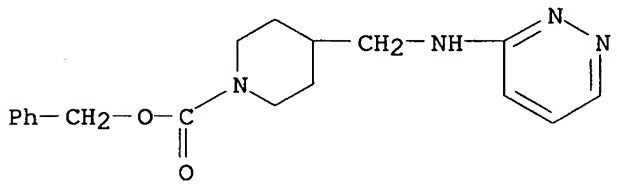
RN 455265-42-6 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[(4-pyridinylamino)methyl]-, (2-methoxyphenyl)methyl ester (9CI) (CA INDEX NAME)



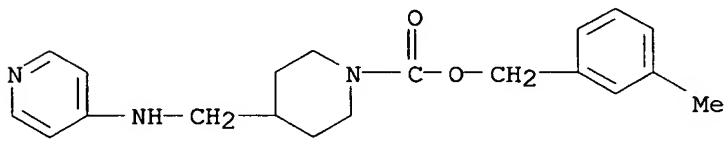
RN 455265-44-8 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[[(6-chloro-4-pyrimidinyl)amino]methyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)



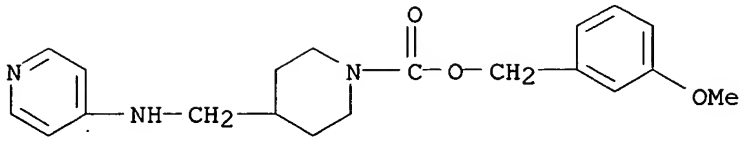
RN 455265-45-9 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[(3-pyridazinylamino)methyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)



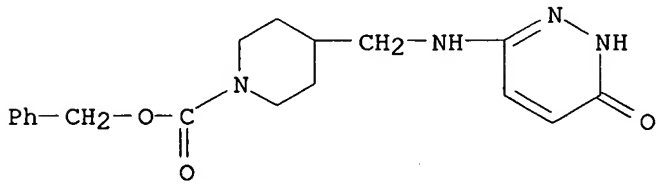
RN 455265-48-2 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[(4-pyridinylamino)methyl]-, (3-methylphenyl)methyl ester (9CI) (CA INDEX NAME)



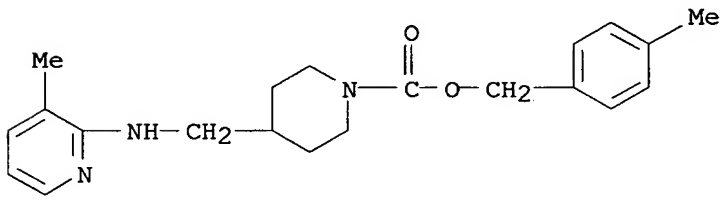
RN 455265-49-3 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[(4-pyridinylamino)methyl]-, (3-methoxyphenyl)methyl ester (9CI) (CA INDEX NAME)



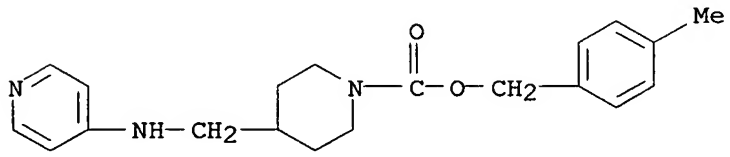
RN 455265-51-7 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[[(1,6-dihydro-6-oxo-3-pyridazinyl)amino]methyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)



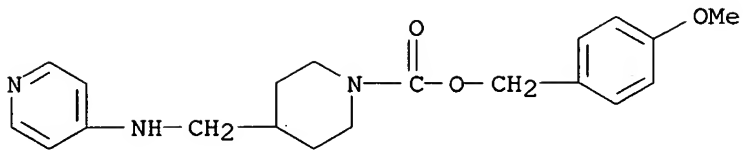
RN 455265-52-8 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[[(3-methyl-2-pyridinyl)amino]methyl]-, (4-methylphenyl)methyl ester (9CI) (CA INDEX NAME)



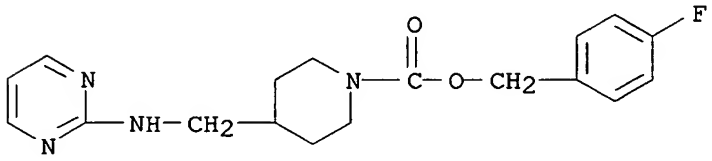
RN 455265-54-0 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[(4-pyridinylamino)methyl]-, (4-methylphenyl)methyl ester (9CI) (CA INDEX NAME)



RN 455265-55-1 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[(4-pyridinylamino)methyl]-, (4-methoxyphenyl)methyl ester (9CI) (CA INDEX NAME)

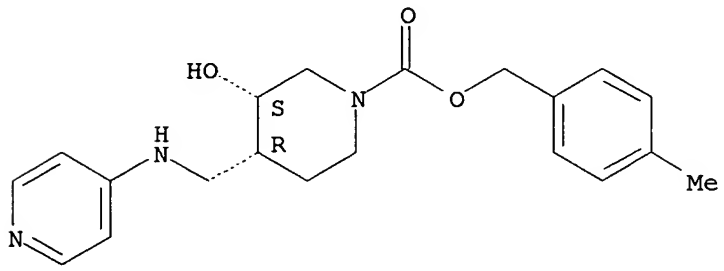


RN 455265-56-2 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[(2-pyrimidinylamino)methyl]-, (4-fluorophenyl)methyl ester (9CI) (CA INDEX NAME)

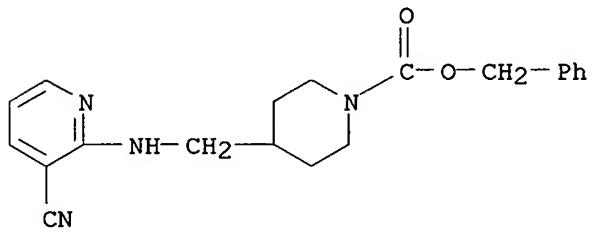


RN 455265-57-3 CAPLUS
CN 1-Piperidinecarboxylic acid, 3-hydroxy-4-[(4-pyridinylamino)methyl]-, (4-methylphenyl)methyl ester, (3R,4S)-rel- (9CI) (CA INDEX NAME)

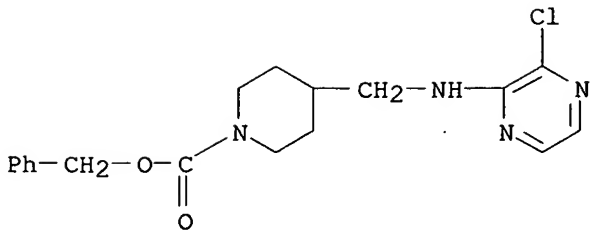
Relative stereochemistry.



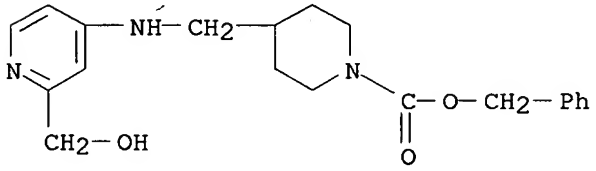
RN 455265-58-4 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[[(3-cyano-2-pyridinyl)amino]methyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)



RN 455265-59-5 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[[(3-chloropyrazinyl)amino]methyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

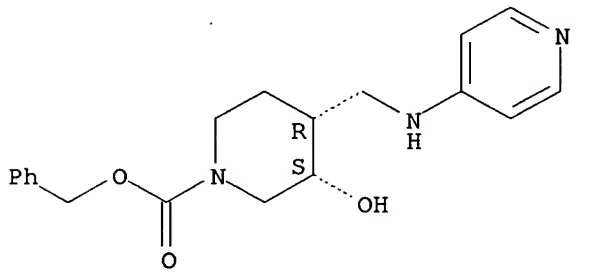


RN 455265-60-8 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[[[2-(hydroxymethyl)-4-pyridinyl]amino]methyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)



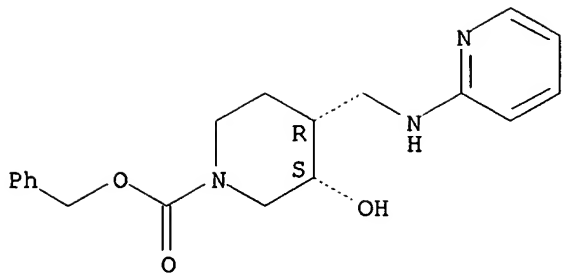
RN 455265-61-9 CAPLUS
CN 1-Piperidinecarboxylic acid, 3-hydroxy-4-[(4-pyridinylamino)methyl]-, phenylmethyl ester, (3R,4S)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

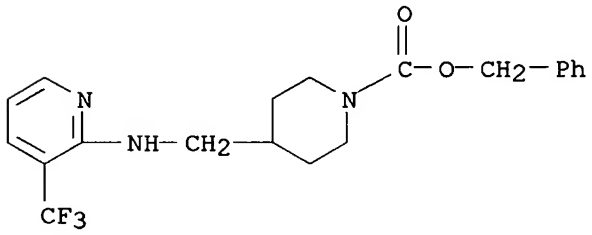


RN 455265-62-0 CAPLUS
CN 1-Piperidinecarboxylic acid, 3-hydroxy-4-[(2-pyridinylamino)methyl]-, phenylmethyl ester, (3R,4S)-rel- (9CI) (CA INDEX NAME)

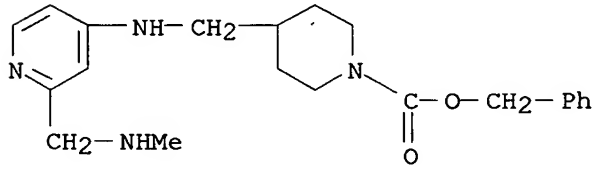
Relative stereochemistry.



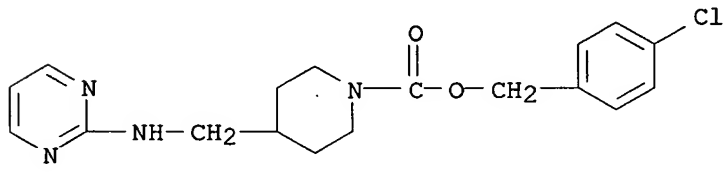
RN 455265-63-1 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[[[3-(trifluoromethyl)-2-pyridinyl]amino]methyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)



RN 455265-64-2 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[[[2-[(methylamino)methyl]-4-pyridinyl]amino]methyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

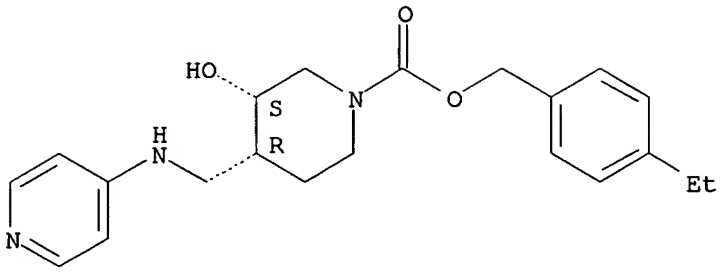


RN 455265-66-4 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[(2-pyrimidinylamino)methyl]-, (4-chlorophenyl)methyl ester (9CI) (CA INDEX NAME)

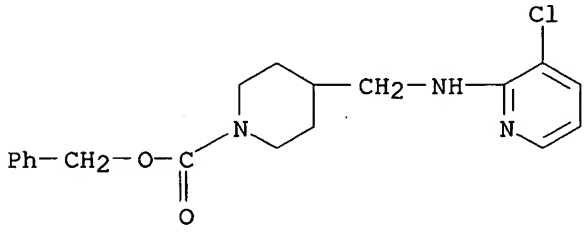


RN 455265-67-5 CAPLUS
CN 1-Piperidinecarboxylic acid, 3-hydroxy-4-[(4-pyridinylamino)methyl]-, (4-ethylphenyl)methyl ester, (3R,4S)-rel- (9CI) (CA INDEX NAME)

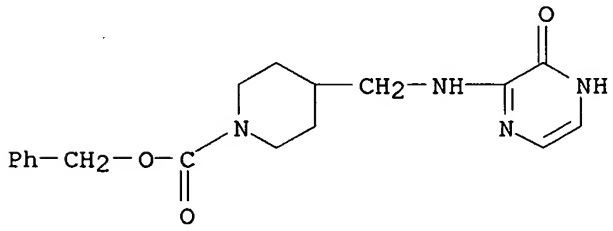
Relative stereochemistry.



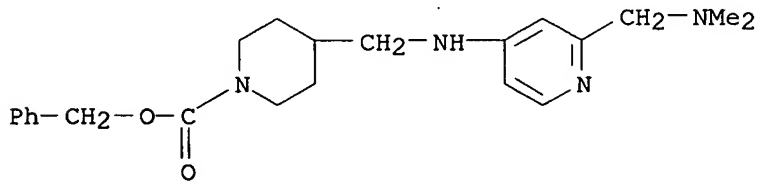
RN 455265-68-6 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[[(3-chloro-2-pyridinyl)amino]methyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)



RN 455265-69-7 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[[(3,4-dihydro-3-oxopyrazinyl)amino]methyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

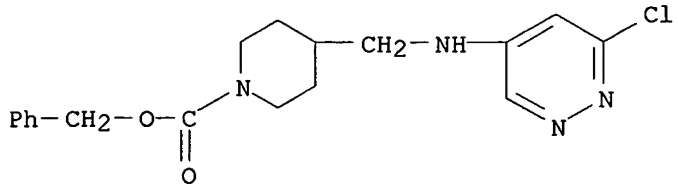


RN 455265-70-0 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[[[2-[(dimethylamino)methyl]-4-pyridinyl]amino]methyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

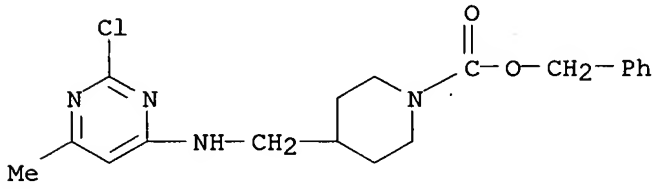


RN 455265-71-1 CAPLUS

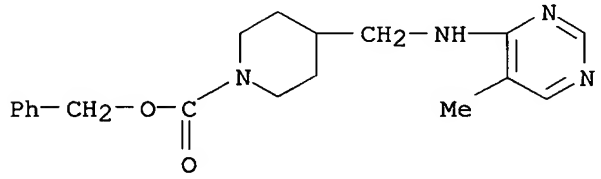
CN 1-Piperidinecarboxylic acid, 4-[[(6-chloro-4-pyridazinyl)amino]methyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)



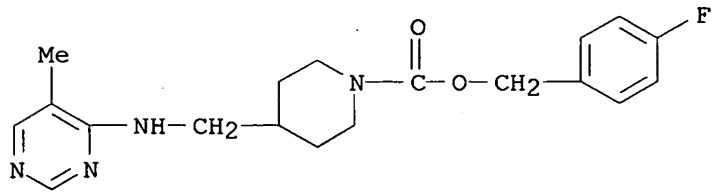
RN 455265-73-3 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[[(2-chloro-6-methyl-4-pyrimidinyl)amino]methyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)



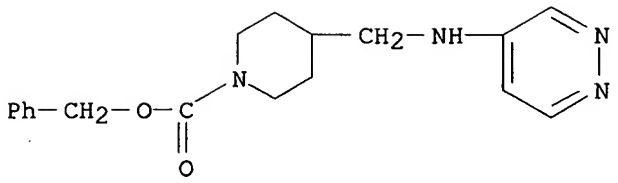
RN 455265-74-4 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[[(5-methyl-4-pyrimidinyl)amino]methyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)



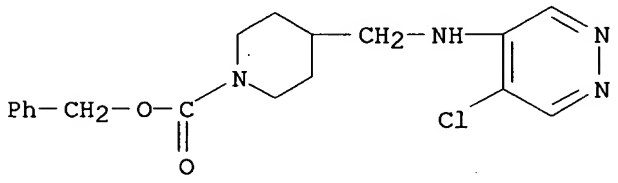
RN 455265-75-5 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[[(5-methyl-4-pyrimidinyl)amino]methyl]-, (4-fluorophenyl)methyl ester (9CI) (CA INDEX NAME)



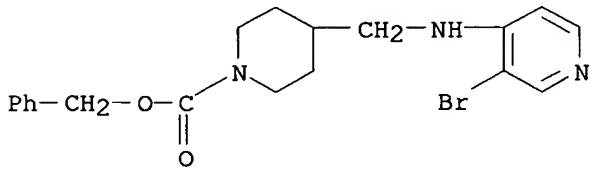
RN 455265-76-6 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[(4-pyridazinylamino)methyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)



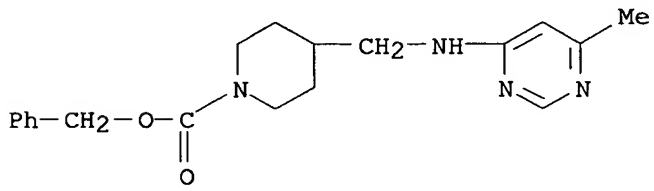
RN 455265-77-7 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[[(5-chloro-4-pyridazinyl)amino]methyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)



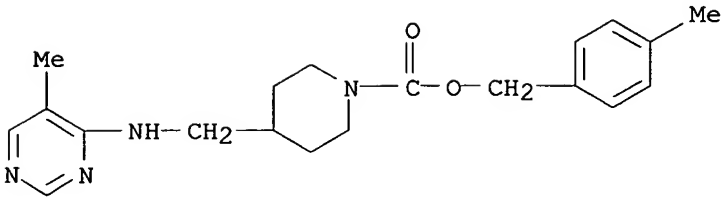
RN 455265-78-8 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[[(3-bromo-4-pyridinyl)amino]methyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)



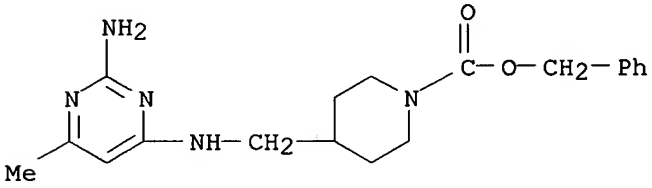
RN 455265-79-9 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[[(6-methyl-4-pyrimidinyl)amino]methyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)



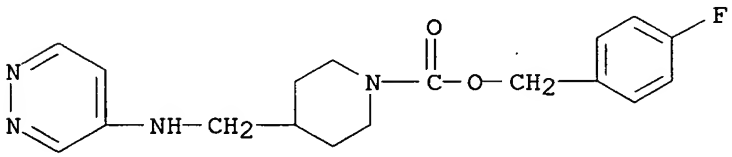
RN 455265-80-2 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[[(5-methyl-4-pyrimidinyl)amino]methyl]-, (4-methylphenyl)methyl ester (9CI) (CA INDEX NAME)



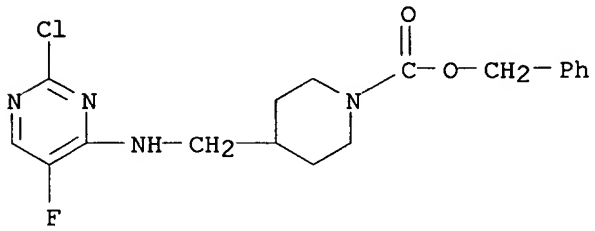
RN 455265-81-3 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[[(2-amino-6-methyl-4-pyrimidinyl)amino]methyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)



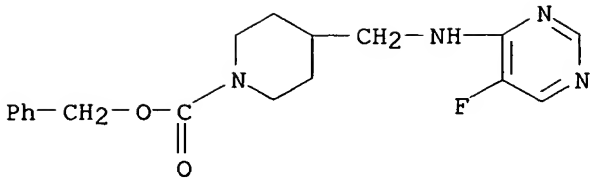
RN 455265-82-4 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[(4-pyridazinylamino)methyl]-, (4-fluorophenyl)methyl ester (9CI) (CA INDEX NAME)



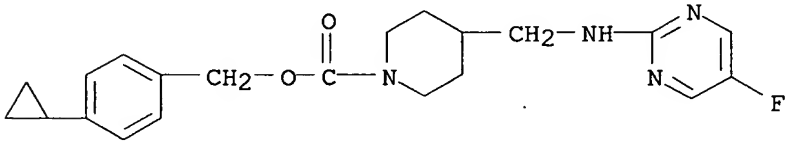
RN 455265-83-5 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[[(2-chloro-5-fluoro-4-pyrimidinyl)amino]methyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)



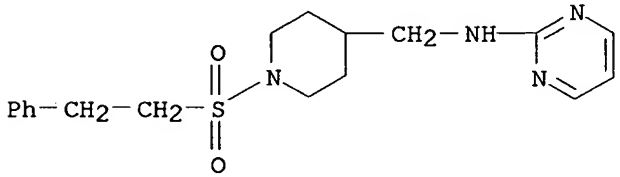
RN 455265-84-6 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[[(5-fluoro-4-pyrimidinyl)amino]methyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)



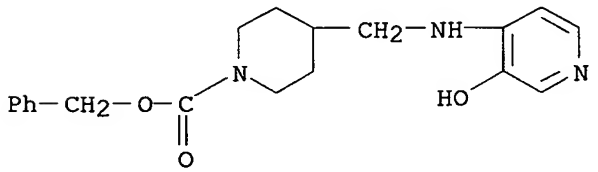
RN 455265-85-7 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[[(5-fluoro-2-pyrimidinyl)amino]methyl]-, (4-cyclopropylphenyl)methyl ester (9CI) (CA INDEX NAME)



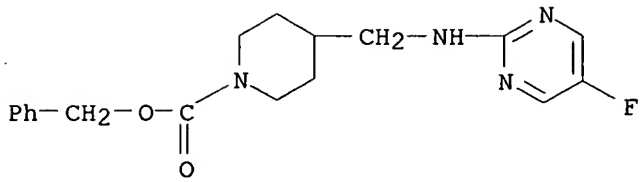
RN 455265-86-8 CAPLUS
CN 4-Piperidinemethanamine, 1-[(2-phenylethyl)sulfonyl]-N-2-pyrimidinyl- (9CI) (CA INDEX NAME)



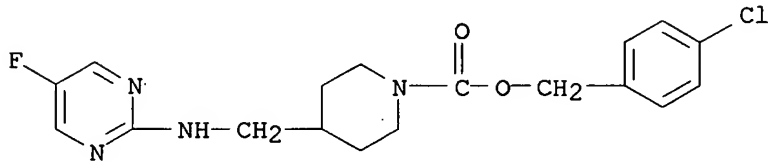
RN 455265-88-0 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[[(3-hydroxy-4-pyridinyl)amino]methyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)



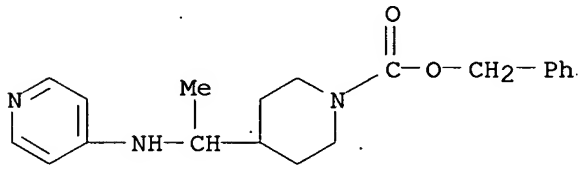
RN 455265-89-1 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[[(5-fluoro-2-pyrimidinyl)amino]methyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)



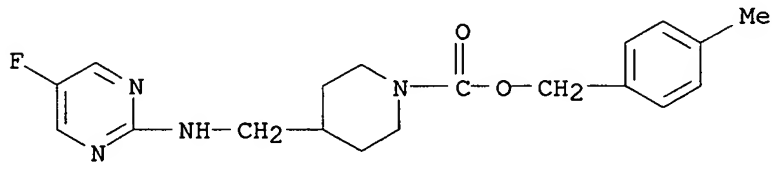
RN 455265-90-4 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[[(5-fluoro-2-pyrimidinyl)amino]methyl]-, (4-chlorophenyl)methyl ester (9CI) (CA INDEX NAME)



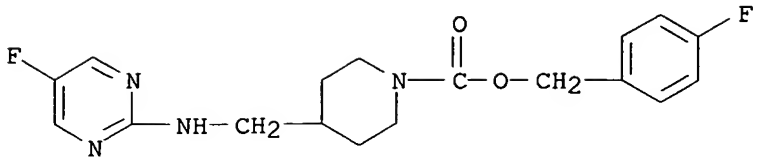
RN 455265-91-5 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[1-(4-pyridinylamino)ethyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)



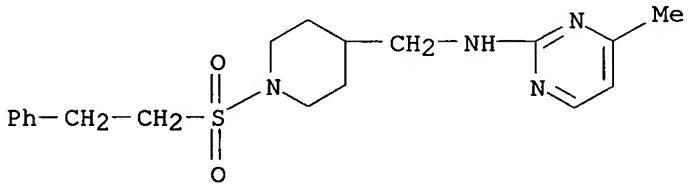
RN 455265-94-8 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[[(5-fluoro-2-pyrimidinyl)amino]methyl]-, (4-methylphenyl)methyl ester (9CI) (CA INDEX NAME)



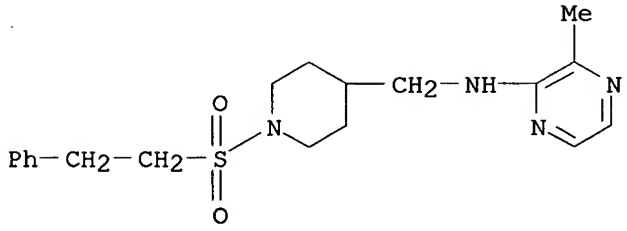
RN 455265-95-9 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[[(5-fluoro-2-pyrimidinyl)amino]methyl]-, (4-fluorophenyl)methyl ester (9CI) (CA INDEX NAME)



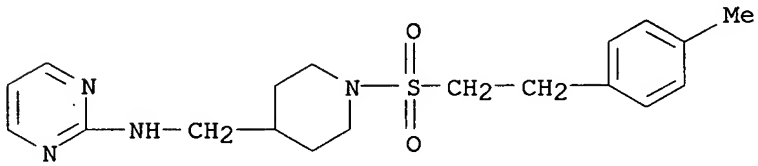
RN 455265-98-2 CAPLUS
CN 4-Piperidinemethanamine, N-(4-methyl-2-pyrimidinyl)-1-[(2-phenylethyl)sulfonyl]- (9CI) (CA INDEX NAME)



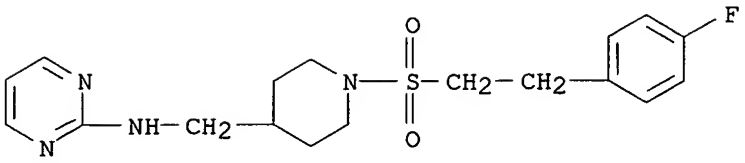
RN 455265-99-3 CAPLUS
CN 4-Piperidinemethanamine, N-(3-methylpyrazinyl)-1-[(2-phenylethyl)sulfonyl]- (9CI) (CA INDEX NAME)



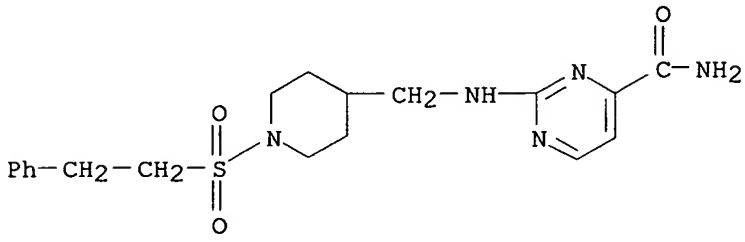
RN 455266-00-9 CAPLUS
CN 4-Piperidinemethanamine, 1-[[2-(4-methylphenyl)ethyl]sulfonyl]-N-2-pyrimidinyl- (9CI) (CA INDEX NAME)



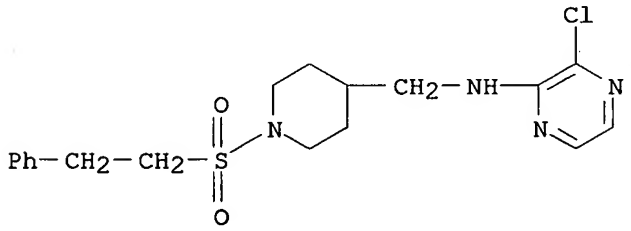
RN 455266-01-0 CAPLUS
CN 4-Piperidinemethanamine, 1-[[2-(4-fluorophenyl)ethyl]sulfonyl]-N-2-pyrimidinyl- (9CI) (CA INDEX NAME)



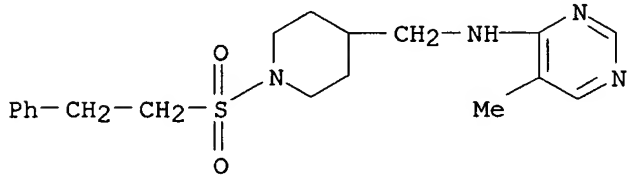
RN 455266-03-2 CAPLUS
CN 4-Pyrimidinecarboxamide, 2-[[[1-[(2-phenylethyl)sulfonyl]-4-piperidinyl]methyl]amino]- (9CI) (CA INDEX NAME)



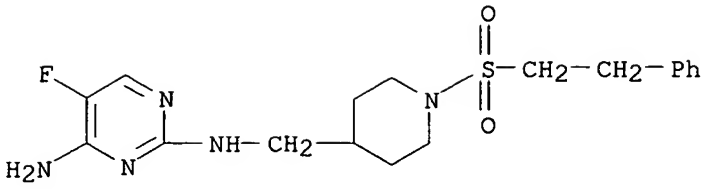
RN 455266-04-3 CAPLUS
CN 4-Piperidinemethanamine, N-(3-chloropyrazinyl)-1-[(2-phenylethyl)sulfonyl]- (9CI) (CA INDEX NAME)



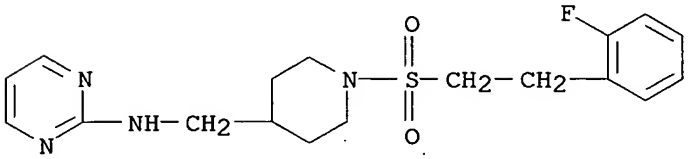
RN 455266-05-4 CAPLUS
CN 4-Piperidinemethanamine, N-(5-methyl-4-pyrimidinyl)-1-[(2-phenylethyl)sulfonyl]- (9CI) (CA INDEX NAME)



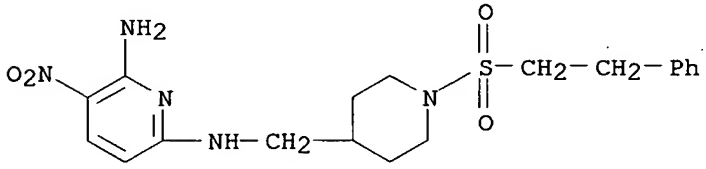
RN 455266-06-5 CAPLUS
CN 4-Piperidinemethanamine, N-(4-amino-5-fluoro-2-pyrimidinyl)-1-[(2-phenylethyl)sulfonyl]- (9CI) (CA INDEX NAME)



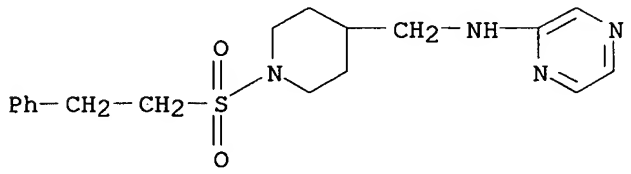
RN 455266-07-6 CAPLUS
CN 4-Piperidinemethanamine, 1-[[2-(2-fluorophenyl)ethyl]sulfonyl]-N-2-pyrimidinyl- (9CI) (CA INDEX NAME)



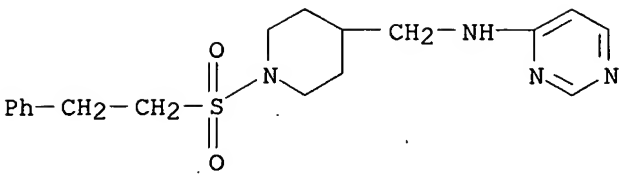
RN 455266-08-7 CAPLUS
CN 4-Piperidinemethanamine, N-(6-amino-5-nitro-2-pyridinyl)-1-[(2-phenylethyl)sulfonyl]- (9CI) (CA INDEX NAME)



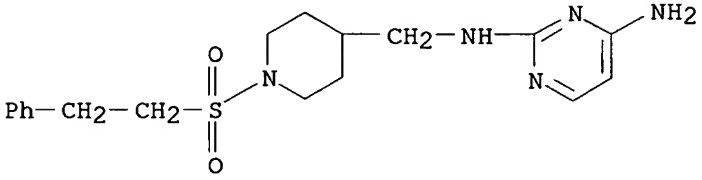
RN 455266-11-2 CAPLUS
CN 4-Piperidinemethanamine, 1-[(2-phenylethyl)sulfonyl]-N-pyrazinyl- (9CI) (CA INDEX NAME)



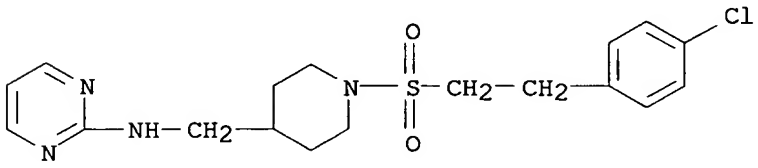
RN 455266-12-3 CAPLUS
CN 4-Piperidinemethanamine, 1-[(2-phenylethyl)sulfonyl]-N-4-pyrimidinyl- (9CI) (CA INDEX NAME)



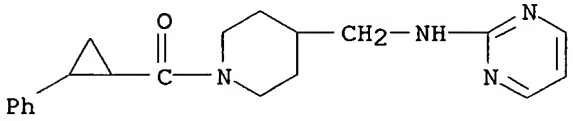
RN 455266-14-5 CAPLUS
CN 4-Piperidinemethanamine, N-(4-amino-2-pyrimidinyl)-1-[(2-phenylethyl)sulfonyl]- (9CI) (CA INDEX NAME)



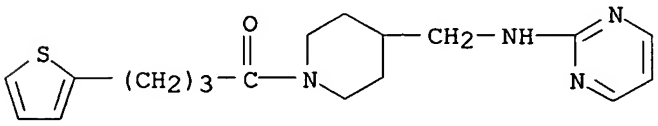
RN 455266-15-6 CAPLUS
CN 4-Piperidinemethanamine, 1-[[2-(4-chlorophenyl)ethyl]sulfonyl]-N-2-pyrimidinyl- (9CI) (CA INDEX NAME)



RN 455266-22-5 CAPLUS
CN 4-Piperidinemethanamine, 1-[(2-phenylcyclopropyl)carbonyl]-N-2-pyrimidinyl- (9CI) (CA INDEX NAME)



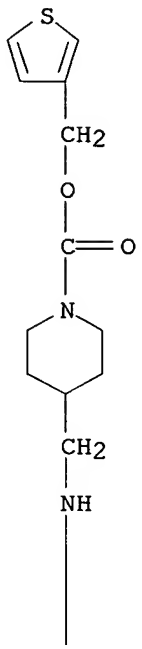
RN 455266-25-8 CAPLUS
CN 4-Piperidinemethanamine, 1-[1-oxo-4-(2-thienyl)butyl]-N-2-pyrimidinyl- (9CI) (CA INDEX NAME)



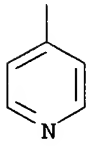
RN 455266-26-9 CAPLUS

CN 1-Piperidinecarboxylic acid, 4-[(4-pyridinylamino)methyl]-, 3-thienylmethyl ester (9CI) (CA INDEX NAME)

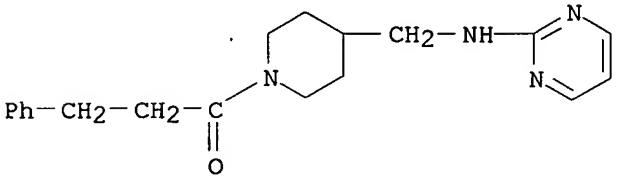
PAGE 1-A



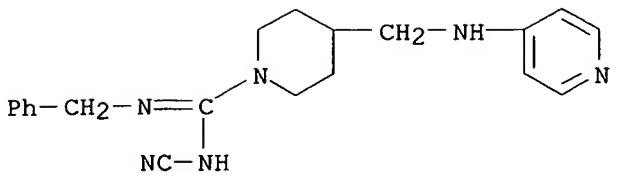
PAGE 2-A



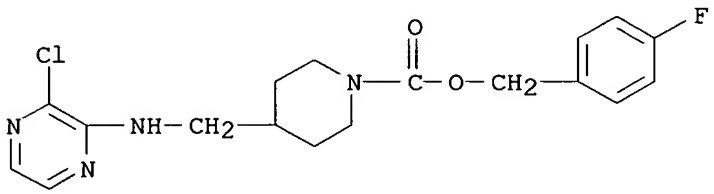
RN 455266-28-1 CAPLUS
CN 4-Piperidinemethanamine, 1-(1-oxo-3-phenylpropyl)-N-2-pyrimidinyl- (9CI) (CA INDEX NAME)



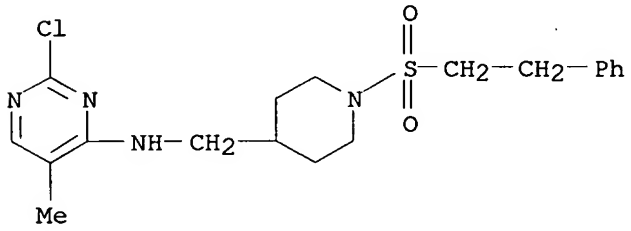
RN 455266-29-2 CAPLUS
CN 1-Piperidinecarboximidamide, N-cyano-N'-(phenylmethyl)-4-[(4-pyridinylamino)methyl]- (9CI) (CA INDEX NAME)



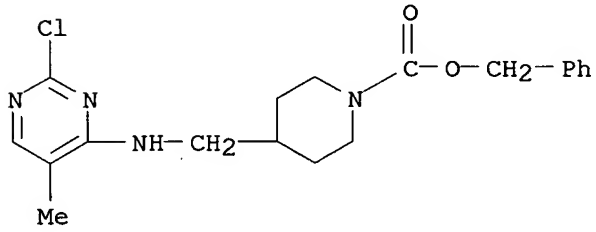
RN 455266-98-5 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[[(3-chloropyrazinyl)amino]methyl]-, (4-fluorophenyl)methyl ester (9CI) (CA INDEX NAME)



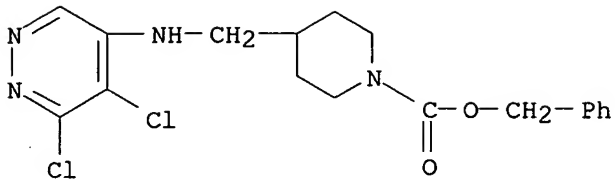
RN 455267-18-2 CAPLUS
CN 4-Piperidinemethanamine, N-(2-chloro-5-methyl-4-pyrimidinyl)-1-[(2-phenylethyl)sulfonyl]- (9CI) (CA INDEX NAME)



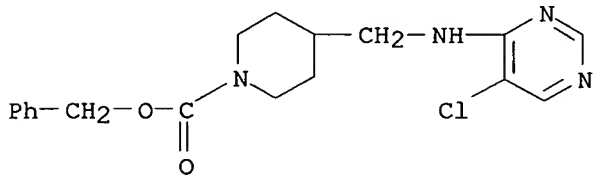
RN 455267-73-9 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[[(2-chloro-5-methyl-4-pyrimidinyl)amino]methyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)



RN 455267-78-4 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[[(5,6-dichloro-4-pyridazinyl)amino]methyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)



RN 455267-93-3 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[[(5-chloro-4-pyrimidinyl)amino]methyl]-, phenylmethyl ester, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 455267-94-4 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-hydroxy-4-[(4-pyridinylamino)methyl]-, phenylmethyl ester, mono(trifluoroacetate) (salt) (9CI) (CA INDEX NAME)

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CRN 455265-72-2
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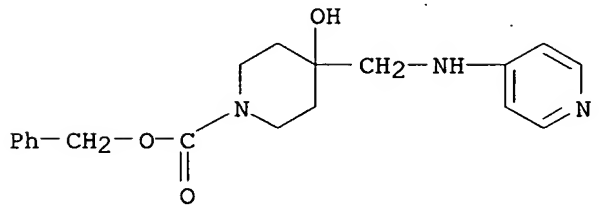
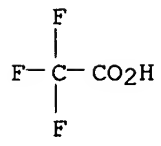


CM 2

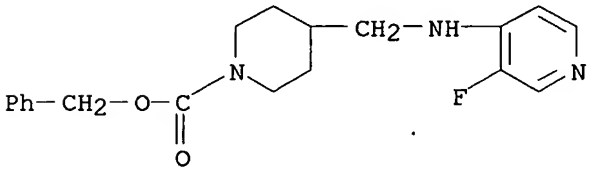
CRN 76-05-1
CMF C2 H F3 O2



RN 455267-96-6 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[[(3-fluoro-4-pyridinyl)amino]methyl]-, phenylmethyl ester, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 455267-68-2
CMF C19 H22 F N3 O2



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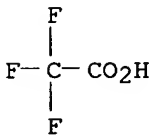

RN 455268-07-2 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[(4-pyridinylamino)methyl]-, (3-fluorophenyl)methyl ester, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

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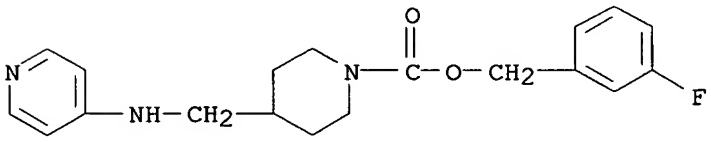
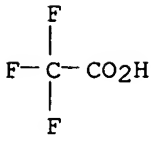
CRN 455265-53-9
CMF C19 H22 F N3 O2

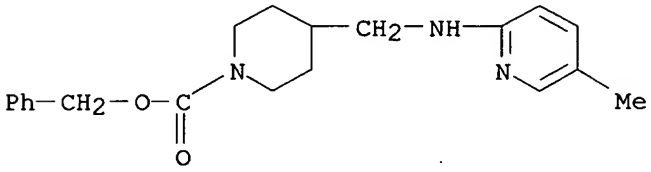


CM 2

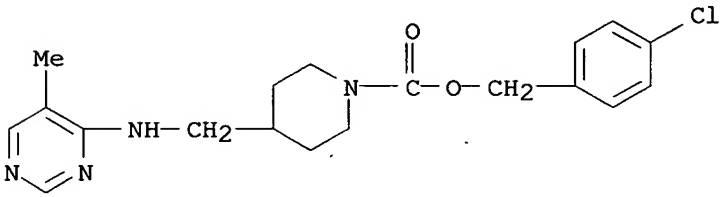
CRN 76-05-1
CMF C2 H F3 O2



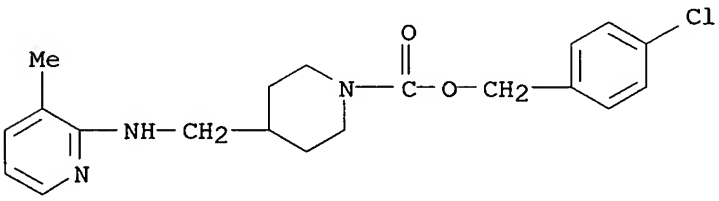
RN 455290-06-9 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[[(5-methyl-2-pyridinyl)amino]methyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)



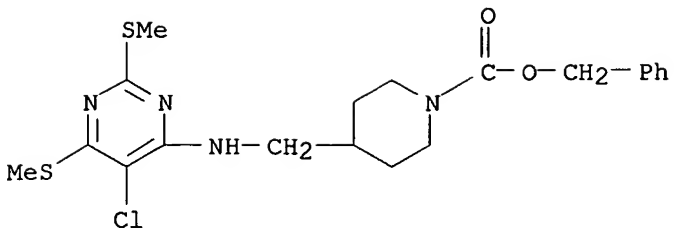
RN 455290-15-0 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[[(5-methyl-4-pyrimidinyl)amino]methyl]-, (4-chlorophenyl)methyl ester (9CI) (CA INDEX NAME)



RN 478552-71-5 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[[(3-methyl-2-pyridinyl)amino]methyl]-, (4-chlorophenyl)methyl ester (9CI) (CA INDEX NAME)



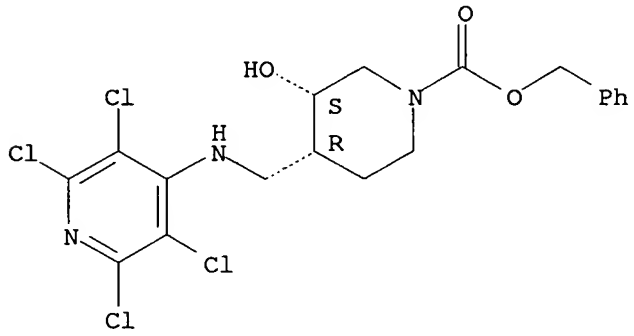
IT **455267-76-2**
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of piperidinecarboxylates and related compds. as NR2B receptor antagonists for the treatment or prevention of migraine)
RN 455267-76-2 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[[[5-chloro-2,6-bis(methylthio)-4-pyrimidinyl]amino]methyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)



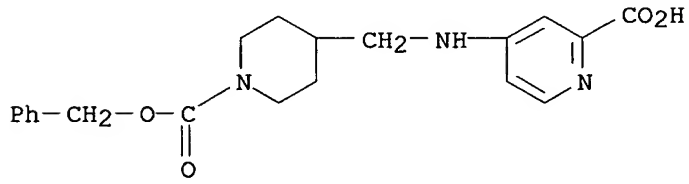
IT **455267-07-9P**, (cis)-3-Hydroxy-4-[(2,3,5,6-tetrachloropyridin-4-ylamino)methyl]piperidine-1-carboxylic acid benzyl ester
455267-08-0P 455267-15-9P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of piperidinecarboxylates and related compds. as NR2B receptor antagonists for the treatment or prevention of migraine)

RN 455267-07-9 CAPLUS
CN 1-Piperidinecarboxylic acid, 3-hydroxy-4-[[(2,3,5,6-tetrachloro-4-pyridinyl)amino]methyl]-, phenylmethyl ester, (3R,4S)-rel- (9CI) (CA INDEX NAME)

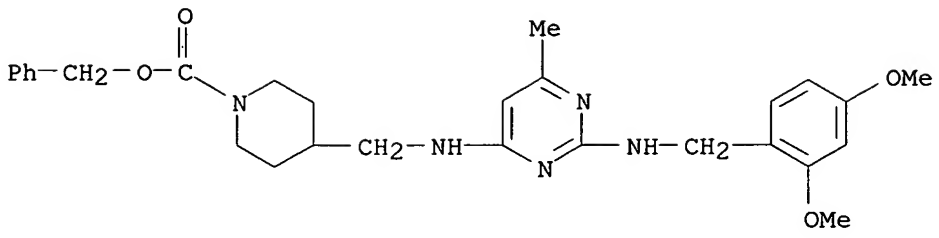
Relative stereochemistry.



RN 455267-08-0 CAPLUS
CN 2-Pyridinecarboxylic acid, 4-[[[1-[(phenylmethoxy)carbonyl]-4-piperidinyl]methyl]amino]- (9CI) (CA INDEX NAME)



RN 455267-15-9 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[[[2-[[(2,4-dimethoxyphenyl)methyl]amino]-6-methyl-4-pyrimidinyl]amino]methyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)



L11 ANSWER 4 OF 7 CAPLUS COPYRIGHT 2005 ACS on STN
AN 2002:676010 CAPLUS
DN 137:216875
TI Preparation of N-acyl-4-(heterocyclylaminomethyl)piperidines as NMDA/NR2B antagonists
IN Claiborne, Christopher F.; Butcher, John W.; Claremon, David A.; Libby, Brian E.; Liverton, Nigel J.; Munson, Peter M.; Nguyen, Kevin T.; Phillips, Brian; Thompson, Wayne; McCauley, John A.
PA Merck & Co., Inc., USA
SO PCT Int. Appl., 208 pp.
CODEN: PIXXD2
DT Patent
LA English

Appl. PCT

FAN.CNT 1

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	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
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	EP 1379520	A1	20040114	EP 2002-721105	20020220
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	WO 2002-US5226	W	20020220		

OS MARPAT 137:216875
AB BQ1(X)ANHQ2 [Q1 = 5-7 membered N-containing nonarom. ring, azabicyclooctyl; Q2 = 5-6 membered (substituted) heteroaryl ring; A = alkylene; B = Ar(CH2)0-3O2C, Ar(CH2)0-3SO2, etc.; Ar = (substituted) aryl, heteroaryl; X = H, OH, F, alkyl, alkoxy, NH2, O], were prepared Thus, 1-[(benzyloxy)carbonyl]-4-piperidinecarboxylic acid, 4-aminopyridine, EDC, and HOAt were kept 4 h in DMF to give the amide, which was reduced with BH3.THF to give benzyl 4-[(4-pyridylamino)methyl]-1-piperidinecarboxylate. Title compds. showed IC50's of <50 μM for inhibition of NR1A/2B NMDA receptor activation.
IT **455265-19-7P 455265-20-0P 455265-24-4P**
455265-25-5P 455265-27-7P 455265-30-2P
455265-31-3P 455265-32-4P 455265-33-5P
455265-34-6P 455265-35-7P 455265-36-8P
455265-37-9P 455265-38-0P 455265-39-1P
455265-40-4P 455265-41-5P 455265-42-6P
455265-44-8P 455265-45-9P 455265-47-1P
455265-48-2P 455265-49-3P 455265-51-7P
455265-52-8P 455265-53-9P 455265-54-0P
455265-55-1P 455265-56-2P 455265-57-3P

455265-58-4P 455265-59-5P 455265-60-8P
455265-61-9P 455265-62-0P 455265-63-1P
455265-64-2P 455265-66-4P 455265-67-5P
455265-68-6P 455265-69-7P 455265-70-0P
455265-71-1P 455265-72-2P 455265-73-3P
455265-74-4P 455265-75-5P 455265-76-6P
455265-77-7P 455265-78-8P 455265-79-9P
455265-80-2P 455265-81-3P 455265-82-4P
455265-83-5P 455265-84-6P 455265-85-7P
455265-86-8P 455265-88-0P 455265-89-1P
455265-90-4P 455265-91-5P 455265-94-8P
455265-95-9P 455265-98-2P 455265-99-3P
455266-00-9P 455266-01-0P 455266-03-2P
455266-04-3P 455266-05-4P 455266-06-5P
455266-07-6P 455266-08-7P 455266-11-2P
455266-12-3P 455266-14-5P 455266-15-6P
455266-22-5P 455266-25-8P 455266-26-9P
455266-28-1P 455266-29-2P 455266-30-5P
455266-31-6P 455266-32-7P 455266-33-8P
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455266-79-2P 455266-80-5P 455266-81-6P
455266-82-7P 455266-83-8P 455266-84-9P
455266-85-0P 455266-86-1P 455266-87-2P
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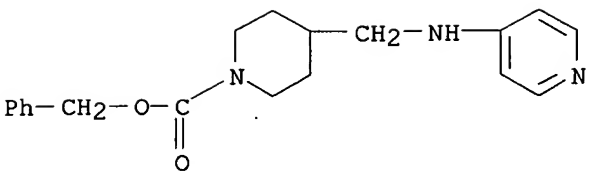
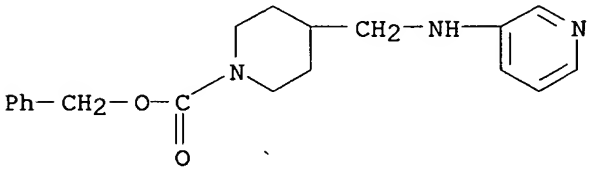
455266-92-9P 455266-93-0P 455266-94-1P
455266-95-2P 455266-96-3P 455266-97-4P
455266-98-5P 455266-99-6P 455267-00-2P
455267-02-4P 455267-03-5P 455268-07-2P
455290-06-9P 455290-08-1P 455290-10-5P
455290-13-8P 455305-07-4P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(claimed compound; preparation of N-acyl-4-(heterocyclylaminomethyl)piperidines as NMDA/NR2B antagonists)

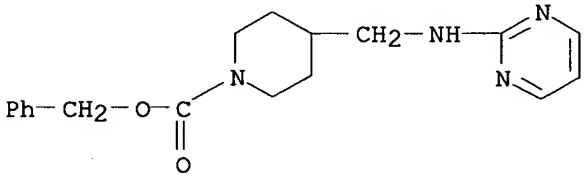
RN 455265-19-7 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[(4-pyridinylamino)methyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)



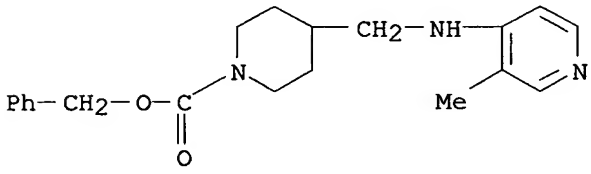
RN 455265-20-0 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[(3-pyridinylamino)methyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)



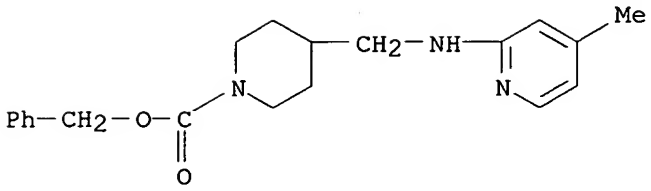
RN 455265-24-4 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[(2-pyrimidinylamino)methyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)



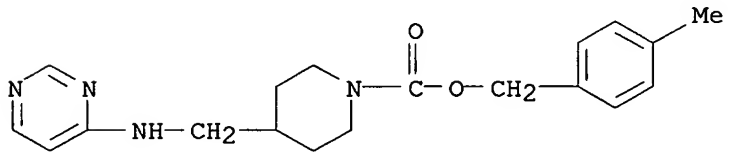
RN 455265-25-5 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[[(3-methyl-4-pyridinyl)amino]methyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)



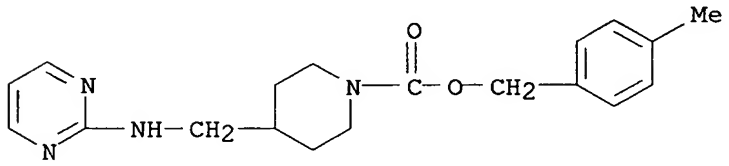
RN 455265-27-7 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[[(4-methyl-2-pyridinyl)amino]methyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)



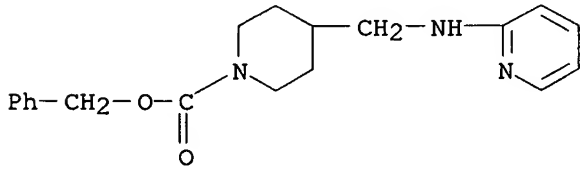
RN 455265-30-2 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[(4-pyrimidinylamino)methyl]-, (4-methylphenyl)methyl ester (9CI) (CA INDEX NAME)



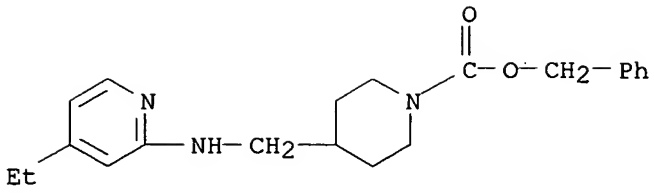
RN 455265-31-3 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[(2-pyrimidinylamino)methyl]-, (4-methylphenyl)methyl ester (9CI) (CA INDEX NAME)



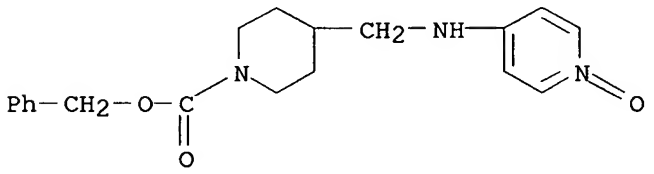
RN 455265-32-4 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[(2-pyridinylamino)methyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)



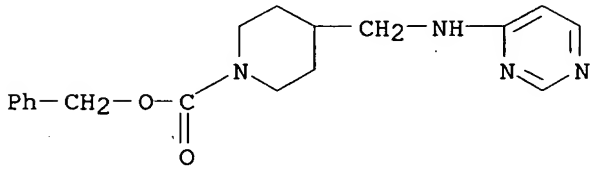
RN 455265-33-5 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[[(4-ethyl-2-pyridinyl)amino]methyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)



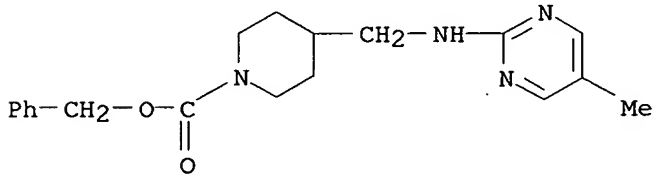
RN 455265-34-6 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[[(1-oxido-4-pyridinyl)amino]methyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)



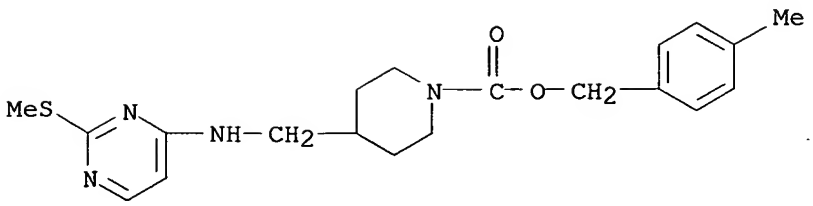
RN 455265-35-7 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[(4-pyrimidinylamino)methyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)



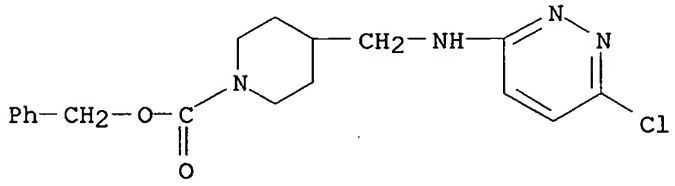
RN 455265-36-8 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[[(5-methyl-2-pyrimidinyl)amino]methyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)



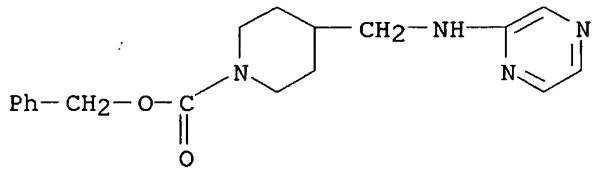
RN 455265-37-9 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[[[2-(methylthio)-4-pyrimidinyl]amino]methyl]-, (4-methylphenyl)methyl ester (9CI) (CA INDEX NAME)



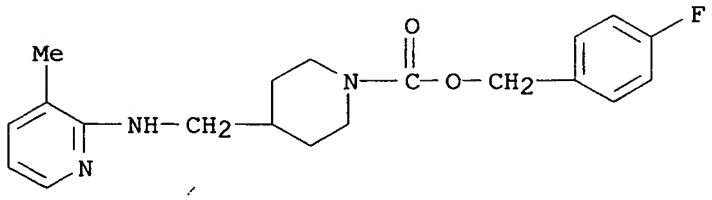
RN 455265-38-0 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[[(6-chloro-3-pyridazinyl)amino]methyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)



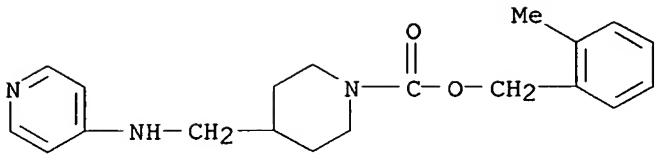
RN 455265-39-1 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[(pyrazinylamino)methyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)



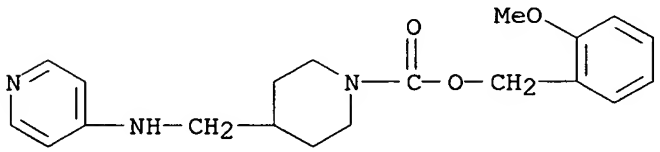
RN 455265-40-4 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[[(3-methyl-2-pyridinyl)amino]methyl]-, (4-fluorophenyl)methyl ester (9CI) (CA INDEX NAME)



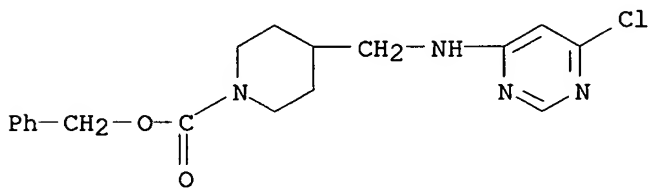
RN 455265-41-5 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[(4-pyridinylamino)methyl]-, (2-methylphenyl)methyl ester (9CI) (CA INDEX NAME)



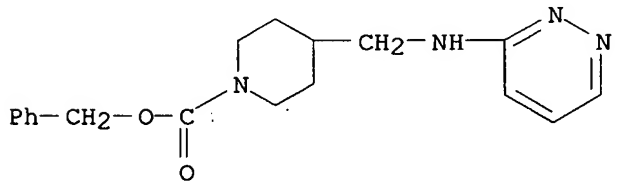
RN 455265-42-6 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[(4-pyridinylamino)methyl]-, (2-methoxyphenyl)methyl ester (9CI) (CA INDEX NAME)



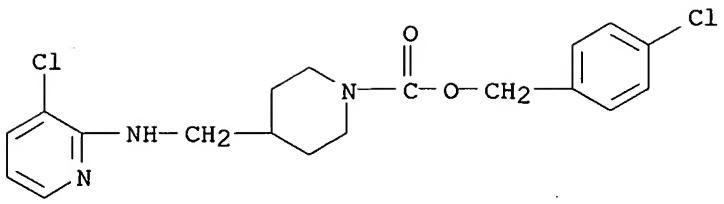
RN 455265-44-8 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[[(6-chloro-4-pyrimidinyl)amino]methyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)



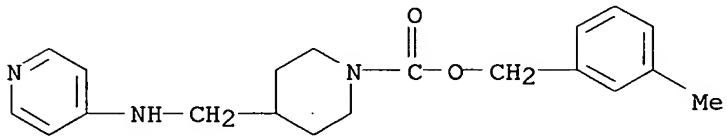
RN 455265-45-9 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[(3-pyridazinylamino)methyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)



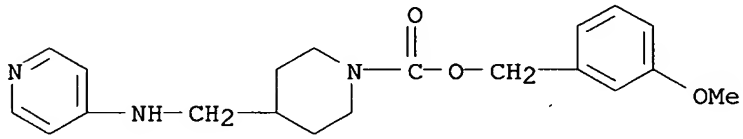
RN 455265-47-1 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[[(3-chloro-2-pyridinyl)amino]methyl]-, (4-chlorophenyl)methyl ester (9CI) (CA INDEX NAME)



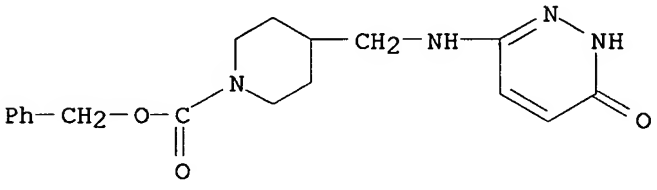
RN 455265-48-2 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[(4-pyridinylamino)methyl]-, (3-methylphenyl)methyl ester (9CI) (CA INDEX NAME)



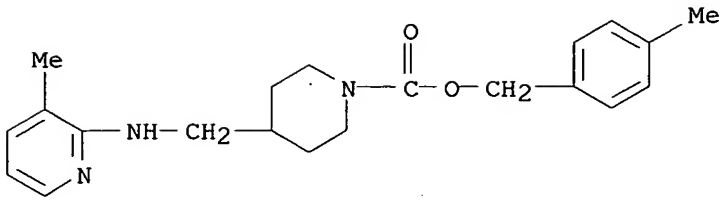
RN 455265-49-3 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[(4-pyridinylamino)methyl]-, (3-methoxyphenyl)methyl ester (9CI) (CA INDEX NAME)



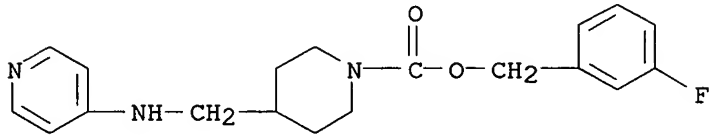
RN 455265-51-7 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[[(1,6-dihydro-6-oxo-3-pyridazinyl)amino]methyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)



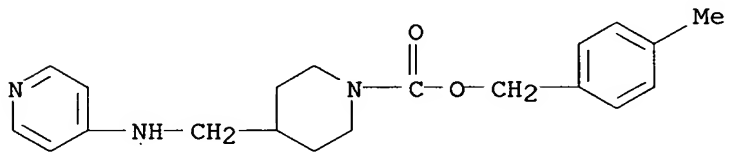
RN 455265-52-8 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[[(3-methyl-2-pyridinyl)amino]methyl]-, (4-methylphenyl)methyl ester (9CI) (CA INDEX NAME)



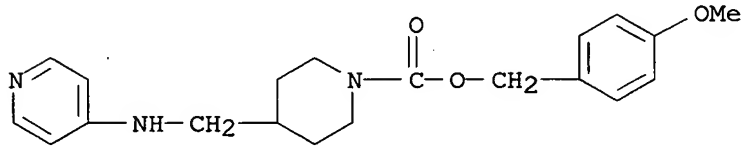
RN 455265-53-9 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[(4-pyridinylamino)methyl]-, (3-fluorophenyl)methyl ester (9CI) (CA INDEX NAME)



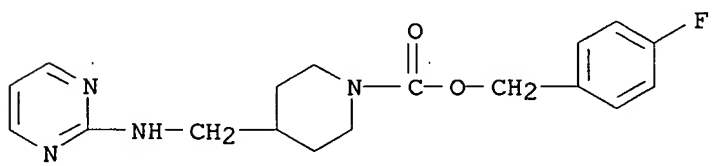
RN 455265-54-0 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[(4-pyridinylamino)methyl]-, (4-methylphenyl)methyl ester (9CI) (CA INDEX NAME)



RN 455265-55-1 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[(4-pyridinylamino)methyl]-, (4-methoxyphenyl)methyl ester (9CI) (CA INDEX NAME)

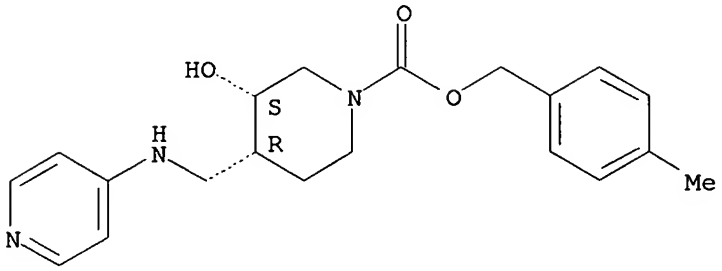


RN 455265-56-2 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[(2-pyrimidinylamino)methyl]-, (4-fluorophenyl)methyl ester (9CI) (CA INDEX NAME)

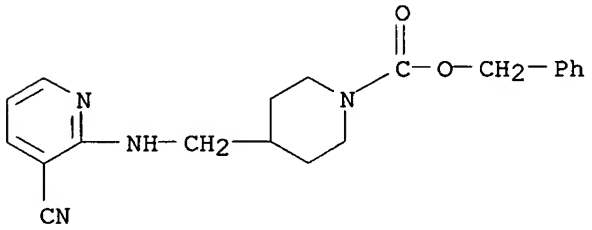


RN 455265-57-3 CAPLUS
CN 1-Piperidinecarboxylic acid, 3-hydroxy-4-[(4-pyridinylamino)methyl]-, (4-methylphenyl)methyl ester, (3R,4S)-rel- (9CI) (CA INDEX NAME)

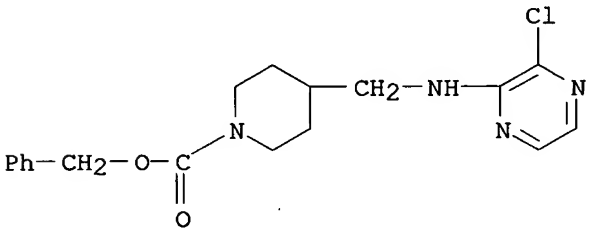
Relative stereochemistry.



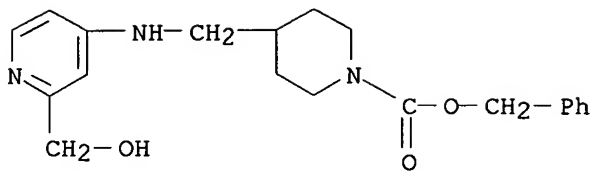
RN 455265-58-4 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[[(3-cyano-2-pyridinyl)amino]methyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)



RN 455265-59-5 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[[(3-chloropyrazinyl)amino]methyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

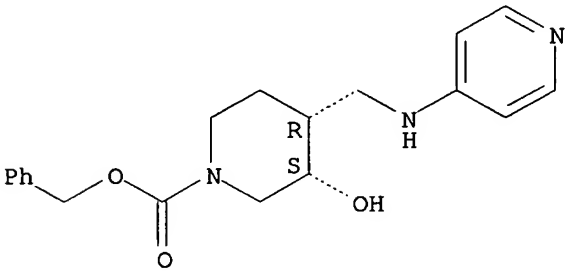


RN 455265-60-8 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[[[2-(hydroxymethyl)-4-pyridinyl]amino]methyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)



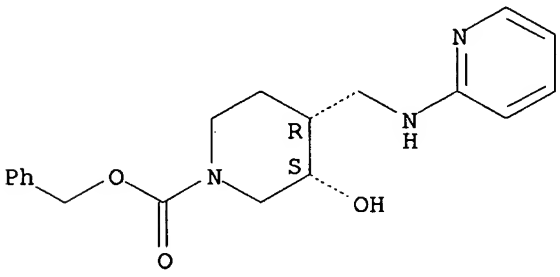
RN 455265-61-9 CAPLUS
CN 1-Piperidinecarboxylic acid, 3-hydroxy-4-[(4-pyridinylamino)methyl]-, phenylmethyl ester, (3R,4S)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

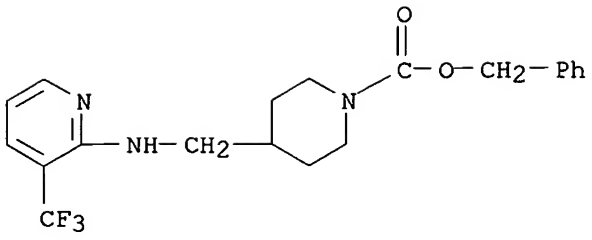


RN 455265-62-0 CAPLUS
CN 1-Piperidinecarboxylic acid, 3-hydroxy-4-[(2-pyridinylamino)methyl]-, phenylmethyl ester, (3R,4S)-rel- (9CI) (CA INDEX NAME)

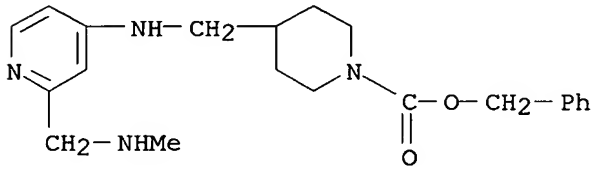
Relative stereochemistry.



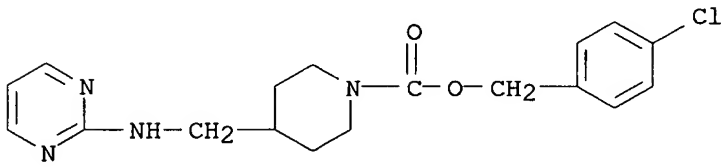
RN 455265-63-1 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[[[3-(trifluoromethyl)-2-pyridinyl]amino]methyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)



RN 455265-64-2 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[[[2-[(methylamino)methyl]-4-pyridinyl]amino]methyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

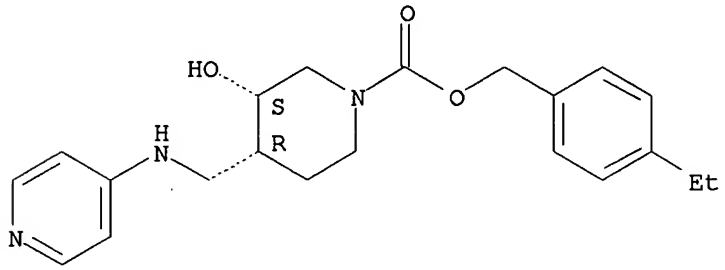


RN 455265-66-4 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[(2-pyrimidinylamino)methyl]-, (4-chlorophenyl)methyl ester (9CI) (CA INDEX NAME)

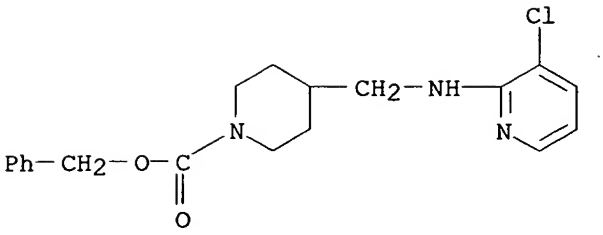


RN 455265-67-5 CAPLUS
CN 1-Piperidinecarboxylic acid, 3-hydroxy-4-[(4-pyridinylamino)methyl]-, (4-ethylphenyl)methyl ester, (3R,4S)-rel- (9CI) (CA INDEX NAME)

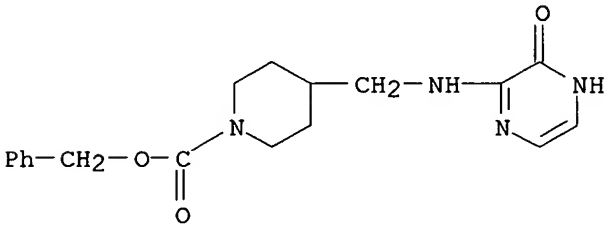
Relative stereochemistry.



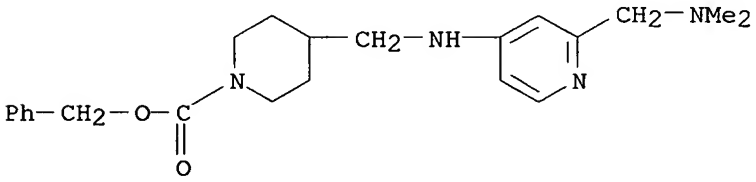
RN 455265-68-6 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[[(3-chloro-2-pyridinyl)amino]methyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)



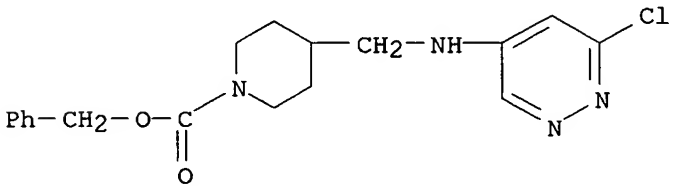
RN 455265-69-7 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[[(3,4-dihydro-3-oxopyrazinyl)amino]methyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)



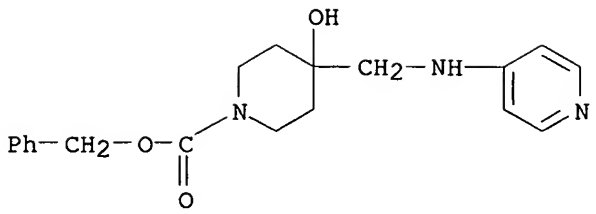
RN 455265-70-0 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[[[2-[(dimethylamino)methyl]-4-pyridinyl]amino]methyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)



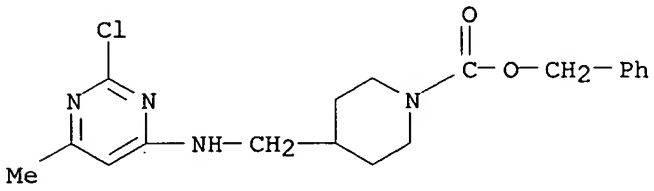
RN 455265-71-1 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[[(6-chloro-4-pyridazinyl)amino]methyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)



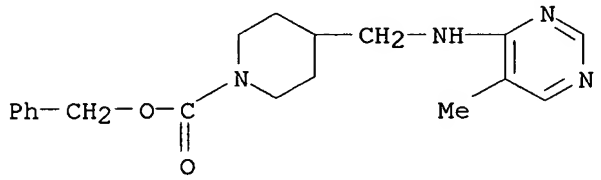
RN 455265-72-2 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-hydroxy-4-[(4-pyridinylamino)methyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)



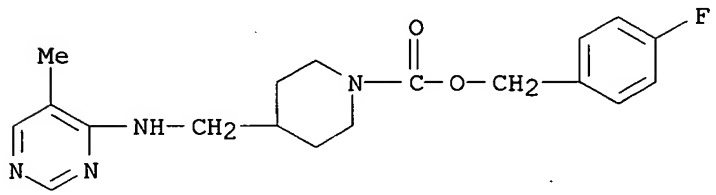
RN 455265-73-3 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[[(2-chloro-6-methyl-4-pyrimidinyl)amino]methyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)



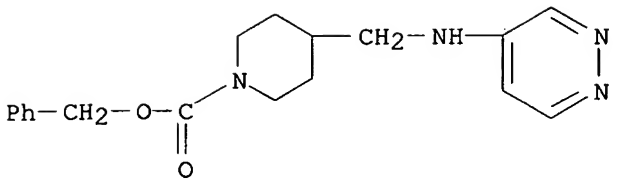
RN 455265-74-4 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[[(5-methyl-4-pyrimidinyl)amino]methyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)



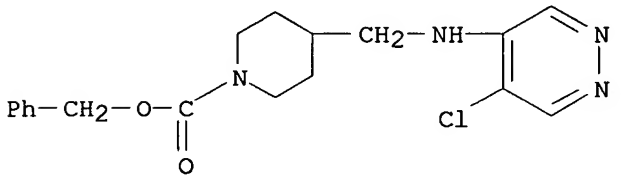
RN 455265-75-5 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[[(5-methyl-4-pyrimidinyl)amino]methyl]-, (4-fluorophenyl)methyl ester (9CI) (CA INDEX NAME)



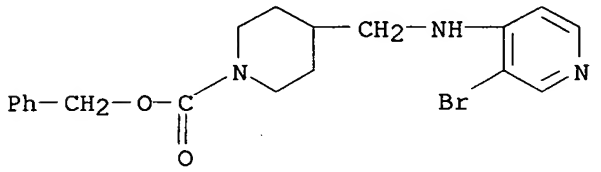
RN 455265-76-6 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[(4-pyridazinylamino)methyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)



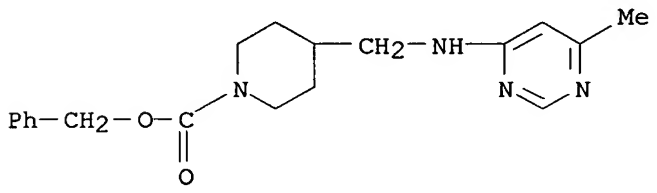
RN 455265-77-7 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[[(5-chloro-4-pyridazinyl)amino]methyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)



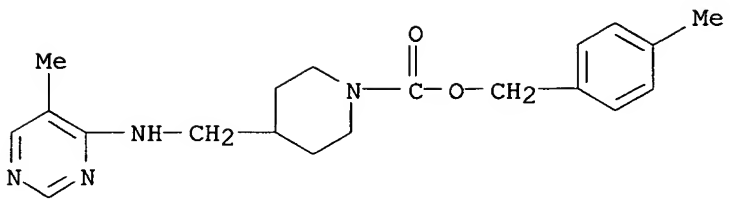
RN 455265-78-8 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[[(3-bromo-4-pyridinyl)amino]methyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)



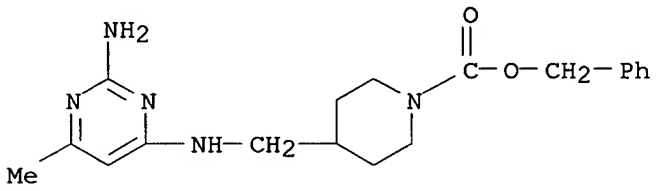
RN 455265-79-9 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[[(6-methyl-4-pyrimidinyl)amino]methyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)



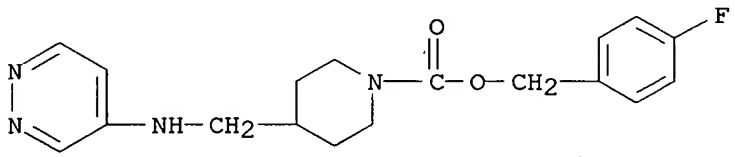
RN 455265-80-2 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[[(5-methyl-4-pyrimidinyl)amino]methyl]-, (4-methylphenyl)methyl ester (9CI) (CA INDEX NAME)



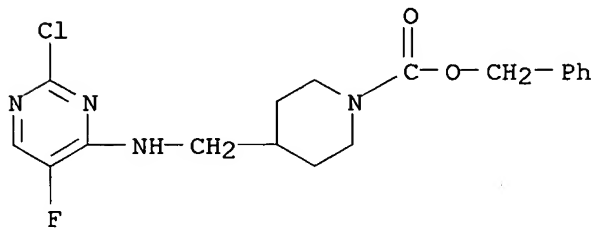
RN 455265-81-3 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[[(2-amino-6-methyl-4-pyrimidinyl)amino]methyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)



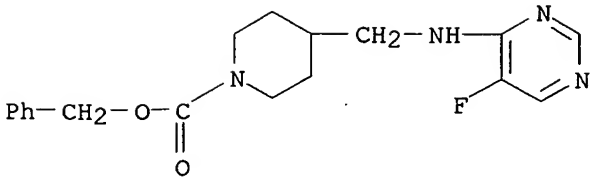
RN 455265-82-4 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[(4-pyridazinylamino)methyl]-, (4-fluorophenyl)methyl ester (9CI) (CA INDEX NAME)



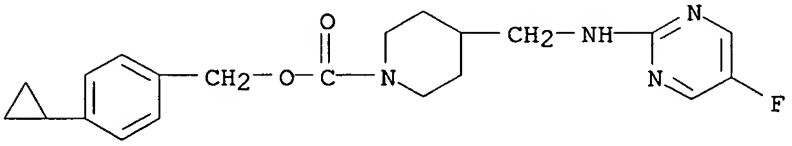
RN 455265-83-5 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[[(2-chloro-5-fluoro-4-pyrimidinyl)amino]methyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)



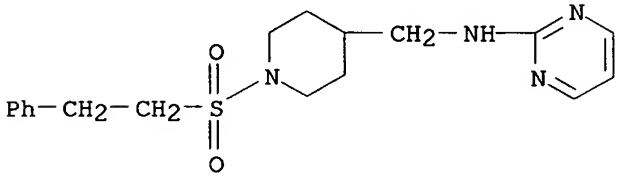
RN 455265-84-6 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[[(5-fluoro-4-pyrimidinyl)amino]methyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)



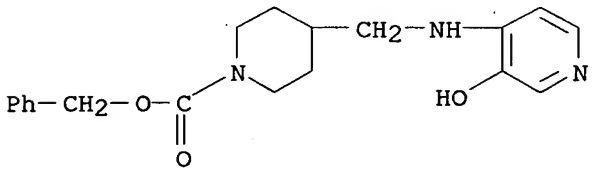
RN 455265-85-7 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[[(5-fluoro-2-pyrimidinyl)amino]methyl]-, (4-cyclopropylphenyl)methyl ester (9CI) (CA INDEX NAME)



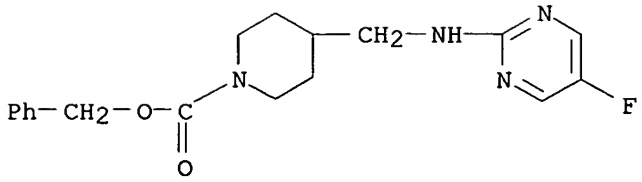
RN 455265-86-8 CAPLUS
CN 4-Piperidinemethanamine, 1-[(2-phenylethyl)sulfonyl]-N-2-pyrimidinyl- (9CI) (CA INDEX NAME)



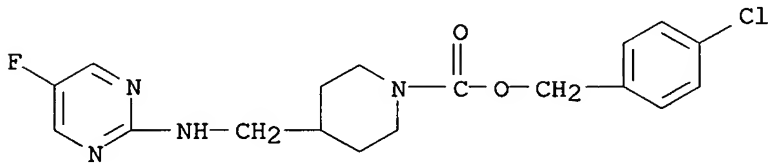
RN 455265-88-0 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[[(3-hydroxy-4-pyridinyl)amino]methyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)



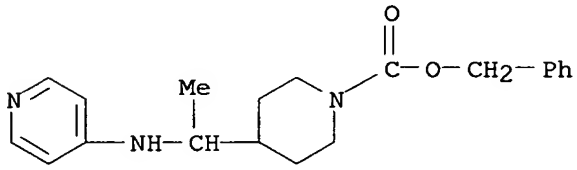
RN 455265-89-1 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[[(5-fluoro-2-pyrimidinyl)amino]methyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)



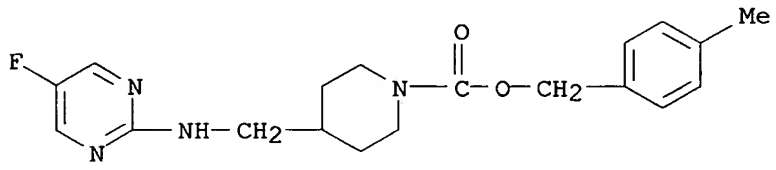
RN 455265-90-4 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[[(5-fluoro-2-pyrimidinyl)amino]methyl]-, (4-chlorophenyl)methyl ester (9CI) (CA INDEX NAME)



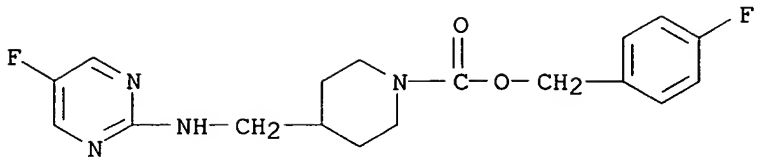
RN 455265-91-5 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[1-(4-pyridinylamino)ethyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)



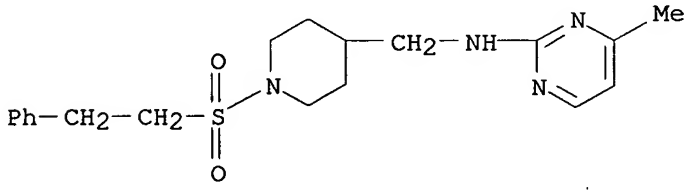
RN 455265-94-8 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[[(5-fluoro-2-pyrimidinyl)amino]methyl]-, (4-methylphenyl)methyl ester (9CI) (CA INDEX NAME)



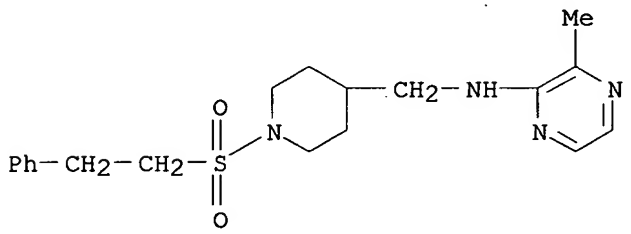
RN 455265-95-9 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[[(5-fluoro-2-pyrimidinyl)amino]methyl]-, (4-fluorophenyl)methyl ester (9CI) (CA INDEX NAME)



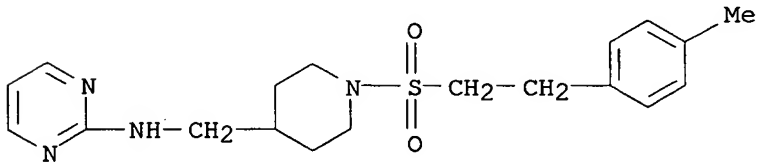
RN 455265-98-2 CAPLUS
CN 4-Piperidinemethanamine, N-(4-methyl-2-pyrimidinyl)-1-[(2-phenylethyl)sulfonyl]- (9CI) (CA INDEX NAME)



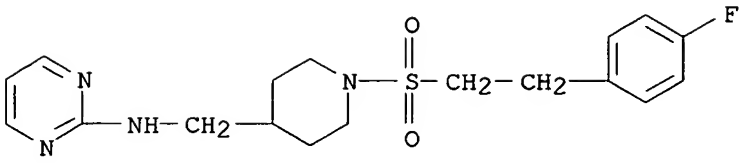
RN 455265-99-3 CAPLUS
CN 4-Piperidinemethanamine, N-(3-methylpyrazinyl)-1-[(2-phenylethyl)sulfonyl]- (9CI) (CA INDEX NAME)



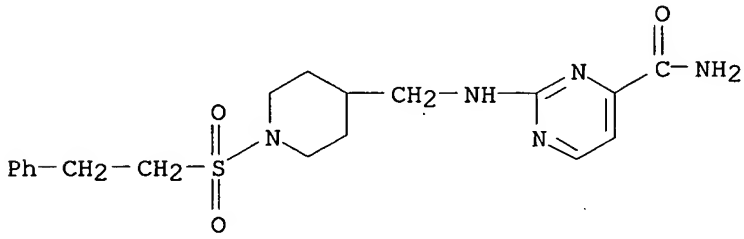
RN 455266-00-9 CAPLUS
CN 4-Piperidinemethanamine, 1-[[2-(4-methylphenyl)ethyl]sulfonyl]-N-2-pyrimidinyl- (9CI) (CA INDEX NAME)



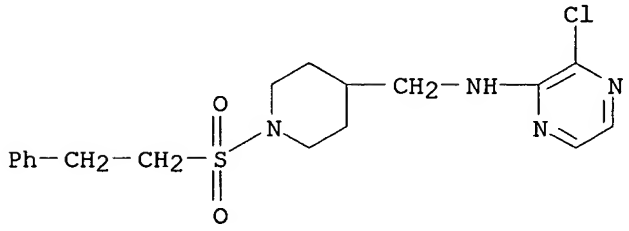
RN 455266-01-0 CAPLUS
CN 4-Piperidinemethanamine, 1-[[2-(4-fluorophenyl)ethyl]sulfonyl]-N-2-pyrimidinyl- (9CI) (CA INDEX NAME)



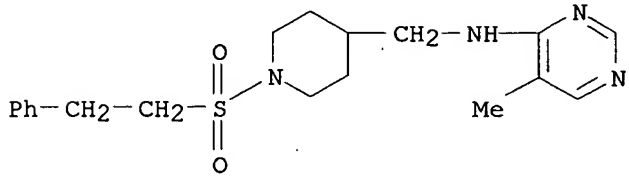
RN 455266-03-2 CAPLUS
CN 4-Pyrimidinecarboxamide, 2-[[[1-[(2-phenylethyl)sulfonyl]-4-piperidinyl]methyl]amino]- (9CI) (CA INDEX NAME)



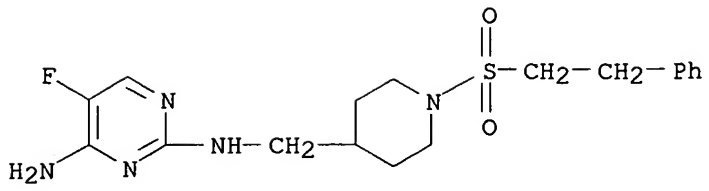
RN 455266-04-3 CAPLUS
CN 4-Piperidinemethanamine, N-(3-chloropyrazinyl)-1-[(2-phenylethyl)sulfonyl]- (9CI) (CA INDEX NAME)



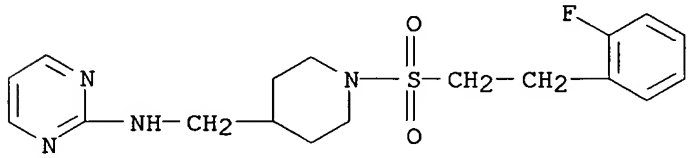
RN 455266-05-4 CAPLUS
CN 4-Piperidinemethanamine, N-(5-methyl-4-pyrimidinyl)-1-[(2-phenylethyl)sulfonyl]- (9CI) (CA INDEX NAME)



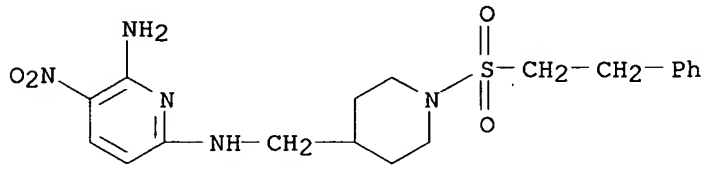
RN 455266-06-5 CAPLUS
CN 4-Piperidinemethanamine, N-(4-amino-5-fluoro-2-pyrimidinyl)-1-[(2-phenylethyl)sulfonyl]- (9CI) (CA INDEX NAME)



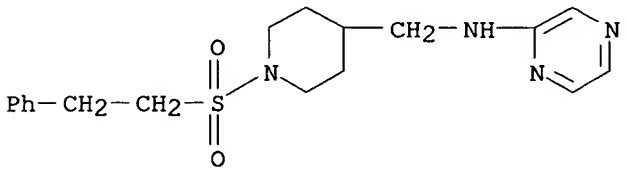
RN 455266-07-6 CAPLUS
CN 4-Piperidinemethanamine, 1-[[2-(2-fluorophenyl)ethyl]sulfonyl]-N-2-pyrimidinyl- (9CI) (CA INDEX NAME)



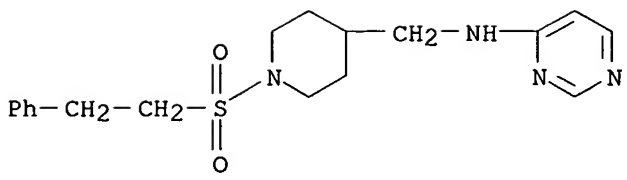
RN 455266-08-7 CAPLUS
CN 4-Piperidinemethanamine, N-(6-amino-5-nitro-2-pyridinyl)-1-[(2-phenylethyl)sulfonyl]- (9CI) (CA INDEX NAME)



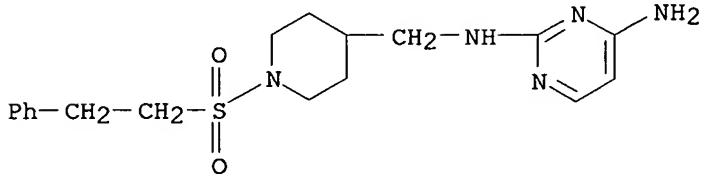
RN 455266-11-2 CAPLUS
CN 4-Piperidinemethanamine, 1-[(2-phenylethyl)sulfonyl]-N-pyrazinyl- (9CI) (CA INDEX NAME)



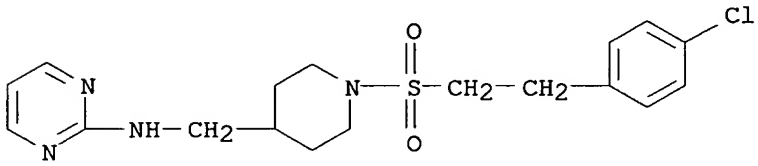
RN 455266-12-3 CAPLUS
CN 4-Piperidinemethanamine, 1-[(2-phenylethyl)sulfonyl]-N-4-pyrimidinyl- (9CI) (CA INDEX NAME)



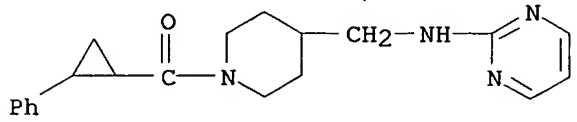
RN 455266-14-5 CAPLUS
CN 4-Piperidinemethanamine, N-(4-amino-2-pyrimidinyl)-1-[(2-phenylethyl)sulfonyl]- (9CI) (CA INDEX NAME)



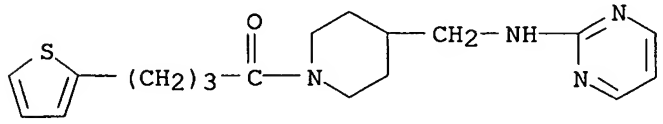
RN 455266-15-6 CAPLUS
CN 4-Piperidinemethanamine, 1-[[2-(4-chlorophenyl)ethyl]sulfonyl]-N-2-pyrimidinyl- (9CI) (CA INDEX NAME)



RN 455266-22-5 CAPLUS
CN 4-Piperidinemethanamine, 1-[(2-phenylcyclopropyl)carbonyl]-N-2-pyrimidinyl- (9CI) (CA INDEX NAME)



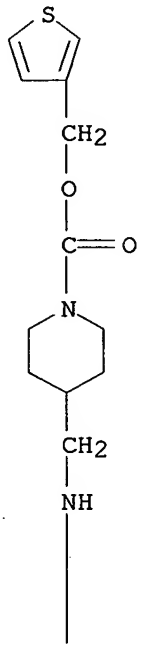
RN 455266-25-8 CAPLUS
CN 4-Piperidinemethanamine, 1-[1-oxo-4-(2-thienyl)butyl]-N-2-pyrimidinyl- (9CI) (CA INDEX NAME)



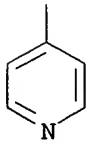
RN 455266-26-9 CAPLUS

CN 1-Piperidinecarboxylic acid, 4-[(4-pyridinylamino)methyl]-, 3-thienylmethyl ester (9CI) (CA INDEX NAME)

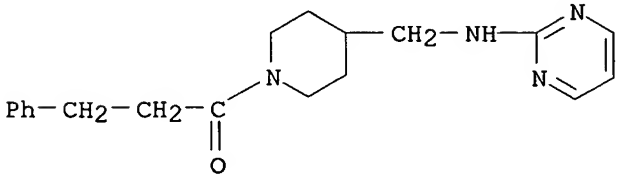
PAGE 1-A



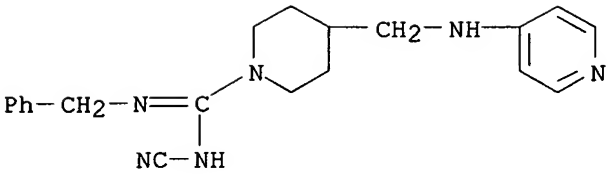
PAGE 2-A



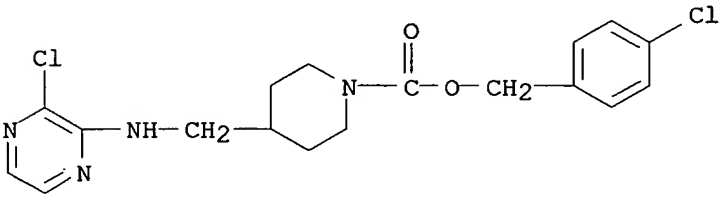
RN 455266-28-1 CAPLUS
CN 4-Piperidinemethanamine, 1-(1-oxo-3-phenylpropyl)-N-2-pyrimidinyl- (9CI) (CA INDEX NAME)



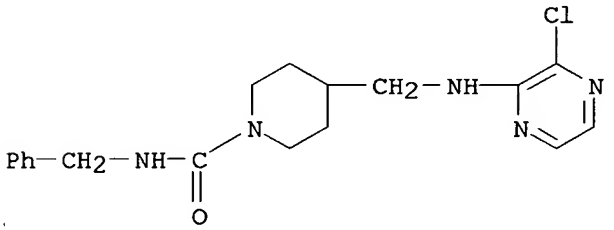
RN 455266-29-2 CAPLUS
CN 1-Piperidinecarboximidamide, N-cyano-N'-(phenylmethyl)-4-[(4-pyridinylamino)methyl]- (9CI) (CA INDEX NAME)



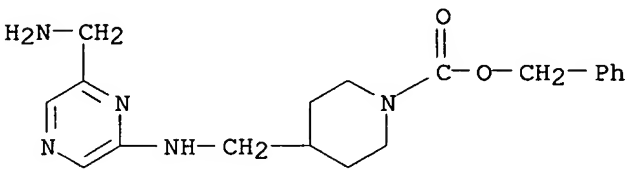
RN 455266-30-5 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[[(3-chloropyrazinyl)amino]methyl]-, (4-chlorophenyl)methyl ester (9CI) (CA INDEX NAME)



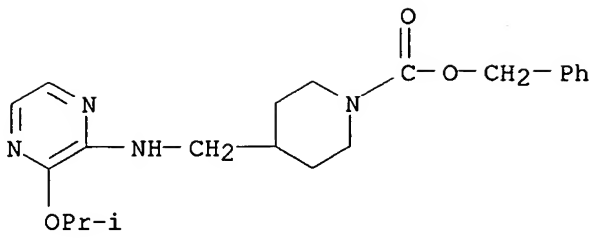
RN 455266-31-6 CAPLUS
CN 1-Piperidinecarboxamide, 4-[[(3-chloropyrazinyl)amino]methyl]-N-(phenylmethyl)- (9CI) (CA INDEX NAME)



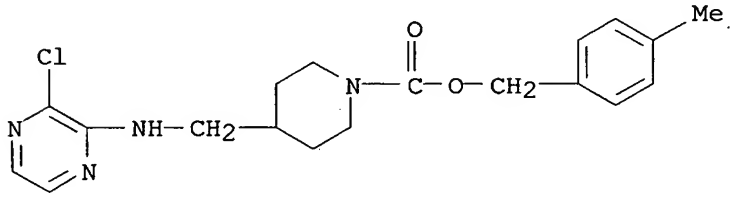
RN 455266-32-7 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[[[6-(aminomethyl)pyrazinyl]amino]methyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)



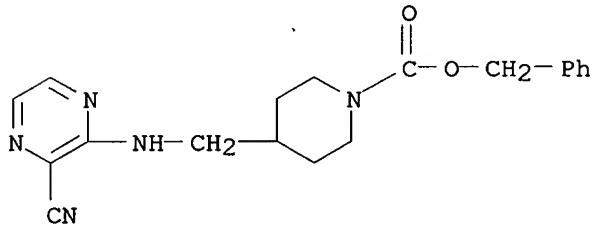
RN 455266-33-8 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[[[3-(1-methylethoxy)pyrazinyl]amino]methyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)



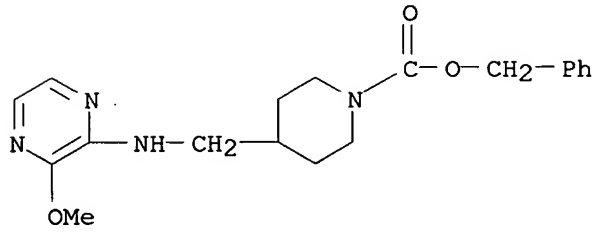
RN 455266-34-9 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[[(3-chloropyrazinyl)amino]methyl]-, (4-methylphenyl)methyl ester (9CI) (CA INDEX NAME)



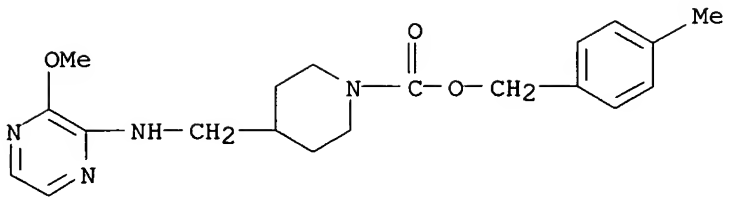
RN 455266-35-0 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[[(3-cyanopyrazinyl)amino]methyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)



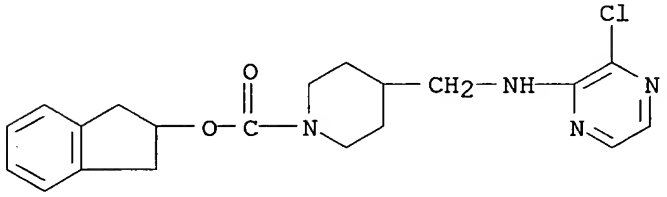
RN 455266-36-1 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[[(3-methoxypyrazinyl)amino]methyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)



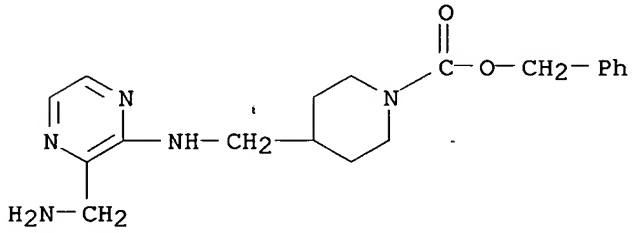
RN 455266-37-2 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[[(3-methoxypyrazinyl)amino]methyl]-, (4-methylphenyl)methyl ester (9CI) (CA INDEX NAME)



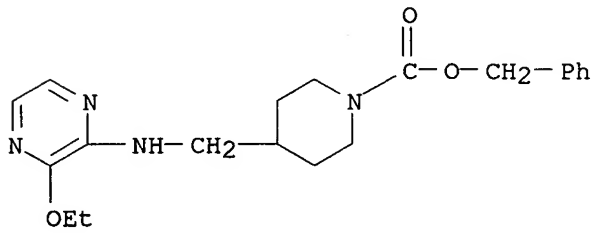
RN 455266-40-7 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[[(3-chloropyrazinyl)amino]methyl]-, 2,3-dihydro-1H-inden-2-yl ester (9CI) (CA INDEX NAME)



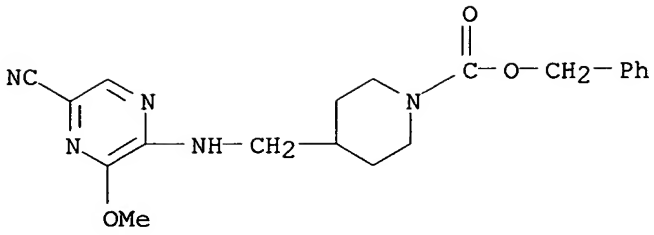
RN 455266-41-8 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[[[3-(aminomethyl)pyrazinyl]amino]methyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)



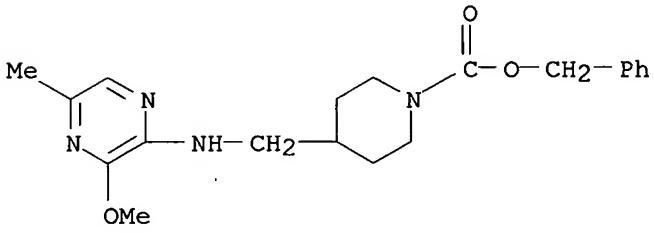
RN 455266-42-9 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[[(3-ethoxypyrazinyl)amino]methyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)



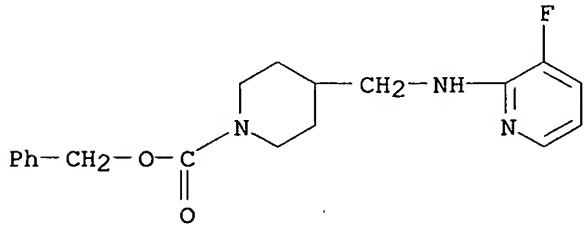
RN 455266-43-0 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[[(5-cyano-3-methoxypyrazinyl)amino]methyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)



RN 455266-44-1 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[[(3-methoxy-5-methylpyrazinyl)amino]methyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

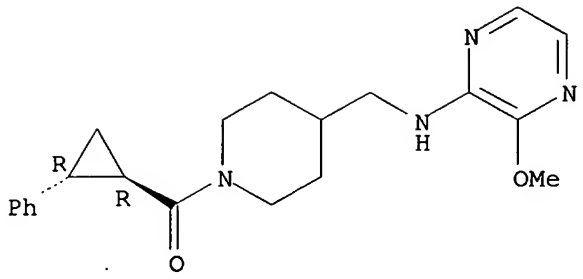


RN 455266-45-2 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[[(3-fluoro-2-pyridinyl)amino]methyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

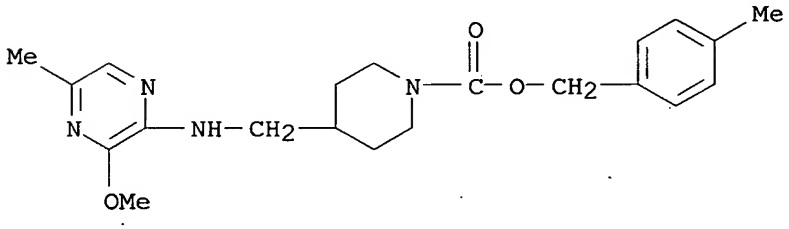


RN 455266-46-3 CAPLUS
CN 4-Piperidinemethanamine, N-(3-methoxypyrazinyl)-1-[[(1R,2R)-2-phenylcyclopropyl]carbonyl]- (9CI) (CA INDEX NAME)

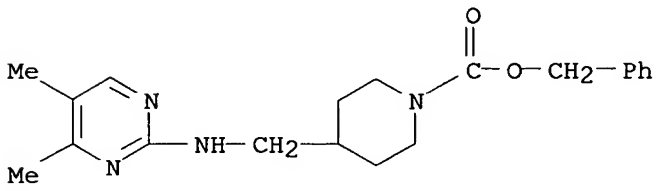
Absolute stereochemistry.



RN 455266-47-4 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[[(3-methoxy-5-methylpyrazinyl)amino]methyl]-, (4-methylphenyl)methyl ester (9CI) (CA INDEX NAME)

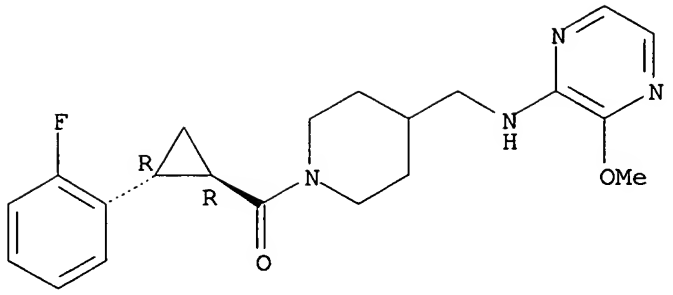


RN 455266-48-5 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[[(4,5-dimethyl-2-pyrimidinyl)amino]methyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

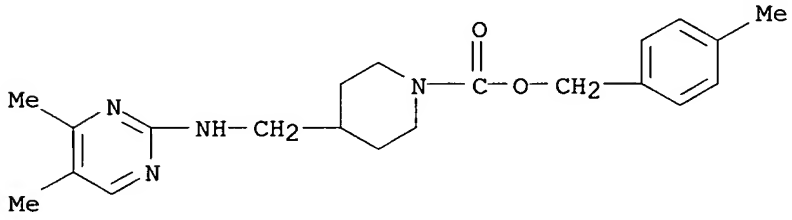


RN 455266-50-9 CAPLUS
CN 4-Piperidinemethanamine, 1-[[(1R,2R)-2-(2-fluorophenyl)cyclopropyl]carbonyl]-N-(3-methoxypyrazinyl)- (9CI) (CA INDEX NAME)

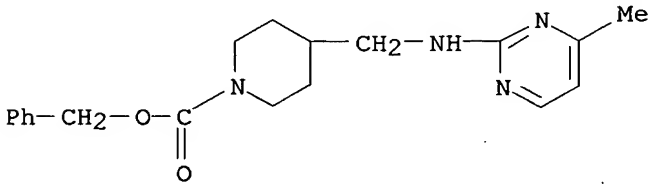
Absolute stereochemistry.



RN 455266-51-0 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[[(4,5-dimethyl-2-pyrimidinyl)amino]methyl]-, (4-methylphenyl)methyl ester (9CI) (CA INDEX NAME)

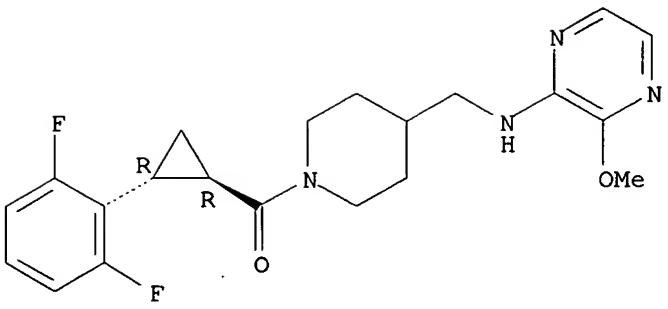


RN 455266-52-1 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[[(4-methyl-2-pyrimidinyl)amino]methyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)



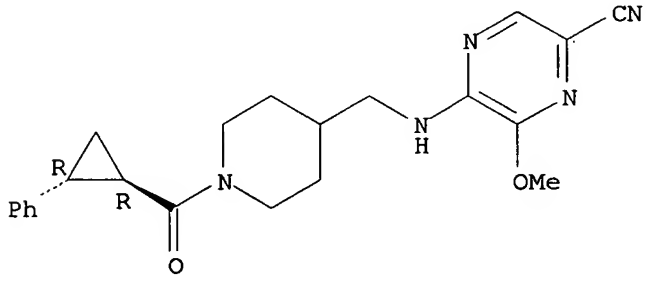
RN 455266-53-2 CAPLUS
CN 4-Piperidinemethanamine, 1-[[(1R,2R)-2-(2,6-difluorophenyl)cyclopropyl]carbonyl]-N-(3-methoxypyrazinyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



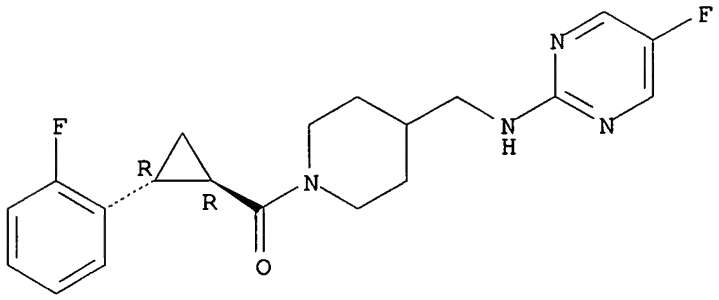
RN 455266-54-3 CAPLUS
CN 4-Piperidinemethanamine, N-(5-cyano-3-methoxypyrazinyl)-1-[[(1R,2R)-2-phenylcyclopropyl]carbonyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



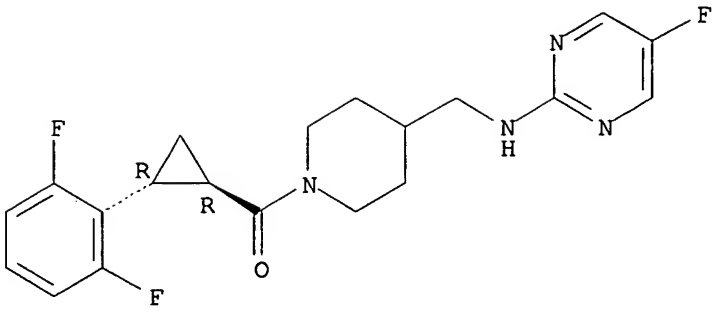
RN 455266-55-4 CAPLUS
CN 4-Piperidinemethanamine, 1-[[(1R,2R)-2-(2-fluorophenyl)cyclopropyl]carbonyl]-N-(5-fluoro-2-pyrimidinyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



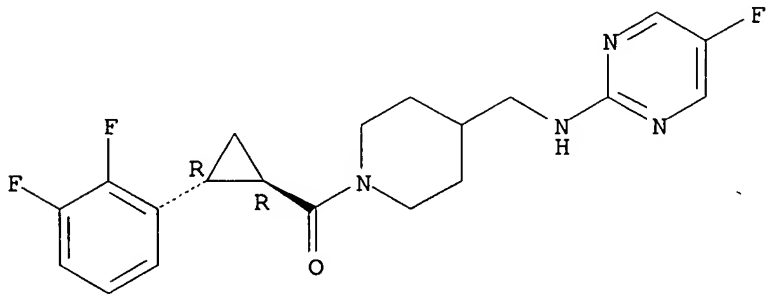
RN 455266-56-5 CAPLUS
CN 4-Piperidinemethanamine, 1-[[(1R,2R)-2-(2,6-difluorophenyl)cyclopropyl]carbonyl]-N-(5-fluoro-2-pyrimidinyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



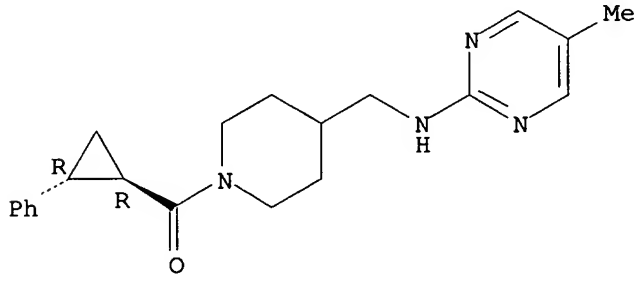
RN 455266-57-6 CAPLUS
CN 4-Piperidinemethanamine, 1-[[(1R,2R)-2-(2,3-difluorophenyl)cyclopropyl]carbonyl]-N-(5-fluoro-2-pyrimidinyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



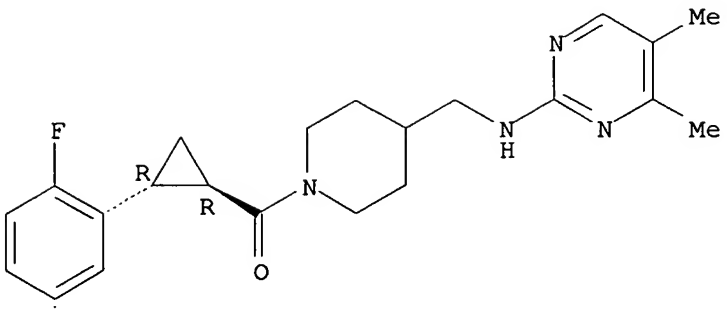
RN 455266-58-7 CAPLUS
CN 4-Piperidinemethanamine, N-(5-methyl-2-pyrimidinyl)-1-[[(1R,2R)-2-phenylcyclopropyl]carbonyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



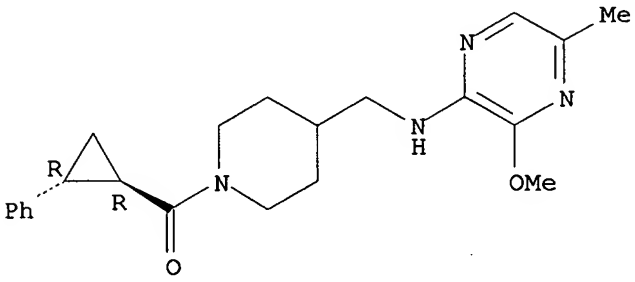
RN 455266-60-1 CAPLUS
CN 4-Piperidinemethanamine, N-(4,5-dimethyl-2-pyrimidinyl)-1-[[(1R,2R)-2-(2-fluorophenyl)cyclopropyl]carbonyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



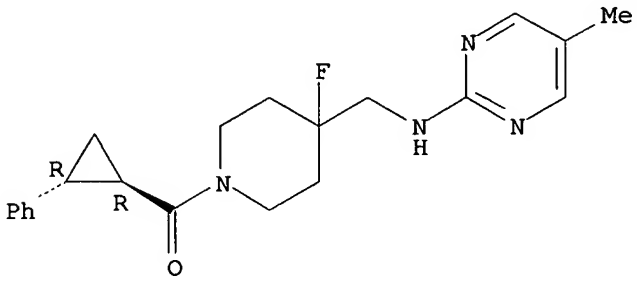
RN 455266-61-2 CAPLUS
CN 4-Piperidinemethanamine, N-(3-methoxy-5-methylpyrazinyl)-1-[[(1R,2R)-2-phenylcyclopropyl]carbonyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



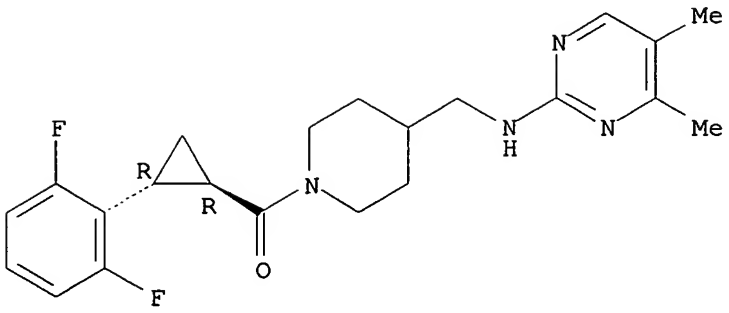
RN 455266-62-3 CAPLUS
CN 4-Piperidinemethanamine, 4-fluoro-N-(5-methyl-2-pyrimidinyl)-1-[[(1R,2R)-2-phenylcyclopropyl]carbonyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

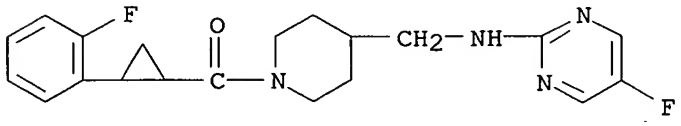


RN 455266-63-4 CAPLUS
CN 4-Piperidinemethanamine, 1-[[(1R,2R)-2-(2,6-difluorophenyl)cyclopropyl]carbonyl]-N-(4,5-dimethyl-2-pyrimidinyl)- (9CI) (CA INDEX NAME)

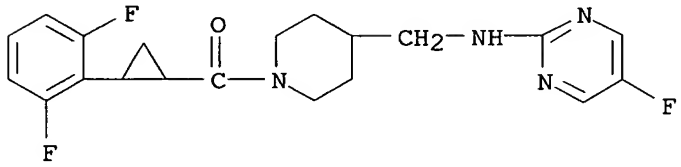
Absolute stereochemistry.



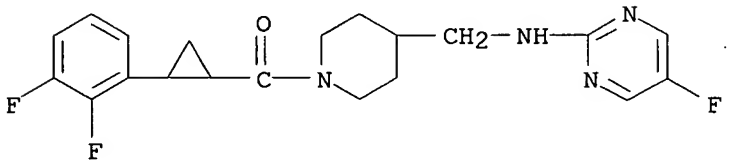
RN 455266-64-5 CAPLUS
CN 4-Piperidinemethanamine, 1-[[2-(2-fluorophenyl)cyclopropyl]carbonyl]-N-(5-fluoro-2-pyrimidinyl)- (9CI) (CA INDEX NAME)



RN 455266-65-6 CAPLUS
CN 4-Piperidinemethanamine, 1-[[2-(2,6-difluorophenyl)cyclopropyl]carbonyl]-N-(5-fluoro-2-pyrimidinyl)- (9CI) (CA INDEX NAME)

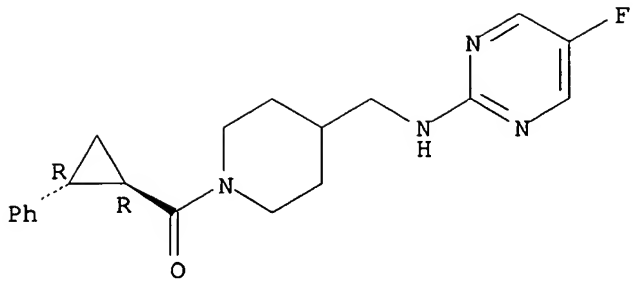


RN 455266-67-8 CAPLUS
CN 4-Piperidinemethanamine, 1-[[2-(2,3-difluorophenyl)cyclopropyl]carbonyl]-N-(5-fluoro-2-pyrimidinyl)- (9CI) (CA INDEX NAME)



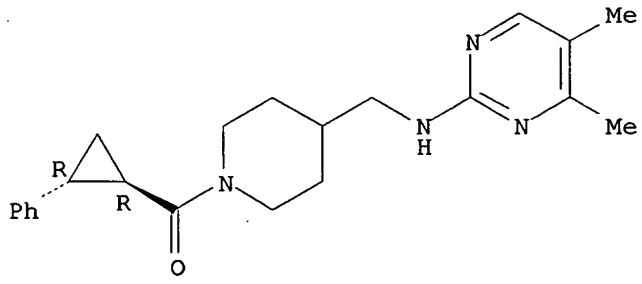
RN 455266-68-9 CAPLUS
CN 4-Piperidinemethanamine, N-(5-fluoro-2-pyrimidinyl)-1-[[(1R,2R)-2-phenylcyclopropyl]carbonyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



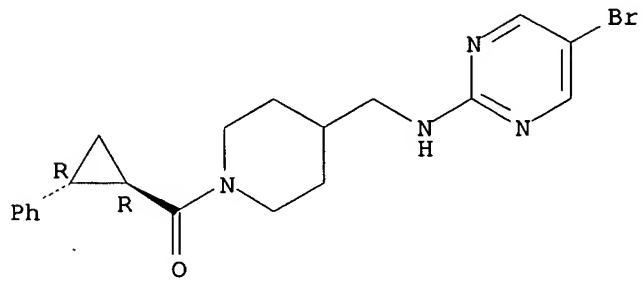
RN 455266-69-0 CAPLUS
CN 4-Piperidinemethanamine, N-(4,5-dimethyl-2-pyrimidinyl)-1-[[(1R,2R)-2-phenylcyclopropyl]carbonyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



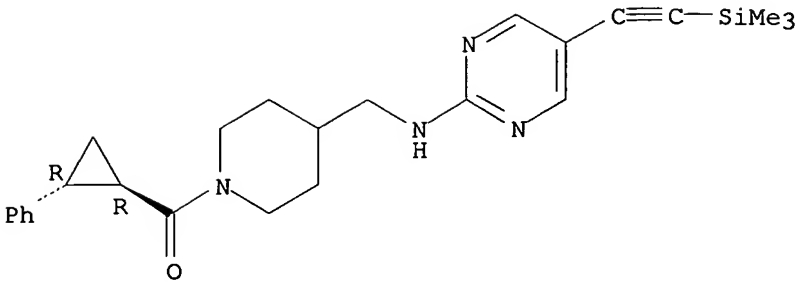
RN 455266-70-3 CAPLUS
CN 4-Piperidinemethanamine, N-(5-bromo-2-pyrimidinyl)-1-[[(1R,2R)-2-phenylcyclopropyl]carbonyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



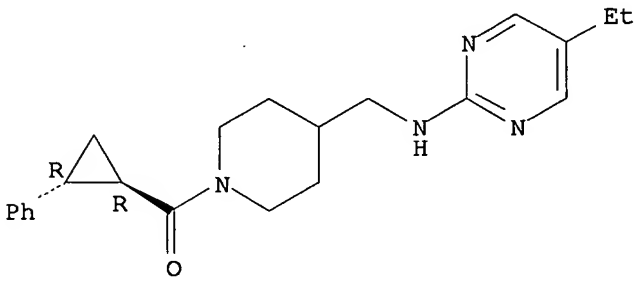
RN 455266-71-4 CAPLUS
CN 4-Piperidinemethanamine, 1-[[(1R,2R)-2-phenylcyclopropyl]carbonyl]-N-[5-[(trimethylsilyl)ethynyl]-2-pyrimidinyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

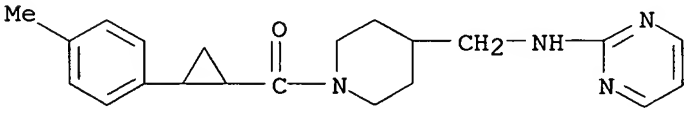


RN 455266-72-5 CAPLUS
CN 4-Piperidinemethanamine, N-(5-ethyl-2-pyrimidinyl)-1-[[(1R,2R)-2-phenylcyclopropyl]carbonyl]- (9CI) (CA INDEX NAME)

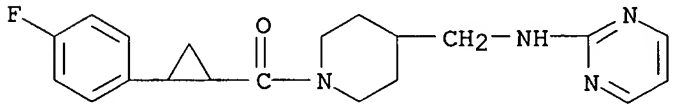
Absolute stereochemistry.



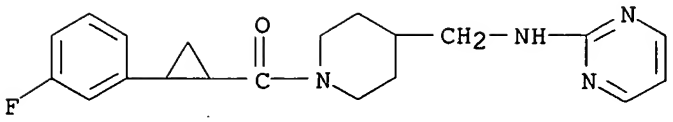
RN 455266-73-6 CAPLUS
CN 4-Piperidinemethanamine, 1-[[2-(4-methylphenyl)cyclopropyl]carbonyl]-N-2-pyrimidinyl- (9CI) (CA INDEX NAME)



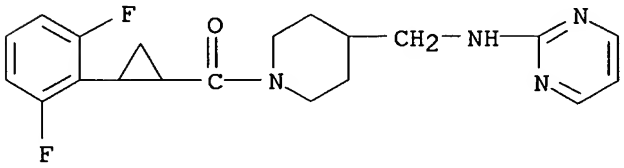
RN 455266-74-7 CAPLUS
CN 4-Piperidinemethanamine, 1-[[2-(4-fluorophenyl)cyclopropyl]carbonyl]-N-2-pyrimidinyl- (9CI) (CA INDEX NAME)



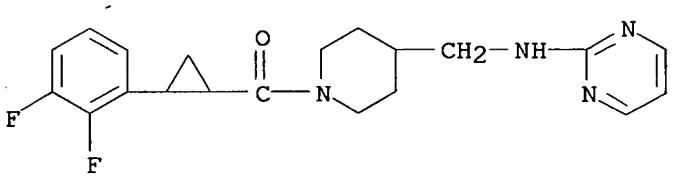
RN 455266-75-8 CAPLUS
CN 4-Piperidinemethanamine, 1-[[2-(3-fluorophenyl)cyclopropyl]carbonyl]-N-2-pyrimidinyl- (9CI) (CA INDEX NAME)



RN 455266-76-9 CAPLUS
CN 4-Piperidinemethanamine, 1-[[2-(2,6-difluorophenyl)cyclopropyl]carbonyl]-N-2-pyrimidinyl- (9CI) (CA INDEX NAME)

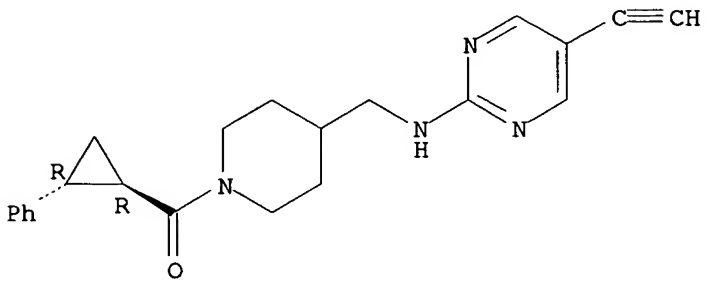


RN 455266-78-1 CAPLUS
CN 4-Piperidinemethanamine, 1-[[2-(2,3-difluorophenyl)cyclopropyl]carbonyl]-N-2-pyrimidinyl- (9CI) (CA INDEX NAME)



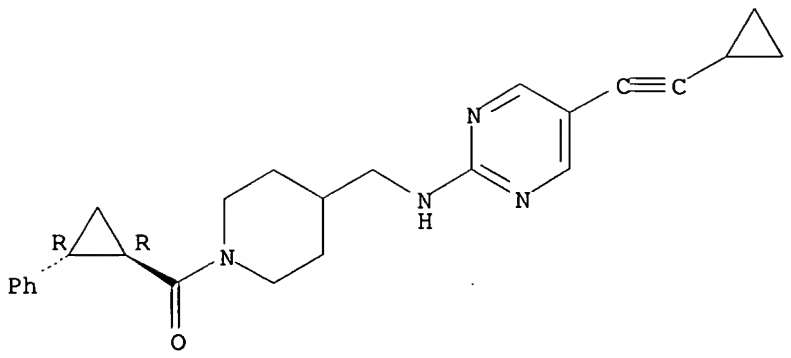
RN 455266-79-2 CAPLUS
CN 4-Piperidinemethanamine, N-(5-ethynyl-2-pyrimidinyl)-1-[[(1R,2R)-2-phenylcyclopropyl]carbonyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

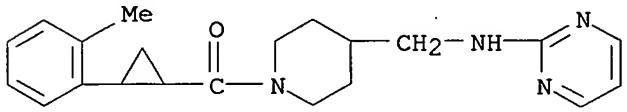


RN 455266-80-5 CAPLUS
CN 4-Piperidinemethanamine, N-[5-(cyclopropylethynyl)-2-pyrimidinyl]-1-[[(1R,2R)-2-phenylcyclopropyl]carbonyl]- (9CI) (CA INDEX NAME)

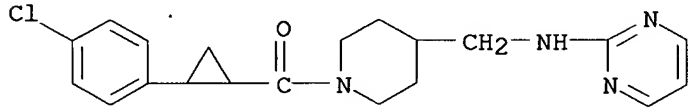
Absolute stereochemistry.



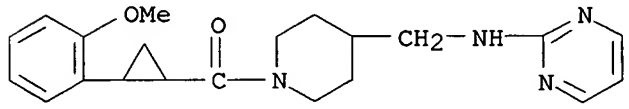
RN 455266-81-6 CAPLUS
CN 4-Piperidinemethanamine, 1-[[2-(2-methylphenyl)cyclopropyl]carbonyl]-N-2-pyrimidinyl- (9CI) (CA INDEX NAME)



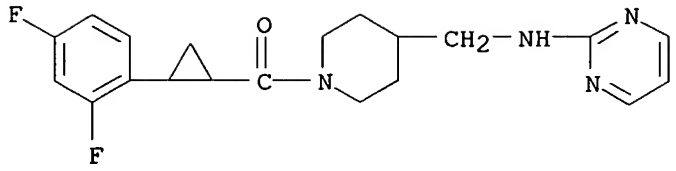
RN 455266-82-7 CAPLUS
CN 4-Piperidinemethanamine, 1-[[2-(4-chlorophenyl)cyclopropyl]carbonyl]-N-2-pyrimidinyl- (9CI) (CA INDEX NAME)



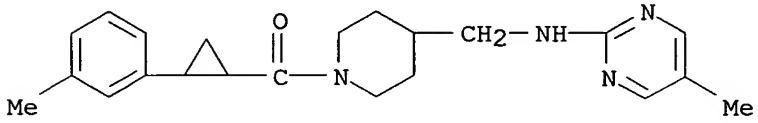
RN 455266-83-8 CAPLUS
CN 4-Piperidinemethanamine, 1-[[2-(2-methoxyphenyl)cyclopropyl]carbonyl]-N-2-pyrimidinyl- (9CI) (CA INDEX NAME)



RN 455266-84-9 CAPLUS
CN 4-Piperidinemethanamine, 1-[[2-(2,4-difluorophenyl)cyclopropyl]carbonyl]-N-2-pyrimidinyl- (9CI) (CA INDEX NAME)

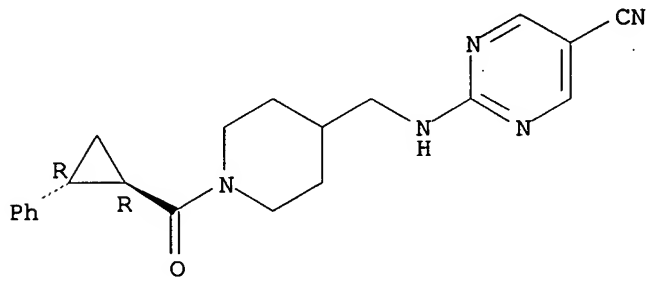


RN 455266-85-0 CAPLUS
CN 4-Piperidinemethanamine, 1-[[2-(3-methylphenyl)cyclopropyl]carbonyl]-N-(5-methyl-2-pyrimidinyl)- (9CI) (CA INDEX NAME)



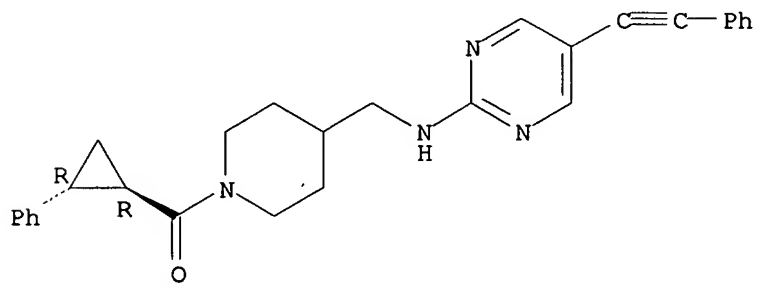
RN 455266-86-1 CAPLUS
CN 4-Piperidinemethanamine, N-(5-cyano-2-pyrimidinyl)-1-[[(1R,2R)-2-phenylcyclopropyl]carbonyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



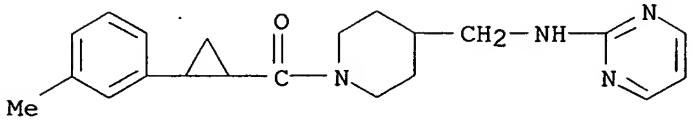
RN 455266-87-2 CAPLUS
CN 4-Piperidinemethanamine, 1-[[(1R,2R)-2-phenylcyclopropyl]carbonyl]-N-[5-(phenylethynyl)-2-pyrimidinyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

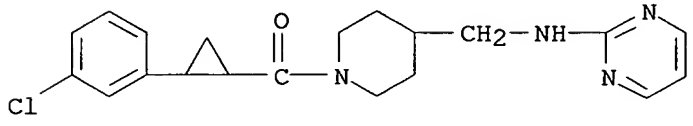


RN 455266-88-3 CAPLUS
CN 4-Piperidinemethanamine, 1-[[2-(3-methylphenyl)cyclopropyl]carbonyl]-N-2-

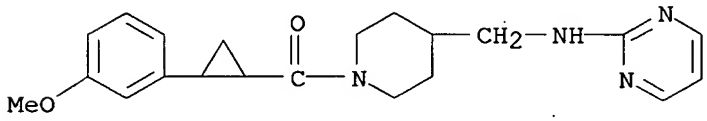
pyrimidinyl- (9CI) (CA INDEX NAME)



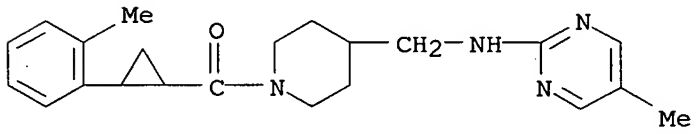
RN 455266-89-4 CAPLUS
CN 4-Piperidinemethanamine, 1-[[2-(3-chlorophenyl)cyclopropyl]carbonyl]-N-2-pyrimidinyl- (9CI) (CA INDEX NAME)



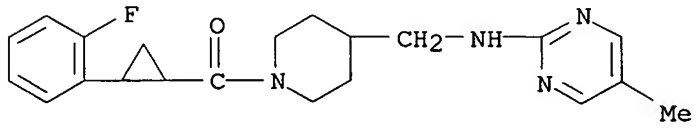
RN 455266-91-8 CAPLUS
CN 4-Piperidinemethanamine, 1-[[2-(3-methoxyphenyl)cyclopropyl]carbonyl]-N-2-pyrimidinyl- (9CI) (CA INDEX NAME)



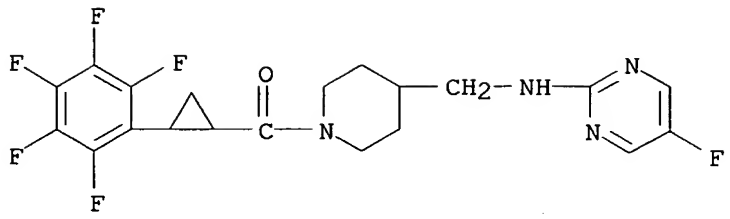
RN 455266-92-9 CAPLUS
CN 4-Piperidinemethanamine, 1-[[2-(2-methylphenyl)cyclopropyl]carbonyl]-N-(5-methyl-2-pyrimidinyl)- (9CI) (CA INDEX NAME)



RN 455266-93-0 CAPLUS
CN 4-Piperidinemethanamine, 1-[[2-(2-fluorophenyl)cyclopropyl]carbonyl]-N-(5-methyl-2-pyrimidinyl)- (9CI) (CA INDEX NAME)

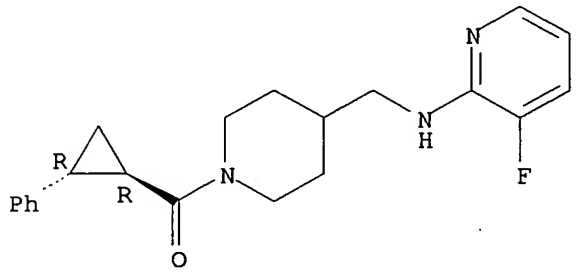


RN 455266-94-1 CAPLUS
CN 4-Piperidinemethanamine, N-(5-fluoro-2-pyrimidinyl)-1-[[2-(pentafluorophenyl)cyclopropyl]carbonyl]- (9CI) (CA INDEX NAME)

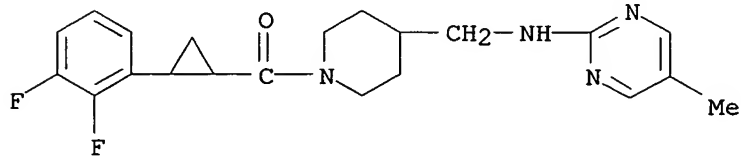


RN 455266-95-2 CAPLUS
CN 4-Piperidinemethanamine, N-(3-fluoro-2-pyridinyl)-1-[[(1R,2R)-2-phenylcyclopropyl]carbonyl]- (9CI) (CA INDEX NAME)

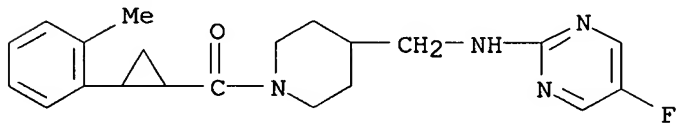
Absolute stereochemistry.



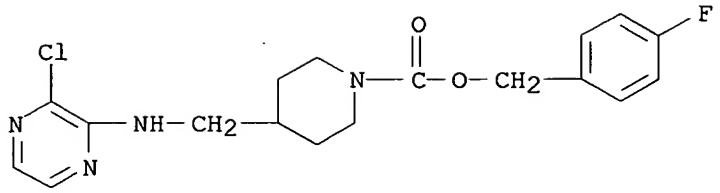
RN 455266-96-3 CAPLUS
CN 4-Piperidinemethanamine, 1-[[2-(2,3-difluorophenyl)cyclopropyl]carbonyl]-N-(5-methyl-2-pyrimidinyl)- (9CI) (CA INDEX NAME)



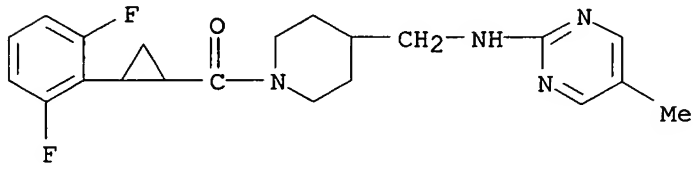
RN 455266-97-4 CAPLUS
CN 4-Piperidinemethanamine, N-(5-fluoro-2-pyrimidinyl)-1-[[2-(2-methylphenyl)cyclopropyl]carbonyl]- (9CI) (CA INDEX NAME)



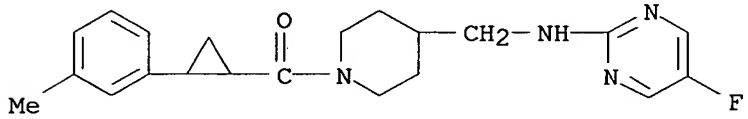
RN 455266-98-5 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[[(3-chloropyrazinyl)amino]methyl]-, (4-fluorophenyl)methyl ester (9CI) (CA INDEX NAME)



RN 455266-99-6 CAPLUS
CN 4-Piperidinemethanamine, 1-[[2-(2,6-difluorophenyl)cyclopropyl]carbonyl]-N-(5-methyl-2-pyrimidinyl)- (9CI) (CA INDEX NAME)

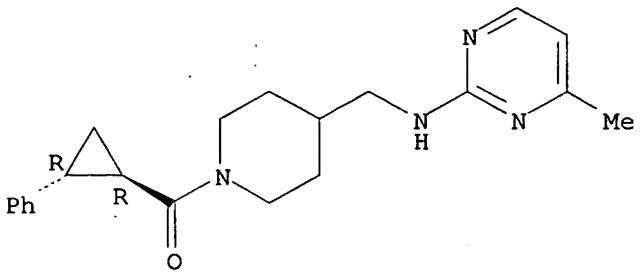


RN 455267-00-2 CAPLUS
CN 4-Piperidinemethanamine, N-(5-fluoro-2-pyrimidinyl)-1-[[2-(3-methylphenyl)cyclopropyl]carbonyl]- (9CI) (CA INDEX NAME)

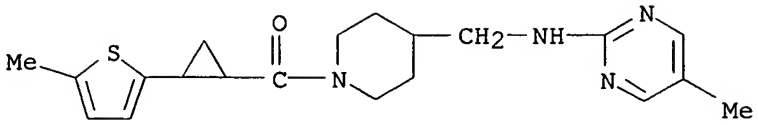


RN 455267-02-4 CAPLUS
CN 4-Piperidinemethanamine, N-(4-methyl-2-pyrimidinyl)-1-[[(1R,2R)-2-phenylcyclopropyl]carbonyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



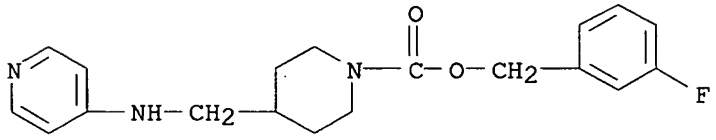
RN 455267-03-5 CAPLUS
CN 4-Piperidinemethanamine, N-(5-methyl-2-pyrimidinyl)-1-[[2-(5-methyl-2-thienyl)cyclopropyl]carbonyl]- (9CI) (CA INDEX NAME)



RN 455268-07-2 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[(4-pyridinylamino)methyl]-, (3-fluorophenyl)methyl ester, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

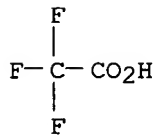
CM 1

CRN 455265-53-9
CMF C19 H22 F N3 O2

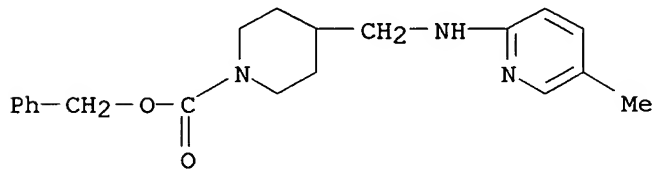


CM 2

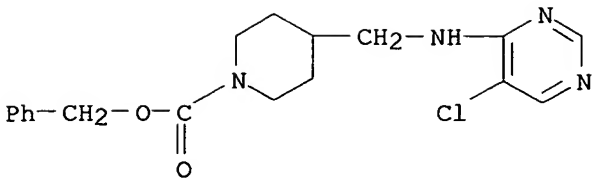
CRN 76-05-1
CMF C2 H F3 O2



RN 455290-06-9 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[[(5-methyl-2-pyridinyl)amino]methyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

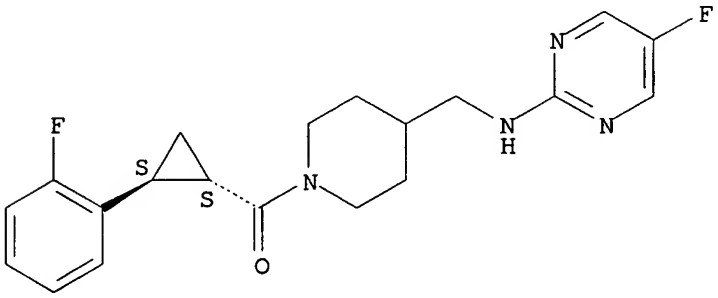


RN 455290-08-1 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[[(5-chloro-4-pyrimidinyl)amino]methyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)



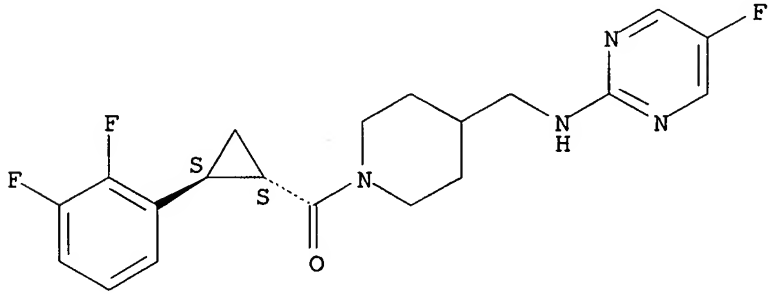
RN 455290-10-5 CAPLUS
CN 4-Piperidinemethanamine, 1-[[(1S,2S)-2-(2-fluorophenyl)cyclopropyl]carbonyl]-N-(5-fluoro-2-pyrimidinyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



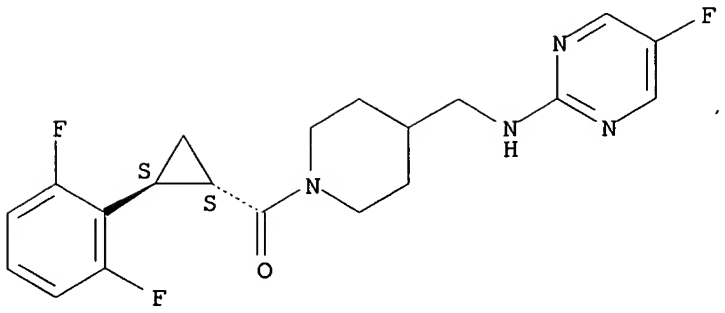
RN 455290-13-8 CAPLUS
CN 4-Piperidinemethanamine, 1-[[(1S,2S)-2-(2,3-difluorophenyl)cyclopropyl]carbonyl]-N-(5-fluoro-2-pyrimidinyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



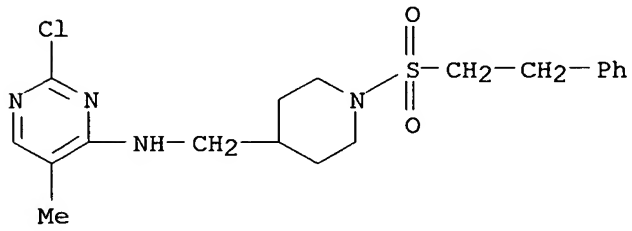
RN 455305-07-4 CAPLUS
CN 4-Piperidinemethanamine, 1-[[(1S,2S)-2-(2,6-difluorophenyl)cyclopropyl]carbonyl]-N-(5-fluoro-2-pyrimidinyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

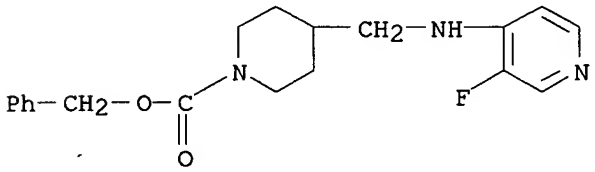


IT **455267-18-2P 455267-68-2P 455267-73-9P**
455267-78-4P 455267-93-3P 455267-94-4P
455267-96-6P 455267-98-8P 455267-99-9P
455268-00-5P 455268-01-6P 455268-04-9P
455268-05-0P 455268-06-1P 455290-15-0P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of N-acyl-4-(heterocyclylaminomethyl)piperidines as NMDA/NR2B antagonists)

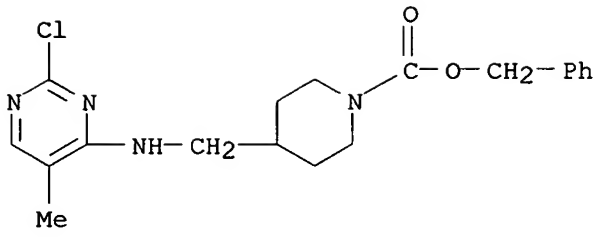
RN 455267-18-2 CAPLUS
CN 4-Piperidinemethanamine, N-(2-chloro-5-methyl-4-pyrimidinyl)-1-[(2-phenylethyl)sulfonyl]- (9CI) (CA INDEX NAME)



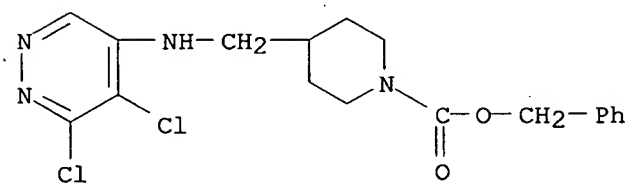
RN 455267-68-2 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[[(3-fluoro-4-pyridinyl)amino]methyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)



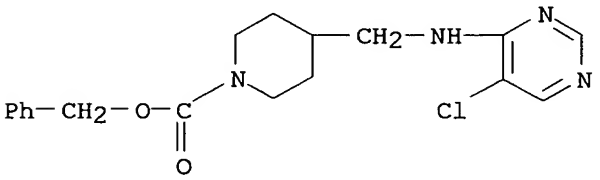
RN 455267-73-9 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[[(2-chloro-5-methyl-4-pyrimidinyl)amino]methyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)



RN 455267-78-4 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[[(5,6-dichloro-4-pyridazinyl)amino]methyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)



RN 455267-93-3 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[[(5-chloro-4-pyrimidinyl)amino]methyl]-, phenylmethyl ester, monohydrochloride (9CI) (CA INDEX NAME)

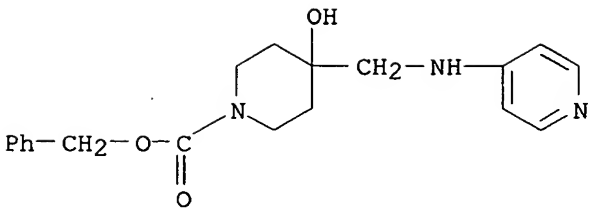


● HCl

RN 455267-94-4 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-hydroxy-4-[(4-pyridinylamino)methyl]-, phenylmethyl ester, mono(trifluoroacetate) (salt) (9CI) (CA INDEX NAME)

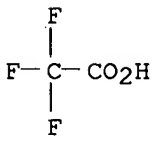
CM 1

CRN 455265-72-2
CMF C19 H23 N3 O3



CM 2

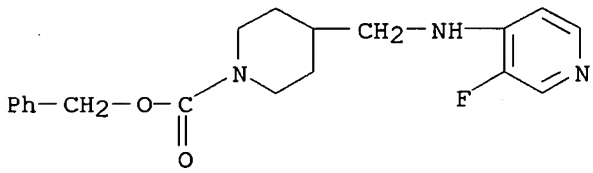
CRN 76-05-1
CMF C2 H F3 O2



RN 455267-96-6 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[[(3-fluoro-4-pyridinyl)amino]methyl]-, phenylmethyl ester, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

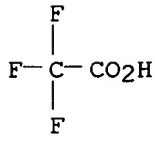
CM 1

CRN 455267-68-2
CMF C19 H22 F N3 O2



CM 2

CRN 76-05-1
CMF C2 H F3 O2

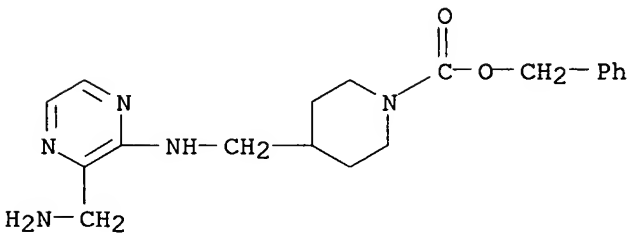


RN 455267-98-8 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[[[3-(aminomethyl)pyrazinyl]amino]methyl]-,

phenylmethyl ester, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

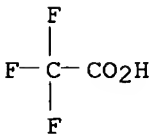
CM 1

CRN 455266-41-8
CMF C19 H25 N5 O2



CM 2

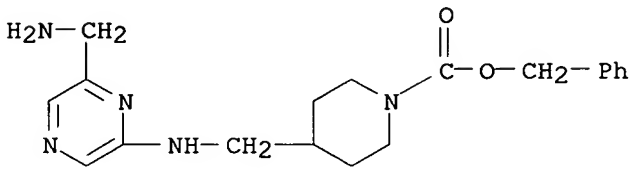
CRN 76-05-1
CMF C2 H F3 O2



RN 455267-99-9 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[[[6-(aminomethyl)pyrazinyl]amino]methyl]-, phenylmethyl ester, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

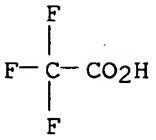
CM 1

CRN 455266-32-7
CMF C19 H25 N5 O2



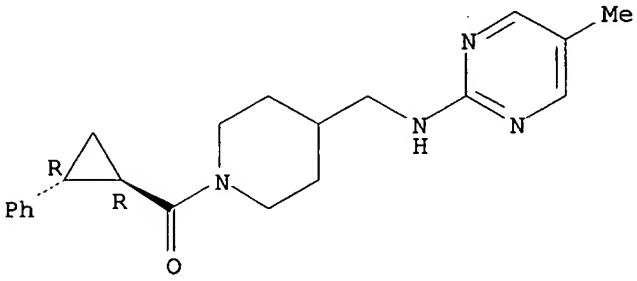
CM 2

CRN 76-05-1
CMF C2 H F3 O2



RN 455268-00-5 CAPLUS
CN 4-Piperidinemethanamine, N-(5-methyl-2-pyrimidinyl)-1-[[(1R,2R)-2-phenylcyclopropyl]carbonyl]-, monohydrochloride (9CI) (CA INDEX NAME)

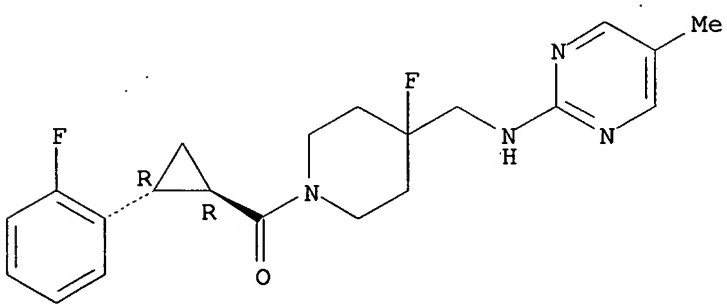
Absolute stereochemistry.



● HCl

RN 455268-01-6 CAPLUS
CN 4-Piperidinemethanamine, 4-fluoro-1-[[(1R,2R)-2-(2-fluorophenyl)cyclopropyl]carbonyl]-N-(5-methyl-2-pyrimidinyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

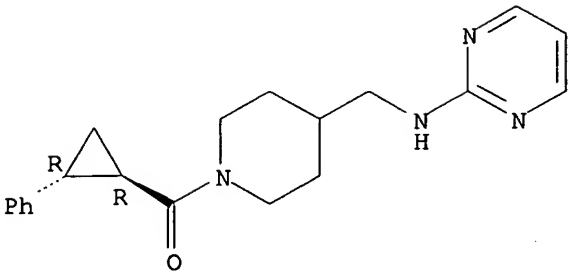


RN 455268-04-9 CAPLUS
CN 4-Piperidinemethanamine, 1-[[(1R,2R)-2-phenylcyclopropyl]carbonyl]-N-2-pyrimidinyl-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

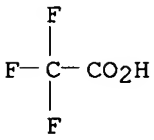
CRN 455268-03-8
CMF C20 H24 N4 O

Absolute stereochemistry.



CM 2

CRN 76-05-1
CMF C2 H F3 O2

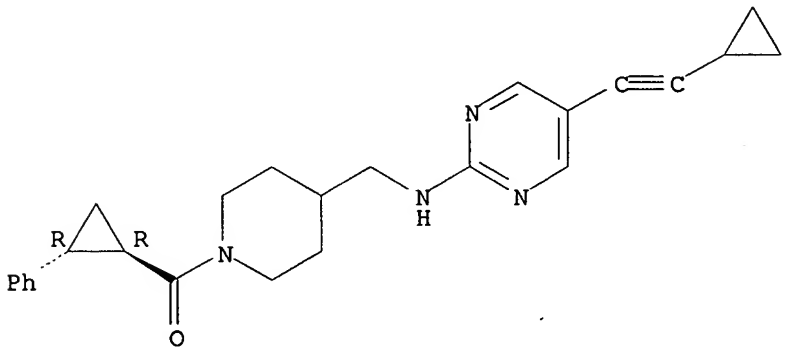


RN 455268-05-0 CAPLUS
CN 4-Piperidinemethanamine, N-[5-(cyclopropylethynyl)-2-pyrimidinyl]-1-[[(1R,2R)-2-phenylcyclopropyl]carbonyl]-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 455266-80-5
CMF C25 H28 N4 O

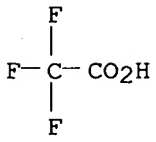
Absolute stereochemistry.



CM 2

CRN 76-05-1

CMF C2 H F3 O2

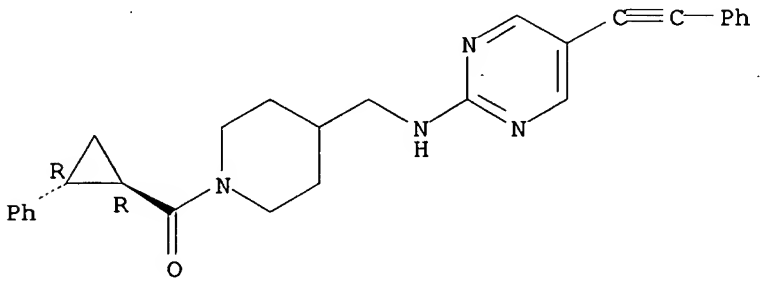


RN 455268-06-1 CAPLUS
CN 4-Piperidinemethanamine, 1-[[(1R,2R)-2-phenylcyclopropyl]carbonyl]-N-[5-(phenylethynyl)-2-pyrimidinyl]-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

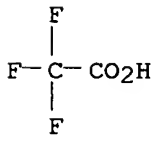
CRN 455266-87-2
CMF C28 H28 N4 O

Absolute stereochemistry.

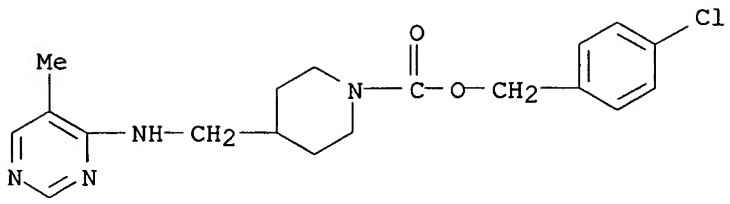


CM 2

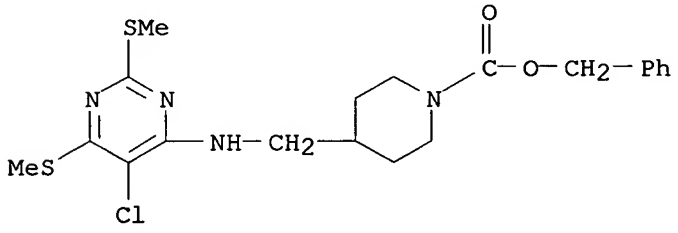
CRN 76-05-1
CMF C2 H F3 O2



RN 455290-15-0 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[[(5-methyl-4-pyrimidinyl)amino]methyl]-, (4-chlorophenyl)methyl ester (9CI) (CA INDEX NAME)

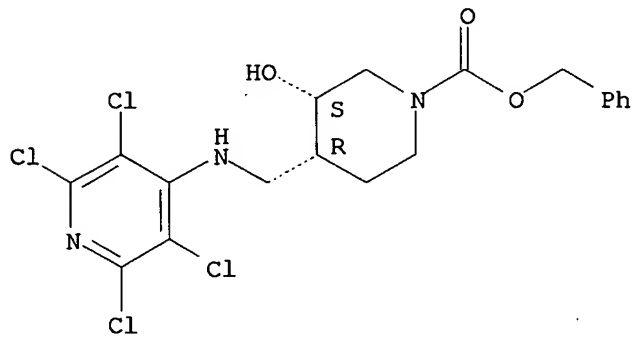


IT **455267-76-2**
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of N-acyl-4-(heterocyclylaminomethyl)piperidines as NMDA/NR2B antagonists)
RN 455267-76-2 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[[[5-chloro-2,6-bis(methylthio)-4-pyrimidinyl]amino]methyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

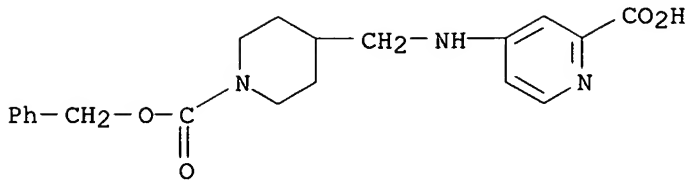


IT **455267-07-9P 455267-08-0P 455267-15-9P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of N-acyl-4-(heterocyclylaminomethyl)piperidines as NMDA/NR2B antagonists)
RN 455267-07-9 CAPLUS
CN 1-Piperidinecarboxylic acid, 3-hydroxy-4-[[(2,3,5,6-tetrachloro-4-pyridinyl)amino]methyl]-, phenylmethyl ester, (3R,4S)-rel- (9CI) (CA INDEX NAME)

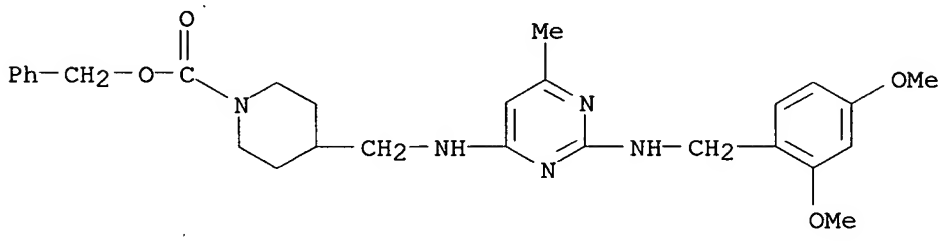
Relative stereochemistry.



RN 455267-08-0 CAPLUS
CN 2-Pyridinecarboxylic acid, 4-[[[1-[(phenylmethoxy)carbonyl]-4-piperidinyl]methyl]amino]- (9CI) (CA INDEX NAME)



RN 455267-15-9 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[[[2-[[(2,4-dimethoxyphenyl)methyl]amino]-6-methyl-4-pyrimidinyl]amino]methyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)



RE.CNT 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 5 OF 7 CAPLUS COPYRIGHT 2005 ACS on STN
AN 2001:115130 CAPLUS
DN 134:178474
TI Preparation of oxobenzazepinealkanoates and analogs as integrin receptor antagonists
IN Kling, Andreas; Geneste, Herve; Lange, Udo; Lauterbach, Arnulf; Graef, Claudia Isabella; Subkowski, Thomas; Holzenkamp, Uta; Mack, Helmut; Sadowski, Jens; Hornberger, Wilfried; Laux, Volker
PA BASF Aktiengesellschaft, Germany
SO PCT Int. Appl., 158 pp.
CODEN: PIXXD2
DT Patent
LA German
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2001010847	A2	20010215	WO 2000-EP7440	20000801
	WO 2001010847	A3	20011101		
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	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
	DE 19936780	A1	20010215	DE 1999-19936780	19990809
	CA 2379977	AA	20010215	CA 2000-2379977	20000801
	EP 1202988	A2	20020508	EP 2000-958347	20000801
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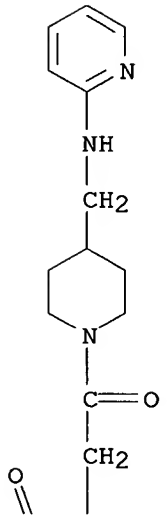
	BR 2000013265	A	20020514	BR 2000-13265	20000801
	TR 200200357	T2	20020923	TR 2002-200200357	20000801
	JP 2003506441	T2	20030218	JP 2001-515313	20000801
	BG 106395	A	20021229	BG 2002-106395	20020206
	NO 2002000644	A	20020318	NO 2002-644	20020208
PRAI	DE 1999-19936780	A	19990809		
	WO 2000-EP7440	W	20000801		

OS MARPAT 134:178474
AB RZZ1R1 [I; R = group contg, ≥1 non-H H-bonding atom; R1 = CO2H, or group hydrolizable to CO2H; Z = e.g., (hetero)annelated 2-oxo-1-benzazepin-1,5-diyl; Z1 = bond, (un)substituted NHCH2, -OCH2, -alkylene, -CH:CH, etc.] were prepared Thus, Me 11-methoxycarbonylmethyl-6-oxo-6,11-dihydro-5H-dibenz[b,e]azepine-5-acetate (preparation given) was amidated by N-(2-aminoethyl)pyridine-2-amine to give, after saponification, title compound II. Data for biol. activity of I were given.
IT **326399-42-2P 326401-50-7P 326401-54-1P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of oxobenzazepinealkanoates and analogs as integrin receptor antagonists)
RN 326399-42-2 CAPLUS
CN 5H-Dibenz[b,e]azepine-11-acetic acid, 6,11-dihydro-6-oxo-5-[2-oxo-2-[4-[(2-pyridinylamino)methyl]-1-piperidinyl]ethyl]-, monoacetate (9CI) (CA INDEX NAME)

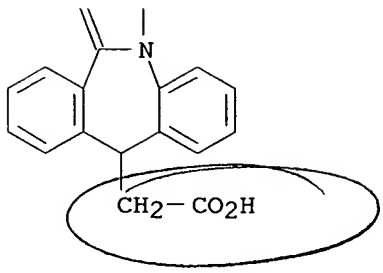
CM 1

CRN 326399-41-1
CMF C29 H30 N4 O4

PAGE 1-A

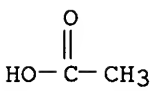


PAGE 2-A



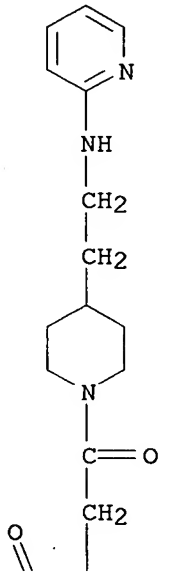
CM 2

CRN 64-19-7
CMF C2 H4 O2

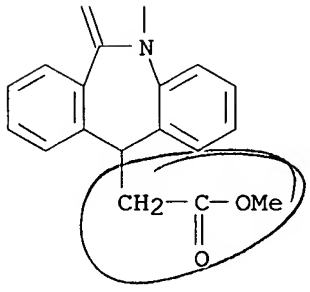


RN 326401-50-7 CAPLUS
CN 5H-Dibenz[b,e]azepine-11-acetic acid, 6,11-dihydro-6-oxo-5-[2-oxo-2-[4-[2-(2-pyridinylamino)ethyl]-1-piperidinyl]ethyl]-, methyl ester (9CI) (CA INDEX NAME)

PAGE 1-A

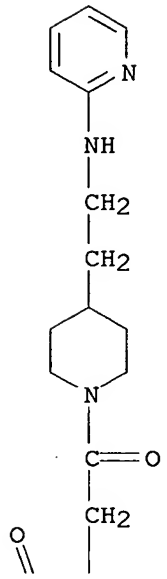


PAGE 2-A

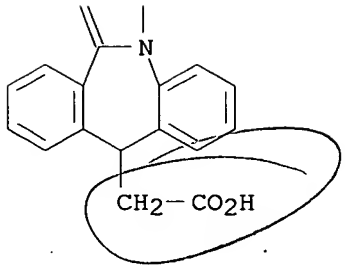


RN 326401-54-1 CAPLUS
CN 5H-Dibenz[b,e]azepine-11-acetic acid, 6,11-dihydro-6-oxo-5-[2-oxo-2-[4-[2-(2-pyridinylamino)ethyl]-1-piperidinyl]ethyl]- (9CI) (CA INDEX NAME)

PAGE 1-A



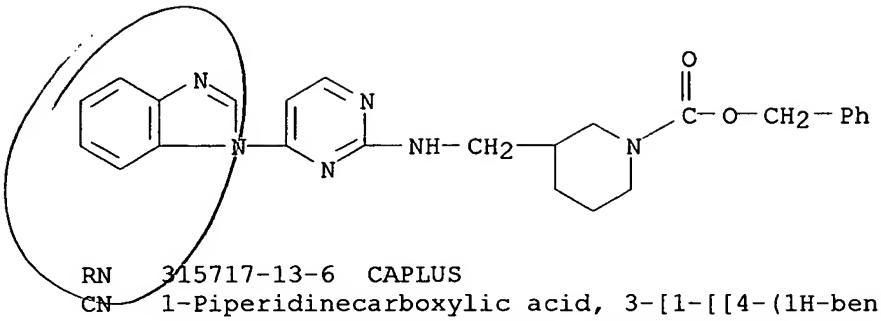
PAGE 2-A



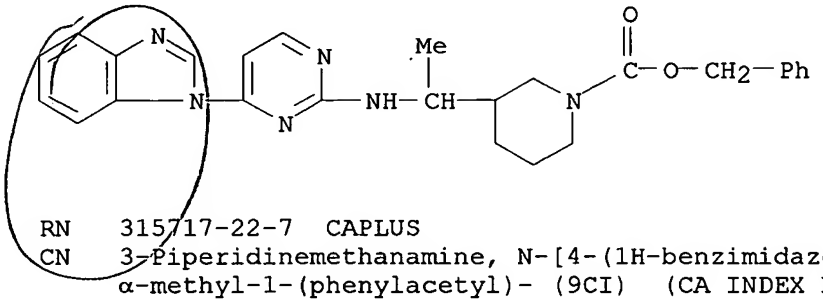
L11 ANSWER 6 OF 7 CAPLUS COPYRIGHT 2005 ACS on STN
AN 2001:12267 CAPLUS
DN 134:71602
TI Preparation and effect of benzimidazolylpyrimidine derivatives as SRC kinase inhibitors
IN Goulet, Joung L.; Holmes, Mark A.; Hunt, Julianne A.; Mills, Sander G.; Parsons, William H.; Sinclair, Peter J.; Zaller, Dennis M.
PA Merck & Co., Inc., USA
SO PCT Int. Appl., 173 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2001000207	A1	20010104	WO 2000-US17510	20000626
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
	CA 2376957	AA	20010104	CA 2000-2376957	20000626
	US 6329380	B1	20011211	US 2000-603688	20000626
	EP 1206260	A1	20020522	EP 2000-953637	20000626
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL				
	JP 2003503351	T2	20030128	JP 2001-505916	20000626
PRAI	US 1999-141630P	P	19990630		
	WO 2000-US17510	W	20000626		

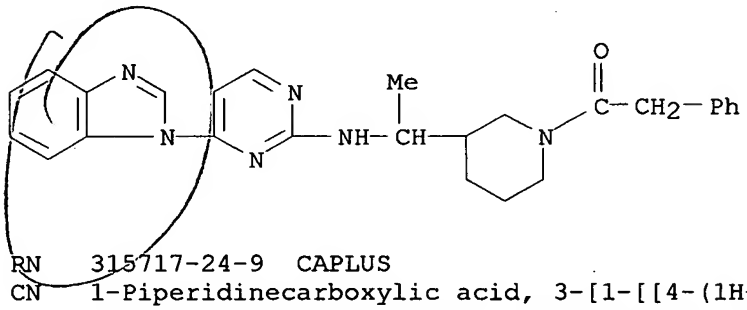
OS MARPAT 134:71602
AB Title Pyrimidine compds. [I; R1, R2 independently = H, Br, Cl, I, F, OH, SH, CN, NO2, NH2; R1R2 ; fused methylenedioxy ring, fused 6-membered aromatic ring; R3, R5 independently = H, alkyl, aryl; R3R5 = O; R4 = H, alkyl, alkoxyl; X1, X2, X3, X4 independently = CH, CBr, COH, CSH, CNO2, N; R7 = H, NH2, alkyl, aryl, alkylamino, arylamino; Y = O, N, CH; Z = CO, SO2, bond; m, n independently = 0, 1, 2, 3, 4], or their pharmaceutically acceptable salts, hydrates, solvates, crystal forms and individual diastereomers, and pharmaceutical compns. including the same, which are inhibitors of tyrosine kinase enzymes, and as such are useful in the prophylaxis and treatment of protein tyrosine kinase-associated disorders, such as immune diseases, hyperproliferative disorders and other diseases in which inappropriate protein kinase action is believed to play a role, such as cancer, angiogenesis, atherosclerosis, graft rejection, rheumatoid arthritis and psoriasis. Thus, the title compound II was prepared and tested.
IT **315717-01-2P 315717-13-6P 315717-22-7P**
315717-24-9P 315717-39-6P 315719-53-0P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation and effect of benzimidazolylpyrimidine derivs. as SRC kinase inhibitors)
RN 315717-01-2 CAPLUS
CN 1-Piperidinecarboxylic acid, 3-[[[4-(1H-benzimidazol-1-yl)-2-pyrimidinyl]amino]methyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)



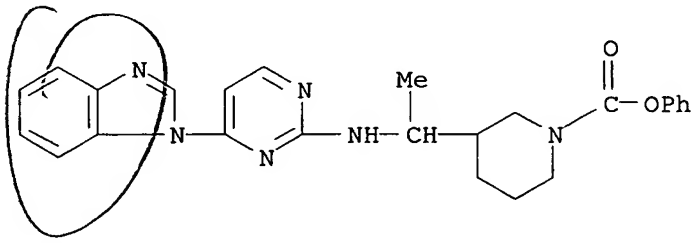
RN 315717-13-6 CAPLUS
CN 1-Piperidinecarboxylic acid, 3-[1-[[4-(1H-benzimidazol-1-yl)-2-pyrimidinyl]amino]ethyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)



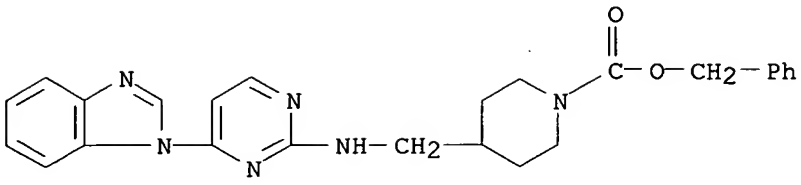
RN 315717-22-7 CAPLUS
CN 3-Piperidinemethanamine, N-[4-(1H-benzimidazol-1-yl)-2-pyrimidinyl]-α-methyl-1-(phenylacetyl)- (9CI) (CA INDEX NAME)



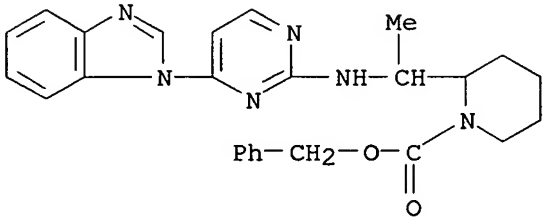
RN 315717-24-9 CAPLUS
CN 1-Piperidinecarboxylic acid, 3-[1-[[4-(1H-benzimidazol-1-yl)-2-pyrimidinyl]amino]ethyl]-, phenyl ester (9CI) (CA INDEX NAME)



RN 315717-39-6 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[[[4-(1H-benzimidazol-1-yl)-2-pyrimidinyl]amino]methyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

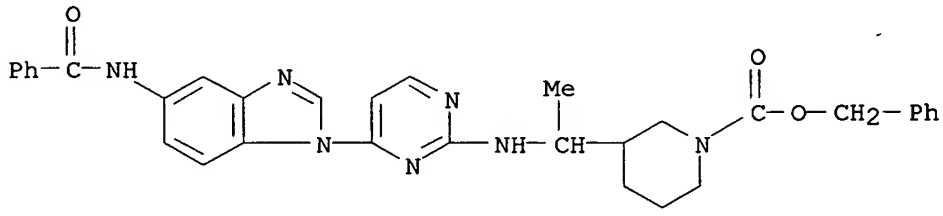


RN 315719-53-0 CAPLUS
CN 1-Piperidinecarboxylic acid, 2-[1-[[4-(1H-benzimidazol-1-yl)-2-pyrimidinyl]amino]ethyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

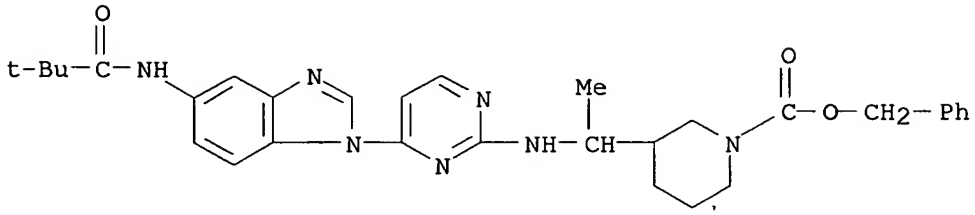


IT **315717-82-9P 315717-84-1P 315717-87-4P**
315717-89-6P, 2-[1-(1-Benzyloxycarbonylpiperidin-3-yl)ethylamino]-4-[5-N-(tert-butyloxycarbonyl)aminobenzimidazol-1-yl]pyrimidine
315718-00-4P 315718-02-6P 315718-03-7P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and effect of benzimidazolylpyrimidine derivs. as SRC kinase inhibitors)

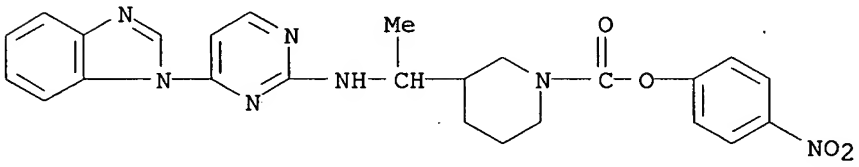
RN 315717-82-9 CAPLUS
CN 1-Piperidinecarboxylic acid, 3-[1-[[4-[5-(benzoylamino)-1H-benzimidazol-1-yl]-2-pyrimidinyl]amino]ethyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)



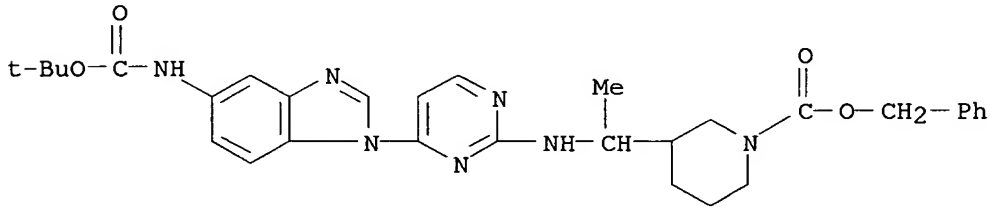
RN 315717-84-1 CAPLUS
CN 1-Piperidinecarboxylic acid, 3-[1-[[4-[5-[(2,2-dimethyl-1-oxopropyl)amino]-1H-benzimidazol-1-yl]-2-pyrimidinyl]amino]ethyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)



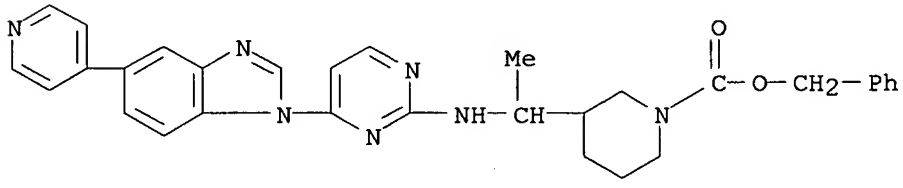
RN 315717-87-4 CAPLUS
CN 1-Piperidinecarboxylic acid, 3-[1-[[4-(1H-benzimidazol-1-yl)-2-pyrimidinyl]amino]ethyl]-, 4-nitrophenyl ester (9CI) (CA INDEX NAME)



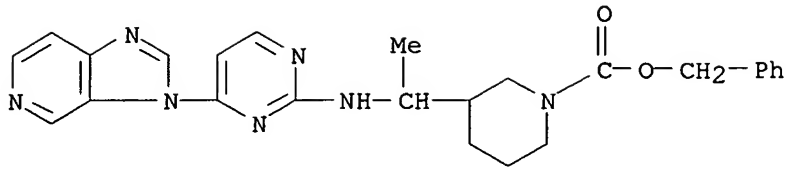
RN 315717-89-6 CAPLUS
CN 1-Piperidinecarboxylic acid, 3-[1-[[4-[5-[[(1,1-dimethylethoxy)carbonyl]amino]-1H-benzimidazol-1-yl]-2-pyrimidinyl]amino]ethyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)



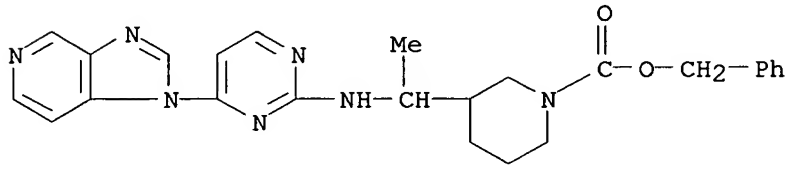
RN 315718-00-4 CAPLUS
CN 1-Piperidinecarboxylic acid, 3-[1-[[4-[5-(4-pyridinyl)-1H-benzimidazol-1-yl]-2-pyrimidinyl]amino]ethyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)



RN 315718-02-6 CAPLUS
CN 1-Piperidinecarboxylic acid, 3-[1-[[4-(3H-imidazo[4,5-c]pyridin-3-yl)-2-pyrimidinyl]amino]ethyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)



RN 315718-03-7 CAPLUS
CN 1-Piperidinecarboxylic acid, 3-[1-[[4-(1H-imidazo[4,5-c]pyridin-1-yl)-2-pyrimidinyl]amino]ethyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

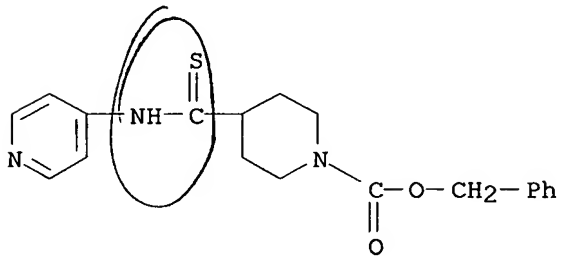


RE.CNT 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 7 OF 7 CAPLUS COPYRIGHT 2005 ACS on STN
AN 1987:515499 CAPLUS
DN 107:115499
TI Preparation of (pyridylcarbamoyl)piperidine derivatives as cardiovascular agents and antihypertensives
IN Muro, Tomio; Seki, Toshio; Kawakami, Minoru; Inui, Atsushi; Sato, Hiroyuki
PA Yoshitomi Pharmaceutical Industries, Ltd., Japan
SO Jpn. Kokai Tokkyo Koho, 12 pp.
CODEN: JKXXAF
DT Patent
LA Japanese
FAN.CNT 1

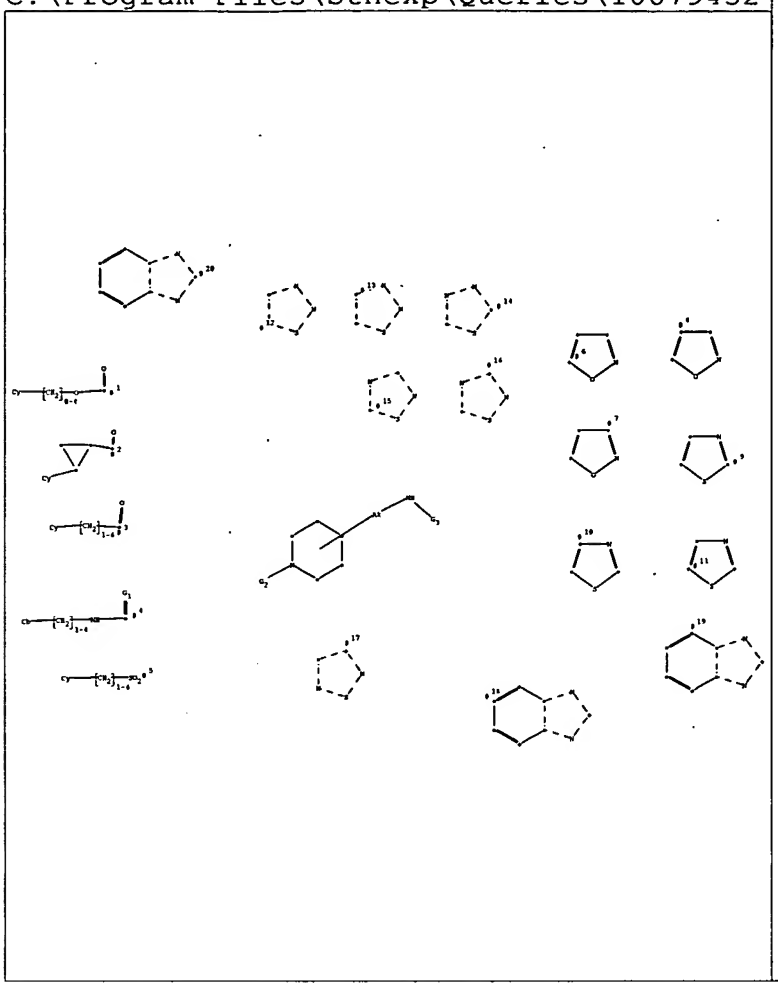
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	JP 62089679	A2	19870424	JP 1986-139474	19860616
	JP 06080054	B4	19941012		
PRAI	JP 1985-133606	A1	19850619		

OS CASREACT 107:115499
AB The title compds. [I; R1 = H, OH, alkoxy, alkoxycarbonyloxy, alkanoyloxy, aralkyloxycarbonyloxy; R2 = H, alkyl, aralkyl, dialkylaminoalkyl; R3, R4 = H, halo, alkyl, aralkyloxy; Z = O, S, H2; L = H, alkyl, dialkylaminoalkyl, tetrahydrofurfuryl, carbamoylalkyl, phthalimidoalkyl, acyl, (un)substituted phenoxy(hydroxy)alkyl, (un)substituted benzoylalkyl, (un)substituted phenylalkyl, etc.] and their acid addition salts, useful as antihypertensives and cardiovascular agents (no data), were prepared A mixture of 7.34 g 4-(4-pyridylcarbamoyl)piperidine.2HBr and 4.93 g 1-(2, 3-epoxypropyloxy)-2-(thienylmethyl)benzene in EtOH containing Et3N was refluxed for 10 h to give the piperidine derivative II.
IT **110105-87-8P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of, as cardiovascular agent)
RN 110105-87-8 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[(4-pyridinylamino)thioxomethyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)



```
=> => d his

     (FILE 'HOME' ENTERED AT 13:47:33 ON 21 JAN 2005)

     FILE 'REGISTRY' ENTERED AT 13:49:13 ON 21 JAN 2005
L1              SCREEN 1840
L2              SCREEN 2016 OR  2039 OR  2040 OR  2045 OR  2047
L3              STRUCTURE UPLOADED
L4              QUE L3 AND L1 NOT L2
L5            5 S L4 SSS SAM
L6          231 S L4 SSS FUL
L7              STRUCTURE UPLOADED
L8            4 S L7 SSS SAM SUB=L6
L9           24 S L7 SSS FUL SUB=L6
L10         207 S L6 NOT L9

     FILE 'CAPLUS' ENTERED AT 13:56:00 ON 21 JAN 2005
L11           7 S L10

     FILE 'CAOLD' ENTERED AT 13:57:09 ON 21 JAN 2005

=> s l10
L12           0 L10

=> log y
COST IN U.S. DOLLARS                                SINCE FILE      TOTAL
                                                      ENTRY    SESSION
FULL ESTIMATED COST                                    0.43     240.22

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)          SINCE FILE      TOTAL
                                                      ENTRY    SESSION
CA SUBSCRIBER PRICE                                    0.00      -5.11

STN INTERNATIONAL LOGOFF AT 13:57:22 ON 21 JAN 2005
```

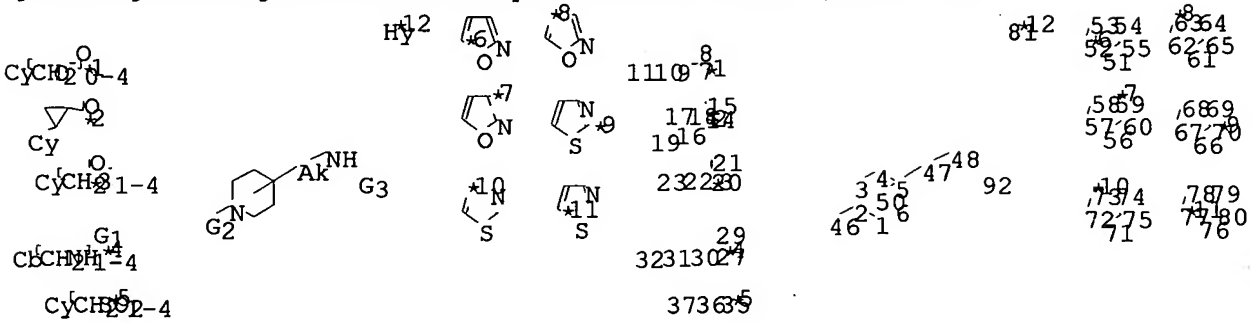
C:\Program Files\Stnexp\Queries\10079452



chain nodes :
7 8 9 10 11 14 15 19 20 21 22 23 27 29 30 31 32 35 36 37
46 47 48 157
ring nodes :
1 2 3 4 5 6 16 17 18 51 52 53 54 55 56 57 58 59 60 61
62 63 64 65 66 67 68 69 70 71 72 73 74 75 76 77 78 79 80
88 89 90 91 92 93 94 95 96 97 98 99 100 101 102 103 104
105 106 107 108 109 110 111 112 113 114 115 116 117 125 126
127 128 129 130 131 132 133 134 135 136 137 138 139 140 141
142 143 144 145 146 147 148 149 150 151
chain bonds :
2-46 7-8 7-9 9-10 10-11 14-15 14-18 16-19 20-21 20-22 22-23
27-29 27-30 30-31 31-32 35-36 36-37 47-48 48-157
ring bonds :
1-2 1-6 2-3 3-4 4-5 5-6 16-17 16-18 17-18 51-52 51-55 52-53
53-54 54-55 56-57 56-60 57-58 58-59 59-60 61-62 61-65 62-63 63-64
64-65 66-67 66-70 67-68 68-69 69-70 71-72 71-75 72-73 73-74 74-75
76-77 76-80 77-78 78-79 79-80 88-89 88-92 89-90 90-91 91-92 93-94
93-97 94-95 95-96 96-97 98-99 98-102 99-100 100-101 101-102
103-104 103-107 104-105 105-106 106-107 108-109 108-112 109-110
110-111 111-112 113-114 113-117 114-115 115-116 116-117 125-126
125-130 126-127 127-128 128-129 129-130 129-131 130-133 131-132
132-133 134-135 134-139 135-136 136-137 137-138 138-139 138-140
139-142 140-141 141-142 143-144 143-148 144-145 145-146 146-147
147-148 147-149 148-151 149-150 150-151
exact/norm bonds :
1-2 1-6 2-3 2-46 3-4 4-5 5-6 7-8 7-9 10-11 14-15 16-19 20-21
22-23 27-29 27-30 36-37 47-48 48-157 54-55 59-60 64-65 68-69
69-70 73-74 74-75 78-79 79-80 88-89 88-92 89-90 90-91 91-92 93-94
93-97 94-95 95-96 96-97 98-99 98-102 99-100 100-101 101-102
103-104 103-107 104-105 105-106 106-107 108-109 108-112 109-110
110-111 111-112 113-114 113-117 114-115 115-116 116-117 129-130
129-131 130-133 131-132 132-133 138-139 138-140 139-142 140-141
141-142 147-148 147-149 148-151 149-150 150-151
exact bonds :

```
    9-10  14-18  16-17  16-18  17-18  20-22  30-31  31-32  35-36  51-52  51-55
    52-53  53-54  56-57  56-60  57-58  58-59  61-62  61-65  62-63  63-64  66-67
    66-70  67-68  71-72  71-75  72-73  76-77  76-80  77-78  125-126  125-130
    126-127  127-128  128-129  134-135  134-139  135-136  136-137  137-138
    143-144  143-148  144-145  145-146  146-147
isolated ring systems :
    containing 1 : 16 : 51 : 56 : 61 : 66 : 71 : 76 : 88 : 93 : 98 : 103 : 108 :
    113 : 125 : 134 : 143 :


G1:O,N

G2:[*1],[*2],[*3],[*4],[*5]

G3:[*6],[*7],[*8],[*9],[*10],[*11],[*12],[*13],[*14],[*15],[*16],[*17],[*18],[*19
    ],[*20]

Match level :
    1:Atom  2:Atom  3:Atom  4:Atom  5:Atom  6:Atom  7:CLASS  8:CLASS  9:CLASS
    10:CLASS  11:Atom  14:CLASS  15:CLASS  16:Atom  17:Atom  18:Atom  19:Atom
    20:CLASS  21:CLASS  22:CLASS  23:Atom  27:CLASS  29:CLASS  30:CLASS
    31:CLASS  32:Atom  35:CLASS  36:CLASS  37:Atom  46:CLASS  47:CLASS
    48:CLASS  50:CLASS  51:Atom  52:Atom  53:Atom  54:CLASS  55:Atom  56:Atom
    57:Atom  58:Atom  59:CLASS  60:Atom  61:Atom  62:Atom  63:Atom  64:CLASS
    65:Atom  66:CLASS  67:CLASS  68:Atom  69:CLASS  70:CLASS  71:CLASS
    72:CLASS  73:Atom  74:CLASS  75:CLASS  76:CLASS  77:CLASS  78:Atom
    79:CLASS  80:CLASS  88:Atom  89:CLASS  90:CLASS  91:Atom  92:Atom  93:Atom
    94:CLASS  95:CLASS  96:Atom  97:Atom  98:Atom  99:CLASS  100:CLASS
    101:Atom  102:Atom  103:Atom  104:CLASS  105:CLASS  106:Atom  107:Atom
    108:Atom  109:CLASS  110:CLASS  111:Atom  112:Atom  113:Atom  114:CLASS
    115:CLASS  116:Atom  117:Atom  125:Atom  126:Atom  127:Atom  128:Atom
    129:Atom  130:Atom  131:Atom  132:Atom  133:Atom  134:Atom  135:Atom
    136:Atom  137:Atom  138:Atom  139:Atom  140:Atom  141:Atom  142:Atom
    143:Atom  144:Atom  145:Atom  146:Atom  147:Atom  148:Atom  149:Atom
    150:Atom  151:Atom  157:CLASS
Generic attributes :
    11:
    Saturation              : Unsaturated
    19:
    Saturation              : Unsaturated
    23:
    Saturation              : Unsaturated
    32:
    Saturation              : Unsaturated
    37:
    Saturation              : Unsaturated

Element Count :
    Node 47: Limited
        C,C1-5
```

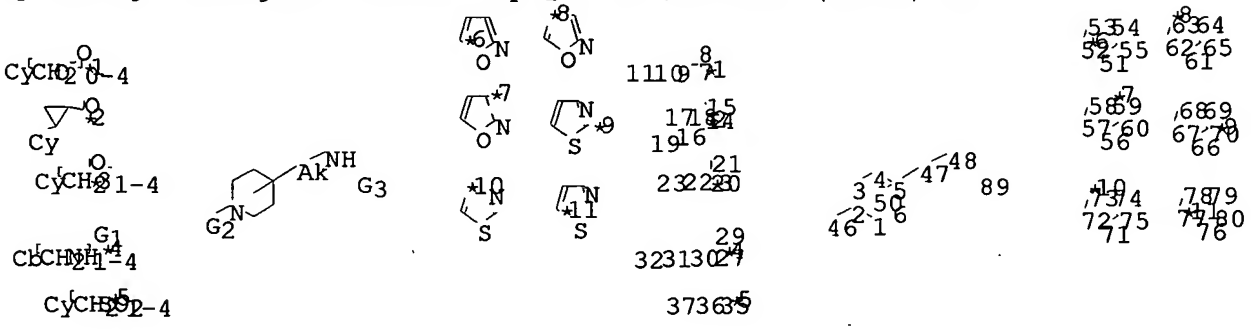
```
=> ....Testing the current file.... screen

ENTER SCREEN EXPRESSION OR (END):end


=> screen 1840

L1     SCREEN CREATED

=> screen 2016 OR  2039 OR  2040 OR  2045 OR  2047

L2     SCREEN CREATED

=>
Uploading C:\Program Files\Stnexp\Queries\10079452 (rce11).str
```



```
chain nodes :
7  8  9  10  11  14  15  19  20  21  22  23  27  29  30  31  32  35  36  37  46  47
 48  81  92
ring nodes :
1  2  3  4  5  6  16  17  18  51  52  53  54  55  56  57  58  59  60  61  62  63
64  65  66  67  68  69  70  71  72  73  74  75  76  77  78  79  80
chain bonds :
2-46  7-8  7-9  9-10  10-11  14-15  14-18  16-19  20-21  20-22  22-23  27-29  27-30
 30-31  31-32  35-36  36-37  47-48  48-92
ring bonds :
1-2  1-6  2-3  3-4  4-5  5-6  16-17  16-18  17-18  51-52  51-55  52-53  53-54
54-55  56-57  56-60  57-58  58-59  59-60  61-62  61-65  62-63  63-64  64-65  66-67
66-70  67-68  68-69  69-70  71-72  71-75  72-73  73-74  74-75  76-77  76-80  77-78
78-79  79-80
exact/norm bonds :
1-2  1-6  2-3  2-46  3-4  4-5  5-6  7-8  7-9  10-11  14-15  16-19  20-21  22-23
27-29  27-30  36-37  47-48  48-92  54-55  59-60  64-65  68-69  69-70  73-74  74-75
78-79  79-80
```

```
exact bonds :
9-10  14-18  16-17  16-18  17-18  20-22  30-31  31-32  35-36  51-52  51-55  52-53
53-54  56-57  56-60  57-58  58-59  61-62  61-65  62-63  63-64  66-67  66-70  67-68
71-72  71-75  72-73  76-77  76-80  77-78
isolated ring systems :
containing 1 : 16 : 51 : 56 : 61 : 66 : 71 : 76 :


G1:O,N

G2:[*1],[*2],[*3],[*4],[*5]

G3:[*6],[*7],[*8],[*9],[*10],[*11],[*12]

Match level :
1:Atom  2:Atom  3:Atom  4:Atom  5:Atom  6:Atom  7:CLASS  8:CLASS  9:CLASS  10:CLASS
 11:Atom  14:CLASS  15:CLASS  16:Atom  17:Atom  18:Atom  19:Atom  20:CLASS
21:CLASS  22:CLASS  23:Atom  27:CLASS  29:CLASS  30:CLASS  31:CLASS  32:Atom
35:CLASS  36:CLASS  37:Atom  46:CLASS  47:CLASS  48:CLASS  50:CLASS  51:Atom
52:Atom  53:Atom  54:CLASS  55:Atom  56:Atom  57:Atom  58:Atom  59:CLASS  60:Atom
61:Atom  62:Atom  63:Atom  64:CLASS  65:Atom  66:CLASS  67:CLASS  68:Atom  69:CLASS
 70:CLASS  71:CLASS  72:CLASS  73:Atom  74:CLASS  75:CLASS  76:CLASS  77:CLASS
78:Atom  79:CLASS  80:CLASS  81:Atom  92:CLASS
Generic attributes :
11:
Saturation              : Unsaturated
19:
Saturation              : Unsaturated
23:
Saturation              : Unsaturated
32:
Saturation              : Unsaturated
37:
Saturation              : Unsaturated
81:
Saturation              : Unsaturated
Number of Carbon Atoms  : less than 7
Number of Hetero Atoms  : 2 or more
Type of Ring System     : Monocyclic

Element Count :
Node 47: Limited
    C,C1-5

Node 81: Limited
    C,C2
    N,N2
    S,S1
    O,O0




L3      STRUCTURE UPLOADED

=> que L3 AND L1 NOT L2
```

```
L4   QUE L3 AND L1 NOT L2

=> d l4
L4 HAS NO ANSWERS
L1              SCR 1840
L2              SCR 2016 OR 2039 OR 2040 OR 2045 OR 2047
L3              STR

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY -  AVAILABLE VIA OFFLINE PRINT *

Structure attributes must be viewed using STN Express query preparation.
L4              QUE  L3 AND L1 NOT L2


=> s l4 sss sam
SAMPLE SEARCH INITIATED 14:53:01 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED -  27013 TO ITERATE

  3.7% PROCESSED    1000 ITERATIONS                                0 ANSWERS
INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS:  ONLINE  **INCOMPLETE**
                        BATCH . **COMPLETE**
PROJECTED ITERATIONS:       530433 TO   550087
PROJECTED ANSWERS:               0 TO        0

L5            0 SEA SSS SAM L3 AND L1 NOT L2

=>
Uploading C:\Program Files\Stnexp\Queries\10079452 (rce12).str
```



chain nodes :
7 8 9 10 11 14 15 19 20 21 22 23 27 29 30 31 32 35 36 37 46 47
48 89
ring nodes :
1 2 3 4 5 6 16 17 18 51 52 53 54 55 56 57 58 59 60 61 62 63
64 65 66 67 68 69 70 71 72 73 74 75 76 77 78 79 80
chain bonds :
2-46 7-8 7-9 9-10 10-11 14-15 14-18 16-19 20-21 20-22 22-23 27-29 27-30
30-31 31-32 35-36 36-37 47-48 48-89
ring bonds :
1-2 1-6 2-3 3-4 4-5 5-6 16-17 16-18 17-18 51-52 51-55 52-53 53-54
54-55 56-57 56-60 57-58 58-59 59-60 61-62 61-65 62-63 63-64 64-65 66-67
66-70 67-68 68-69 69-70 71-72 71-75 72-73 73-74 74-75 76-77 76-80 77-78
78-79 79-80
exact/norm bonds :
1-2 1-6 2-3 2-46 3-4 4-5 5-6 7-8 7-9 10-11 14-15 16-19 20-21 22-23
27-29 27-30 36-37 47-48 48-89 54-55 59-60 64-65 68-69 69-70 73-74 74-75
78-79 79-80
exact bonds :
9-10 14-18 16-17 16-18 17-18 20-22 30-31 31-32 35-36 51-52 51-55 52-53
53-54 56-57 56-60 57-58 58-59 61-62 61-65 62-63 63-64 66-67 66-70 67-68
71-72 71-75 72-73 76-77 76-80 77-78
isolated ring systems :
containing 1 : 16 : 51 : 56 : 61 : 66 : 71 : 76 :

G1:O,N

G2:[*1],[*2],[*3],[*4],[*5]

G3:[*6],[*7],[*8],[*9],[*10],[*11]

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:CLASS 8:CLASS 9:CLASS 10:CLASS
11:Atom 14:CLASS 15:CLASS 16:Atom 17:Atom 18:Atom 19:Atom 20:CLASS
21:CLASS 22:CLASS 23:Atom 27:CLASS 29:CLASS 30:CLASS 31:CLASS 32:Atom
35:CLASS 36:CLASS 37:Atom 46:CLASS 47:CLASS 48:CLASS 50:CLASS 51:Atom
52:Atom 53:Atom 54:CLASS 55:Atom 56:Atom 57:Atom 58:Atom 59:CLASS 60:Atom
61:Atom 62:Atom 63:Atom 64:CLASS 65:Atom 66:CLASS 67:CLASS 68:Atom 69:CLASS
70:CLASS 71:CLASS 72:CLASS 73:Atom 74:CLASS 75:CLASS 76:CLASS 77:CLASS
78:Atom 79:CLASS 80:CLASS 89:CLASS
Generic attributes :
11:
Saturation : Unsaturated
19:
Saturation : Unsaturated
23:
Saturation : Unsaturated
32:
Saturation : Unsaturated
37:
Saturation : Unsaturated

Element Count :
Node 47: Limited
C,C1-5

L6 STRUCTURE UPLOADED

=> d l6
L6 HAS NO ANSWERS
L6 STR

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

Structure attributes must be viewed using STN Express query preparation.

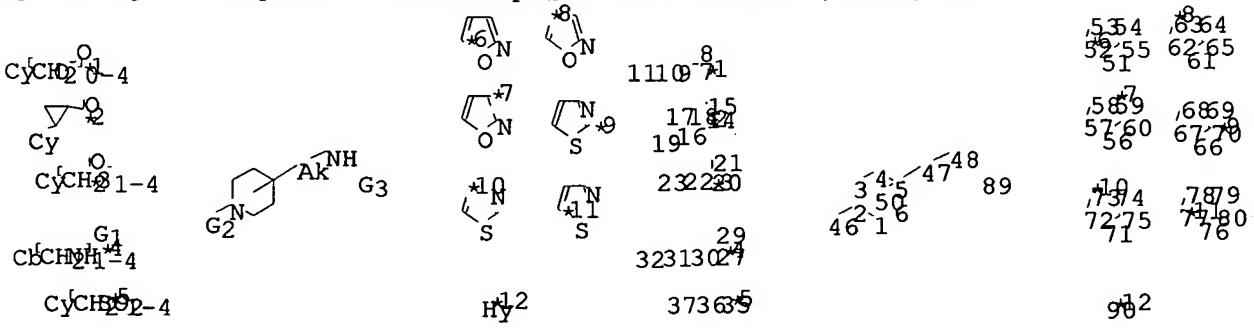
=> s l6 sss sam
SAMPLE SEARCH INITIATED 14:54:06 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 363 TO ITERATE

100.0% PROCESSED 363 ITERATIONS 1 ANSWERS
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
BATCH **COMPLETE**
PROJECTED ITERATIONS: 6117 TO 8403
PROJECTED ANSWERS: 1 TO 80

L7 1 SEA SSS SAM L6

=> =>
Uploading C:\Program Files\Stnexp\Queries\10079452 (rce13).str



chain nodes :

7 8 9 10 11 14 15 19 20 21 22 23 27 29 30 31 32 35 36 37 46 47
48 89 90
ring nodes :
1 2 3 4 5 6 16 17 18 51 52 53 54 55 56 57 58 59 60 61 62 63
64 65 66 67 68 69 70 71 72 73 74 75 76 77 78 79 80
chain bonds :
2-46 7-8 7-9 9-10 10-11 14-15 14-18 16-19 20-21 20-22 22-23 27-29 27-30
30-31 31-32 35-36 36-37 47-48 48-89
ring bonds :
1-2 1-6 2-3 3-4 4-5 5-6 16-17 16-18 17-18 51-52 51-55 52-53 53-54
54-55 56-57 56-60 57-58 58-59 59-60 61-62 61-65 62-63 63-64 64-65 66-67
66-70 67-68 68-69 69-70 71-72 71-75 72-73 73-74 74-75 76-77 76-80 77-78
78-79 79-80
exact/norm bonds :
1-2 1-6 2-3 2-46 3-4 4-5 5-6 7-8 7-9 10-11 14-15 16-19 20-21 22-23
27-29 27-30 36-37 47-48 48-89 54-55 59-60 64-65 68-69 69-70 73-74 74-75
78-79 79-80
exact bonds :
9-10 14-18 16-17 16-18 17-18 20-22 30-31 31-32 35-36 51-52 51-55 52-53
53-54 56-57 56-60 57-58 58-59 61-62 61-65 62-63 63-64 66-67 66-70 67-68
71-72 71-75 72-73 76-77 76-80 77-78
isolated ring systems :
containing 1 : 16 : 51 : 56 : 61 : 66 : 71 : 76 :

G1:O,N

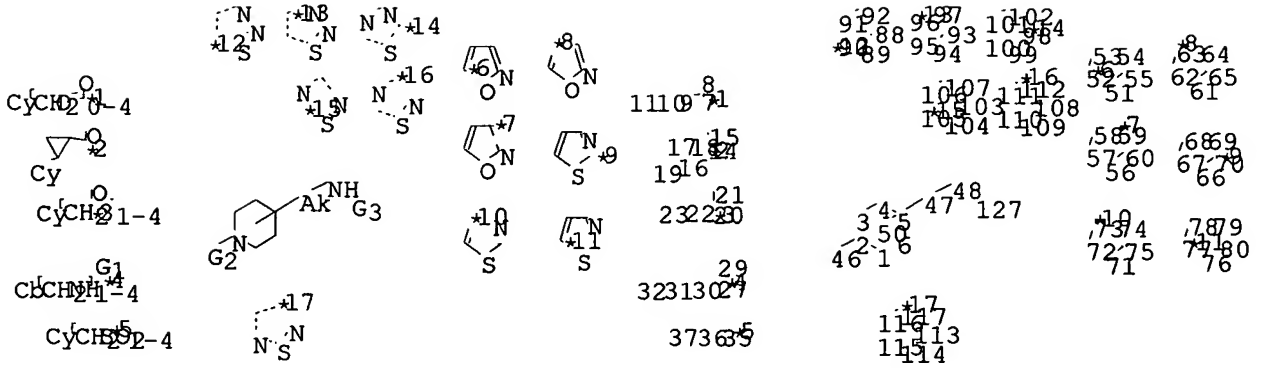
G2:[*1],[*2],[*3],[*4],[*5]

G3:[*6],[*7],[*8],[*9],[*10],[*11],[*12]

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:CLASS 8:CLASS 9:CLASS 10:CLASS
11:Atom 14:CLASS 15:CLASS 16:Atom 17:Atom 18:Atom 19:Atom 20:CLASS
21:CLASS 22:CLASS 23:Atom 27:CLASS 29:CLASS 30:CLASS 31:CLASS 32:Atom
35:CLASS 36:CLASS 37:Atom 46:CLASS 47:CLASS 48:CLASS 50:CLASS 51:Atom
52:Atom 53:Atom 54:CLASS 55:Atom 56:Atom 57:Atom 58:Atom 59:CLASS 60:Atom
61:Atom 62:Atom 63:Atom 64:CLASS 65:Atom 66:CLASS 67:CLASS 68:Atom 69:CLASS
70:CLASS 71:CLASS 72:CLASS 73:Atom 74:CLASS 75:CLASS 76:CLASS 77:CLASS
78:Atom 79:CLASS 80:CLASS 89:CLASS 90:Atom
Generic attributes :
11:
Saturation : Unsaturated
19:
Saturation : Unsaturated
23:
Saturation : Unsaturated
32:
Saturation : Unsaturated
37:
Saturation : Unsaturated
90:
Saturation : Unsaturated
Number of Carbon Atoms : less than 7
Number of Hetero Atoms : 2 or more
Type of Ring System : Monocyclic

Element Count :

```
Node 47: Limited
    C,C1-5

Node 90: Limited
    C,C2
    S,S1
    N,N2
    O,O0



L8      STRUCTURE UPLOADED

=> d l8
L8 HAS NO ANSWERS
L8              STR

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY -  AVAILABLE VIA OFFLINE PRINT *

Structure attributes must be viewed using STN Express query preparation.


=> s l8 sss sam
SAMPLE SEARCH INITIATED 14:57:23 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED -  29643 TO ITERATE

  3.4% PROCESSED    1000 ITERATIONS                                0 ANSWERS
INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS:  ONLINE  **INCOMPLETE**
                        BATCH   **INCOMPLETE**
PROJECTED ITERATIONS:       582569 TO   603151
PROJECTED ANSWERS:               0 TO        0

L9            0 SEA SSS SAM L8

=>
Uploading C:\Program Files\Stnexp\Queries\10079452 (rce14).str
```



chain nodes :
7 8 9 10 11 14 15 19 20 21 22 23 27 29 30 31 32 35 36 37 46 47 48 127
ring nodes :
1 2 3 4 5 6 16 17 18 51 52 53 54 55 56 57 58 59 60 61 62 63 64 65 66 67 68 69 70 71 72 73 74 75 76 77 78 79 80 88 89 90 91 92 93 94 95 96 97 98 99 100 101 102 103 104 105 106 107 108 109 110 111 112 113 114 115 116 117
chain bonds :
2-46 7-8 7-9 9-10 10-11 14-15 14-18 16-19 20-21 20-22 22-23 27-29 27-30 30-31 31-32 35-36 36-37 47-48 48-127
ring bonds :
1-2 1-6 2-3 3-4 4-5 5-6 16-17 16-18 17-18 51-52 51-55 52-53 53-54 54-55 56-57 56-60 57-58 58-59 59-60 61-62 61-65 62-63 63-64 64-65 66-67 66-70 67-68 68-69 69-70 71-72 71-75 72-73 73-74 74-75 76-77 76-80 77-78 78-79 79-80 88-89 88-92 89-90 90-91 91-92 93-94 93-97 94-95 95-96 96-97 98-99 98-102 99-100 100-101 101-102 103-104 103-107 104-105 105-106 106-107 108-109 108-112 109-110 110-111 111-112 113-114 113-117 114-115 115-116 116-117
exact/norm bonds :
1-2 1-6 2-3 2-46 3-4 4-5 5-6 7-8 7-9 10-11 14-15 16-19 20-21 22-23 27-29 27-30 36-37 47-48 48-127 54-55 59-60 64-65 68-69 69-70 73-74 74-75 78-79 79-80 88-89 88-92 89-90 90-91 91-92 93-94 93-97 94-95 95-96 96-97 98-99 98-102 99-100 100-101 101-102 103-104 103-107 104-105 105-106 106-107 108-109 108-112 109-110 110-111 111-112 113-114 113-117 114-115 115-116 116-117
exact bonds :

9-10 14-18 16-17 16-18 17-18 20-22 30-31 31-32 35-36 51-52 51-55 52-53
53-54 56-57 56-60 57-58 58-59 61-62 61-65 62-63 63-64 66-67 66-70 67-68
71-72 71-75 72-73 76-77 76-80 77-78
isolated ring systems :
containing 1 : 16 : 51 : 56 : 61 : 66 : 71 : 76 : 88 : 93 : 98 : 103 : 108 : 113 :

G1:O,N

G2:[*1],[*2],[*3],[*4],[*5]

G3:[*6],[*7],[*8],[*9],[*10],[*11],[*12],[*13],[*14],[*15],[*16],[*17]

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:CLASS 8:CLASS 9:CLASS 10:CLASS
11:Atom 14:CLASS 15:CLASS 16:Atom 17:Atom 18:Atom 19:Atom 20:CLASS
21:CLASS 22:CLASS 23:Atom 27:CLASS 29:CLASS 30:CLASS 31:CLASS 32:Atom
35:CLASS 36:CLASS 37:Atom 46:CLASS 47:CLASS 48:CLASS 50:CLASS 51:Atom
52:Atom 53:Atom 54:CLASS 55:Atom 56:Atom 57:Atom 58:Atom 59:CLASS 60:Atom
61:Atom 62:Atom 63:Atom 64:CLASS 65:Atom 66:CLASS 67:CLASS 68:Atom 69:CLASS
70:CLASS 71:CLASS 72:CLASS 73:Atom 74:CLASS 75:CLASS 76:CLASS 77:CLASS
78:Atom 79:CLASS 80:CLASS 88:Atom 89:CLASS 90:CLASS 91:Atom 92:Atom 93:Atom
94:CLASS 95:CLASS 96:Atom 97:Atom 98:Atom 99:CLASS 100:CLASS 101:Atom
102:Atom 103:Atom 104:CLASS 105:CLASS 106:Atom 107:Atom 108:Atom 109:CLASS
110:CLASS 111:Atom 112:Atom 113:Atom 114:CLASS 115:CLASS 116:Atom 117:Atom
127:CLASS
Generic attributes :
11:
Saturation : Unsaturated
19:
Saturation : Unsaturated
23:
Saturation : Unsaturated
32:
Saturation : Unsaturated
37:
Saturation : Unsaturated

Element Count :
Node 47: Limited
C,C1-5

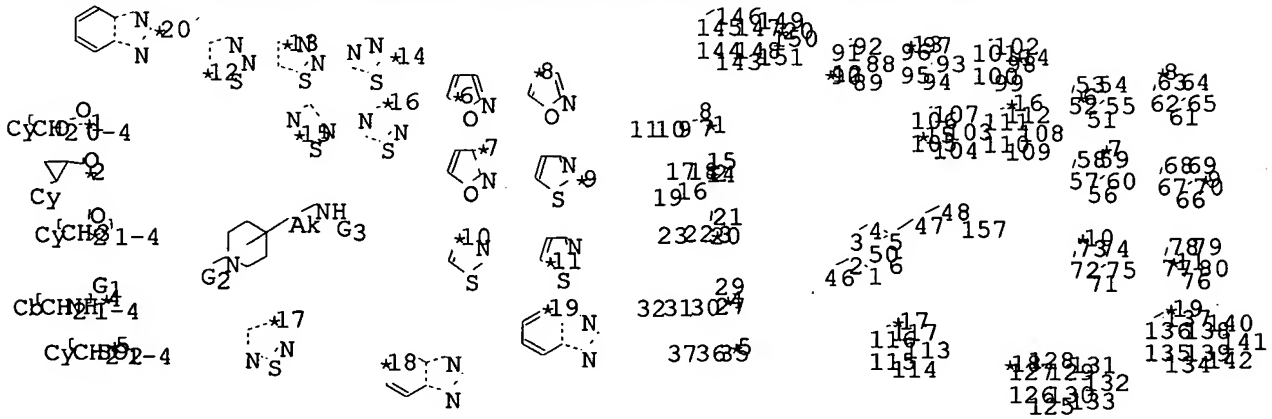
L10 STRUCTURE UPLOADED

=> d l10
L10 HAS NO ANSWERS
L10 STR

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

Structure attributes must be viewed using STN Express query preparation.

```
=> s l10 sss sam
SAMPLE SEARCH INITIATED 15:05:26 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED -    427 TO ITERATE

100.0% PROCESSED     427 ITERATIONS                          1 ANSWERS
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS:  ONLINE  **COMPLETE**
                        BATCH   **COMPLETE**
PROJECTED ITERATIONS:         7301 TO     9779
PROJECTED ANSWERS:               1 TO       80

L11            1 SEA SSS SAM L10

=> =>
Uploading C:\Program Files\Stnexp\Queries\10079452 (rce15).str
```



```
chain nodes :
7  8  9  10  11  14  15  19  20  21  22  23  27  29  30  31  32  35  36  37  46  47
 48  157
ring nodes :
1  2  3  4  5  6  16  17  18  51  52  53  54  55  56  57  58  59  60  61  62  63
64  65  66  67  68  69  70  71  72  73  74  75  76  77  78  79  80  88  89  90  91
92  93  94  95  96  97  98  99  100  101  102  103  104  105  106  107  108  109
110  111  112  113  114  115  116  117  125  126  127  128  129  130  131  132  133
 134  135  136  137  138  139  140  141  142  143  144  145  146  147  148  149
150  151
```

chain bonds :
2-46 7-8 7-9 9-10 10-11 14-15 14-18 16-19 20-21 20-22 22-23 27-29 27-30
30-31 31-32 35-36 36-37 47-48 48-157
ring bonds :
1-2 1-6 2-3 3-4 4-5 5-6 16-17 16-18 17-18 51-52 51-55 52-53 53-54
54-55 56-57 56-60 57-58 58-59 59-60 61-62 61-65 62-63 63-64 64-65 66-67
66-70 67-68 68-69 69-70 71-72 71-75 72-73 73-74 74-75 76-77 76-80 77-78
78-79 79-80 88-89 88-92 89-90 90-91 91-92 93-94 93-97 94-95 95-96 96-97
98-99 98-102 99-100 100-101 101-102 103-104 103-107 104-105 105-106
106-107 108-109 108-112 109-110 110-111 111-112 113-114 113-117 114-115
115-116 116-117 125-126 125-130 126-127 127-128 128-129 129-130 129-131
130-133 131-132 132-133 134-135 134-139 135-136 136-137 137-138 138-139
138-140 139-142 140-141 141-142 143-144 143-148 144-145 145-146 146-147
147-148 147-149 148-151 149-150 150-151
exact/norm bonds :
1-2 1-6 2-3 2-46 3-4 4-5 5-6 7-8 7-9 10-11 14-15 16-19 20-21 22-23
27-29 27-30 36-37 47-48 48-157 54-55 59-60 64-65 68-69 69-70 73-74 74-75
78-79 79-80 88-89 88-92 89-90 90-91 91-92 93-94 93-97 94-95 95-96 96-97
98-99 98-102 99-100 100-101 101-102 103-104 103-107 104-105 105-106
106-107 108-109 108-112 109-110 110-111 111-112 113-114 113-117 114-115
115-116 116-117 129-130 129-131 130-133 131-132 132-133 138-139 138-140
139-142 140-141 141-142 147-148 147-149 148-151 149-150 150-151
exact bonds :
9-10 14-18 16-17 16-18 17-18 20-22 30-31 31-32 35-36 51-52 51-55 52-53
53-54 56-57 56-60 57-58 58-59 61-62 61-65 62-63 63-64 66-67 66-70 67-68
71-72 71-75 72-73 76-77 76-80 77-78 125-126 125-130 126-127 127-128
128-129 134-135 134-139 135-136 136-137 137-138 143-144 143-148 144-145
145-146 146-147
isolated ring systems :
containing 1 : 16 : 51 : 56 : 61 : 66 : 71 : 76 : 88 : 93 : 98 : 103 : 108 : 113 :
125 : 134 : 143 :

G1:O,N

G2:[*1],[*2],[*3],[*4],[*5]

G3:[*6],[*7],[*8],[*9],[*10],[*11],[*12],[*13],[*14],[*15],[*16],[*17],[*18],[*1
9],[*20]

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:CLASS 8:CLASS 9:CLASS 10:CLASS
11:Atom 14:CLASS 15:CLASS 16:Atom 17:Atom 18:Atom 19:Atom 20:CLASS
21:CLASS 22:CLASS 23:Atom 27:CLASS 29:CLASS 30:CLASS 31:CLASS 32:Atom
35:CLASS 36:CLASS 37:Atom 46:CLASS 47:CLASS 48:CLASS 50:CLASS 51:Atom
52:Atom 53:Atom 54:CLASS 55:Atom 56:Atom 57:Atom 58:Atom 59:CLASS 60:Atom
61:Atom 62:Atom 63:Atom 64:CLASS 65:Atom 66:CLASS 67:CLASS 68:Atom 69:CLASS
70:CLASS 71:CLASS 72:CLASS 73:Atom 74:CLASS 75:CLASS 76:CLASS 77:CLASS
78:Atom 79:CLASS 80:CLASS 88:Atom 89:CLASS 90:CLASS 91:Atom 92:Atom 93:Atom
94:CLASS 95:CLASS 96:Atom 97:Atom 98:Atom 99:CLASS 100:CLASS 101:Atom
102:Atom 103:Atom 104:CLASS 105:CLASS 106:Atom 107:Atom 108:Atom 109:CLASS
110:CLASS 111:Atom 112:Atom 113:Atom 114:CLASS 115:CLASS 116:Atom 117:Atom
125:Atom 126:Atom 127:Atom 128:Atom 129:Atom 130:Atom 131:Atom 132:Atom
133:Atom 134:Atom 135:Atom 136:Atom 137:Atom 138:Atom 139:Atom 140:Atom
141:Atom 142:Atom 143:Atom 144:Atom 145:Atom 146:Atom 147:Atom 148:Atom
149:Atom 150:Atom 151:Atom 157:CLASS
Generic attributes :
11:

```
Saturation              : Unsaturated
19:
Saturation              : Unsaturated
23:
Saturation              : Unsaturated
32:
Saturation              : Unsaturated
37:
Saturation              : Unsaturated

Element Count :
Node 47: Limited
    C,C1-5



L12     STRUCTURE UPLOADED

=> d l12
L12 HAS NO ANSWERS
L12             STR
*** STRUCTURE DIAGRAM IS NOT AVAILABLE ***

Structure attributes must be viewed using STN Express query preparation.


=> s l12 sss sam
SAMPLE SEARCH INITIATED 15:09:08 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED -    428 TO ITERATE

100.0% PROCESSED     428 ITERATIONS                              1 ANSWERS
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS:  ONLINE  **COMPLETE**
                        BATCH   **COMPLETE**
PROJECTED ITERATIONS:         7319 TO     9801
PROJECTED ANSWERS:               1 TO       80

L13           1 SEA SSS SAM L12

=> s l12 sss ful
FULL SEARCH INITIATED 15:10:18 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED -   9020 TO ITERATE

100.0% PROCESSED    9020 ITERATIONS                             21 ANSWERS
SEARCH TIME: 00.00.01

L14          21 SEA SSS FUL L12

=> => s l14
L15          8 L14

=> d l15 1-8 bib,ab,hitstr
```

L15 ANSWER 1 OF 8 CAPLUS COPYRIGHT 2005 ACS on STN
AN 2004:1080888 CAPLUS
DN 142:56340
TI 4-Heteroarylamino-substituted 3-fluoro-piperidines as NMDA/NR2B antagonists, and their preparation, pharmaceutical compositions, and methods of use
IN Liverton, Nigel J.; Claiborne, Christopher F.; Claremon, David A.; McCauley, John A.
PA Merck & Co., Inc., USA
SO PCT Int. Appl., 41 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2004108705	A1	20041216	WO 2004-US17175	20040528

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRAI US 2003-475938P P 20030604

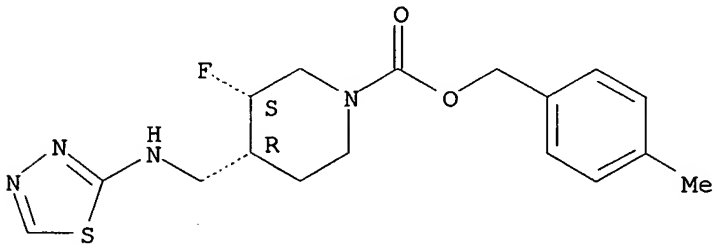
AB Title compds. I and their pharmaceutically acceptable salts are disclosed [wherein: HetAr is a 5- or 6-membered heteroarom. ring containing 1 or 2 N ring atoms, thiazolyl, or thiadiazolyl; HetAr is optionally substituted with 1 or 2 substituents, each substituent independently is C1-4 alkyl, F, Cl, Br, or iodo; A is a bond or C1-2 alkylene; and B is aryl-(CH2)0-3OC(O)-, indanyl-(CH2)0-3OC(O)-, aryl-(CH2)1-3C(O)-, arylcyclopropyl-C(O)-, or aryl-(CH2)1-3NHC(O)-, wherein any aryl is optionally substituted by 1-5 substituents, each substituent is independently C1-4 alkyl, F, or Cl]. I are effective as NMDA NR2B antagonists, useful for treating conditions such as, for example, Parkinson's disease, Alzheimer's disease, migraine, epilepsy and pain. Seven specific examples are claimed, and these plus various salts were prepared For instance, invention compound II was prepared in 8 steps: (1) coupling of CDI with 4-MeC6H4CH2OH and 4-piperidone HCl; (2) α-fluorination of the piperidone carbonyl; (3) Witting reaction of the piperidone carbonyl with Ph3P:CHCO2Et; (4) stereoselective reduction of the resulting olefin to give primarily cis-isomeric ester III; (5) alkaline saponification of the Et ester; (6) conversion of the resulting acid to an amine with diphenylphosphoryl azide; (7) heteroarylation of the amine with 2-chloropyrimidine; and (8) chiral HPLC. In both (1) a cell-based functional assay to determine IC50 for inhibition of NR1A/NR2B receptors in Ltk- cells, and (2) a radioligand binding assay using tritiated AMD-2 (preparation given) to determine Ki, compds. I had values of less than 50 μM, with these values advantageously being even lower than 0.1 μM.

IT **808733-03-1P**, (-)-cis-4-Methylbenzyl 3-fluoro-4-[(1,3,4-thiadiazol-2-ylamino)methyl]piperidine-1-carboxylate **808733-04-2P**, (+)-trans-4-Methylbenzyl 3-fluoro-4-[(1,3,4-thiadiazol-2-ylamino)methyl]piperidine-1-carboxylate **808733-11-1P**,

(-)-cis-4-Methylbenzyl 3-fluoro-4-[(1,3,4-thiadiazol-2-ylamino)methyl]piperidine-1-carboxylate hydrochloride
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; preparation of heteroarylamino-substituted fluoropiperidines as NMDA/NR2B receptor antagonists)

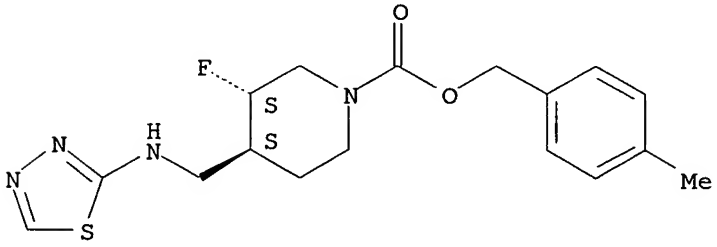
RN 808733-03-1 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

Rotation (-). Absolute stereochemistry unknown.



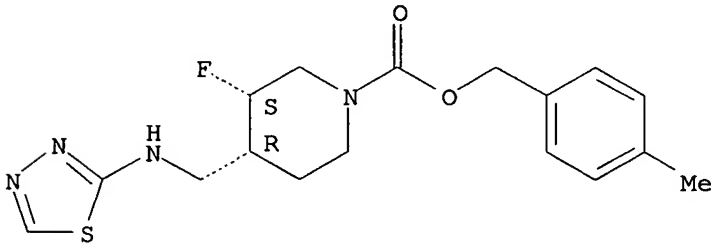
RN 808733-04-2 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

Rotation (+). Absolute stereochemistry unknown.



RN 808733-11-1 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

Rotation (-). Absolute stereochemistry unknown.

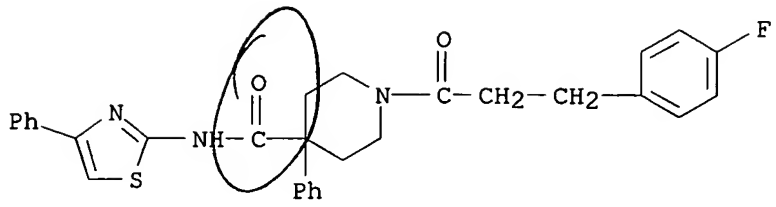


● HCl

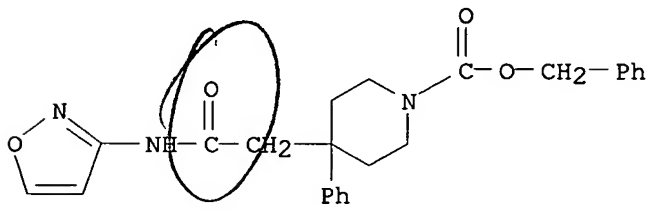
L15 ANSWER 2 OF 8 CAPLUS COPYRIGHT 2005 ACS on STN
AN 2003:855758 CAPLUS
DN 139:364829
TI Preparation of heterocyclo inhibitors of potassium channel function
IN Lloyd, John; Jeon, Yoon T.; Finlay, Heather; Yan, Lin; Beaudoin, Serge; Gross, Michael F.
PA Bristol-Myers Squibb Company, USA; Icagen, Inc.
SO PCT Int. Appl., 330 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2003088908	A2	20031030	WO 2003-US11807	20030416
WO 2003088908	A3	20040527		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 2004110793	A1	20040610	US 2003-417355	20030416
PRAI US 2002-374279P	P	20020419		

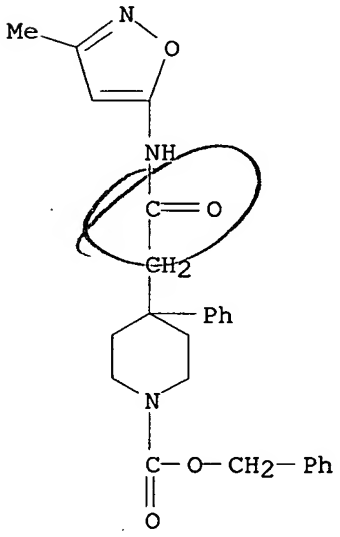
OS MARPAT 139:364829
AB The title compds. [I; m, p = 0-3 (provided that the sum of m and p is at least 2); Q = NR1, O, S, SO, SO2; R1 = H, C(:W)NR6R7, SO2NR6R7, OCONR6R7, etc.; R2 = heteroaryl, heteroarylalkyl, aryl, etc.; J = a bond, alkylene; R3 = R5, OR5, SO2R5, etc.; R5 = CN, heteroaryl, aryl, etc.; R6, R7 = H, alkyl, OH, etc.; W = (un)substituted NH, N(CO2H), N(CN), N(SO2H), CH(NO2); Rx = H, alkyl, hydroxyalkyl, aryl, etc.], useful as inhibitors of potassium channel function (especially inhibitors of the Kv1 subfamily of voltage gated K+ channels, especially inhibitors Kv1.5 which has been linked to the ultra-rapidly activating delayed rectifier K+ current IKur) in the prevention and treatment of arrhythmia and IKur-associated conditions, were prepared E.g., a multi-step synthesis of II [starting from bis(2-chloroethyl)amine], was given. Pharmaceutical composition comprising the compound I is claimed.
IT **619286-41-8P 619288-83-4P 619288-85-6P**
619288-87-8P 619288-89-0P 619288-91-4P
619289-09-7P 619289-15-5P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of substituted piperidines as inhibitors of potassium channel function)
RN 619286-41-8 CAPLUS
CN 4-Piperidinecarboxamide, 1-[3-(4-fluorophenyl)-1-oxopropyl]-4-phenyl-N-(4-phenyl-2-thiazolyl)- (9CI) (CA INDEX NAME)



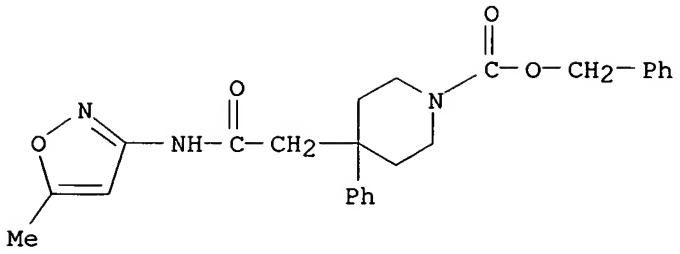
RN 619288-83-4 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[2-(3-isoxazolylamino)-2-oxoethyl]-4-phenyl-, phenylmethyl ester (9CI) (CA INDEX NAME)



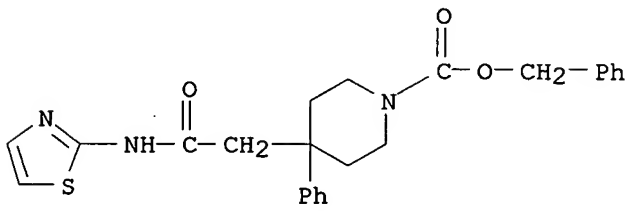
RN 619288-85-6 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[2-[(3-methyl-5-isoxazolyl)amino]-2-oxoethyl]-4-phenyl-, phenylmethyl ester (9CI) (CA INDEX NAME)



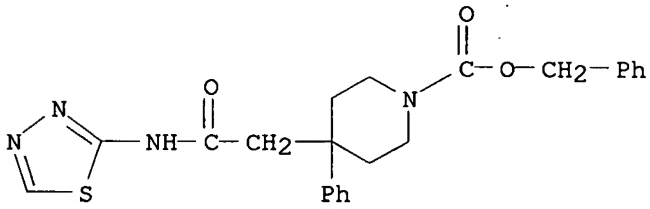
RN 619288-87-8 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[2-[(5-methyl-3-isoxazolyl)amino]-2-oxoethyl]-4-phenyl-, phenylmethyl ester (9CI) (CA INDEX NAME)



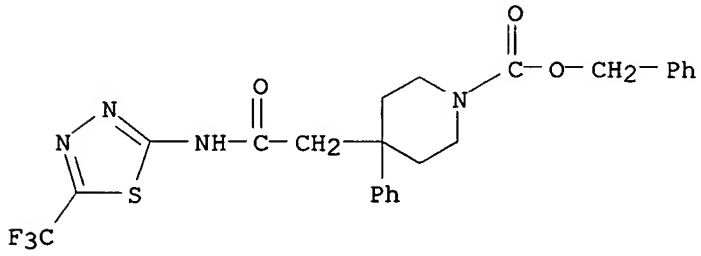
RN 619288-89-0 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[2-oxo-2-(2-thiazolylamino)ethyl]-4-phenyl-, phenylmethyl ester (9CI) (CA INDEX NAME)



RN 619288-91-4 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[2-oxo-2-(1,3,4-thiadiazol-2-ylamino)ethyl]-4-phenyl-, phenylmethyl ester (9CI) (CA INDEX NAME)

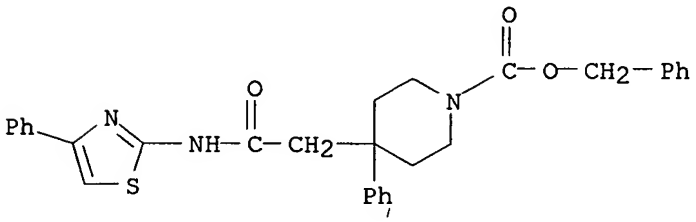


RN 619289-09-7 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[2-oxo-2-[[5-(trifluoromethyl)-1,3,4-thiadiazol-2-yl]amino]ethyl]-4-phenyl-, phenylmethyl ester (9CI) (CA INDEX NAME)



RN 619289-15-5 CAPLUS

CN 1-Piperidinecarboxylic acid, 4-[2-oxo-2-[(4-phenyl-2-thiazolyl)amino]ethyl]-4-phenyl-, phenylmethyl ester (9CI) (CA INDEX NAME)



L15 ANSWER 3 OF 8 CAPLUS COPYRIGHT 2005 ACS on STN
AN 2002:964146 CAPLUS
DN 138:39187
TI Preparation of piperidinecarboxylates and related compounds as NMDA NR2B receptor antagonists for the treatment or prevention of migraine.
IN Allen, Christopher; Koblan, Ken S.; Sleeth, Timothy
PA Merck & Co., Inc., USA
SO PCT Int. Appl., 185 pp.
CODEN: PIXXD2
DT Patent
LA English

Common Assignee

FAN.CNT 1

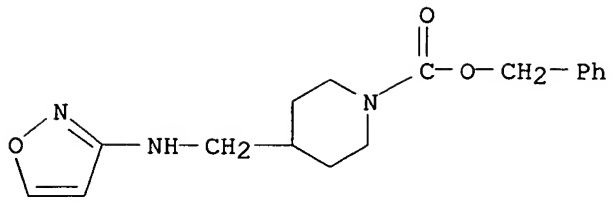
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2002100352	A2	20021219	WO 2002-US21069	20020607
	WO 2002100352	A3	20030327		
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW				
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	EP 1399160	A2	20040324	EP 2002-744807	20020607
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
	JP 2004537526	T2	20041216	JP 2003-503178	20020607
	US 2004204341	A1	20041014	US 2003-479923	20031205
PRAI	US 2001-297672P	P	20010612		
	WO 2002-US21069	W	20020607		

No DP

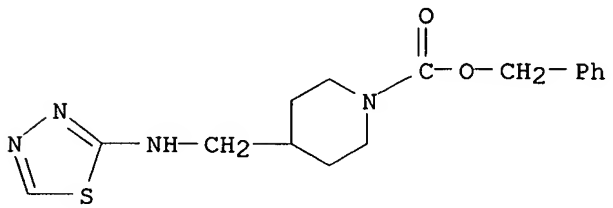
AB A method for treating or preventing migraines comprises administration of an NR2B receptor antagonist (no data). The invention also encompasses the combination of an NR2B antagonist with a cyclooxygenase-2 selective inhibitor, a calcitonin gene-related peptide receptor (CGRP) ligand, a leukotriene receptor antagonist, or a 5HT1B/1D agonist for the treatment or prevention of migraines. Thus, 4-hydroxybenzoic acid, 1-hydroxybenzotriazole hydrate, benzyl 4-(aminomethyl)piperidine-1-carboxylate (preparation given), and Et3N in DMF were treated with 1-ethyl-3-(3-dimethylaminopropyl)carbodiimide hydrochloride and the mixture allowed to stir at room temperature for 18 h to give 4-[(4-hydroxybenzoylamino)methyl]piperidine-1-carboxylic acid benzyl ester.

IT **455265-21-1P**, Benzyl 4-[(3-isoxazolylamino)methyl]-1-piperidinecarboxylate **455265-28-8P**, Benzyl 4-[(1,3,4-thiadiazol-2-ylamino)methyl]-1-piperidinecarboxylate **455265-46-0P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of piperidinecarboxylates and related compds. as NR2B receptor antagonists for the treatment or prevention of migraine)

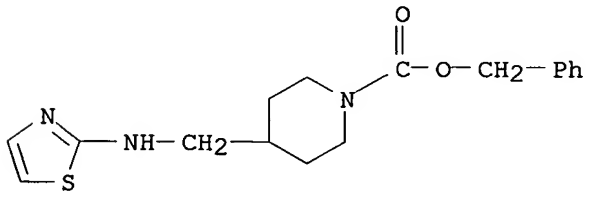
RN 455265-21-1 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[(3-isoxazolylamino)methyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)



RN 455265-28-8 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[(1,3,4-thiadiazol-2-ylamino)methyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)



RN 455265-46-0 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[(2-thiazolylamino)methyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)



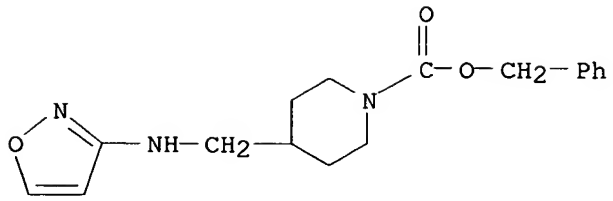
L15 ANSWER 4 OF 8 CAPLUS COPYRIGHT 2005 ACS on STN
AN 2002:676010 CAPLUS
DN 137:216875
TI Preparation of N-acyl-4-(heterocyclylaminomethyl)piperidines as NMDA/NR2B antagonists
IN Claiborne, Christopher F.; Butcher, John W.; Claremon, David A.; Libby, Brian E.; Liverton, Nigel J.; Munson, Peter M.; Nguyen, Kevin T.; Phillips, Brian; Thompson, Wayne; McCauley, John A.
PA Merck & Co., Inc., USA
SO PCT Int. Appl., 208 pp.
CODEN: PIXXD2
DT Patent
LA English

Appl PCT

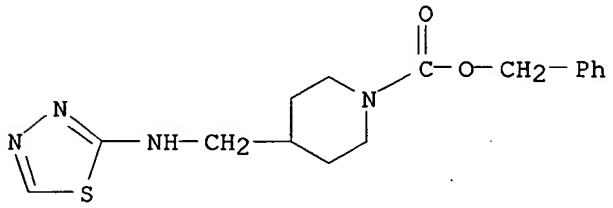
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2002068409	A1	20020906	WO 2002-US5226	20020220
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	CA 2438895	AA	20020906	CA 2002-2438895	20020220
	US 2002165241	A1	20021107	US 2002-79452	20020220
	EE 200300403	A	20031215	EE 2003-403	20020220
	EP 1379520	A1	20040114	EP 2002-721105	20020220
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
	BR 2002007526	A	20040309	BR 2002-7526	20020220
	JP 2004524314	T2	20040812	JP 2002-567923	20020220
	US 2004209889	A1	20041021	US 2003-470561	20030729
	NO 2003003732	A	20031022	NO 2003-3732	20030822
PRAI	US 2001-271100P	P	20010223		
	WO 2002-US5226	W	20020220		

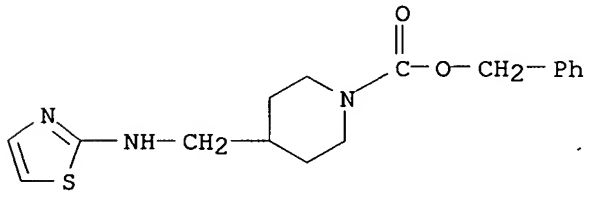
OS MARPAT 137:216875
AB BQ1(X)ANHQ2 [Q1 = 5-7 membered N-containing nonarom. ring, azabicyclooctyl; Q2 = 5-6 membered (substituted) heteroaryl ring; A = alkylene; B = Ar(CH2)0-3O2C, Ar(CH2)0-3SO2, etc.; Ar = (substituted) aryl, heteroaryl; X = H, OH, F, alkyl, alkoxy, NH2, O], were prepared Thus, 1-[(benzyloxy)carbonyl]-4-piperidinecarboxylic acid, 4-aminopyridine, EDC, and HOAt were kept 4 h in DMF to give the amide, which was reduced with BH3.THF to give benzyl 4-[(4-pyridylamino)methyl]-1-piperidinecarboxylate. Title compds. showed IC50's of <50 μM for inhibition of NR1A/2B NMDA receptor activation.
IT **455265-21-1P 455265-28-8P 455265-46-0P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(claimed compound; preparation of N-acyl-4-(heterocyclylaminomethyl)piperidines as NMDA/NR2B antagonists)
RN 455265-21-1 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[(3-isoxazolylamino)methyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)



RN 455265-28-8 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[(1,3,4-thiadiazol-2-ylamino)methyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

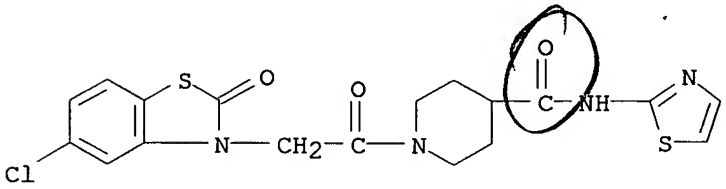


RN 455265-46-0 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[(2-thiazolylamino)methyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)



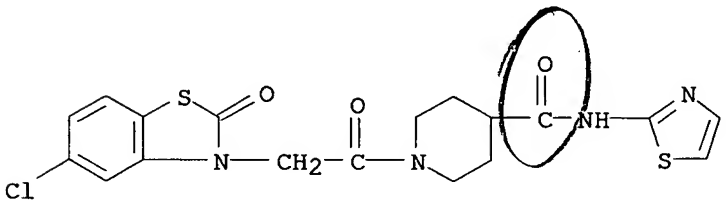
RE.CNT 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L15 ANSWER 5 OF 8 CAPLUS COPYRIGHT 2005 ACS on STN
AN 2002:251342 CAPLUS
DN 137:262978
TI Novel potent antagonists of human neuropeptide Y Y5 receptor. Part 1: 2-oxobenzothiazolin-3-acetic acid derivatives
AU Tabuchi, Seiichiro; Itani, Hiromichi; Sakata, Yoshihiko; Oohashi, Hiroko; Satoh, Yoshinari
CS Fujisawa Pharmaceutical Co., Ltd., Medicinal Chemistry Research Laboratories, Osaka, Yodogawa-ku, 532-8514, Japan
SO Bioorganic & Medicinal Chemistry Letters (2002), 12(8), 1171-1175
CODEN: BMCLE8; ISSN: 0960-894X
PB Elsevier Science Ltd.
DT Journal
LA English
OS CASREACT 137:262978
AB Novel neuropeptide NPY-Y5 antagonist FR73966 I was discovered by screening of our inhouse chemical library. The analogs, e.g. II, were prepared by application of parallel synthesis techniques. Some of the resulting 2-oxobenzothiazolin-3-acetic acid derivs. exhibited nanomolar binding affinity for human NPY-Y5 receptors.
IT **443686-48-4**
RL: PAC (Pharmacological activity); BIOL (Biological study)
(preparation of 2-oxobenzothiazolin-3-acetic acid derivs. as potent antagonists of human neuropeptide Y Y5 receptor)
RN 443686-48-4 CAPLUS
CN 4-Piperidinecarboxamide, 1-[(5-chloro-2-oxo-3(2H)-benzothiazolyl)acetyl]-N-2-thiazolyl- (9CI) (CA INDEX NAME)



RE.CNT 22 THERE ARE 22 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L15 ANSWER 6 OF 8 CAPLUS COPYRIGHT 2005 ACS on STN
AN 2002:136922 CAPLUS
DN 137:103391
TI Novel Potent Antagonists of Human Neuropeptide Y Y5 Receptors. Part 3: 7-Methoxy-1-hydroxy-1-substituted Tetraline Derivatives
AU Itani, Hiromichi; Ito, Harunobu; Sakata, Yoshihiko; Hatakeyama, Yoshifumi; Oohashi, Hiroko; Satoh, Yoshinari
CS Medicinal Chemistry Research Laboratories, Fujisawa Pharmaceutical Co., Ltd., Yodogawa-ku, Osaka, 532-8514, Japan
SO Bioorganic & Medicinal Chemistry Letters (2002), 12(5), 799-802
CODEN: BMCLE8; ISSN: 0960-894X
PB Elsevier Science Ltd.
DT Journal
LA English
OS CASREACT 137:103391
AB As a part of our continuing research on NPY-Y5 receptor antagonists in the series of novel 6-methoxybenzo[a]cycloheptene derivs., we discovered a novel skeleton, 7-methoxy-1-hydroxytetraline which had been used as an intermediate, to be more suitable for increasing potencies leading to compound Addnl., we discovered that the naphthalenesulfonamide moiety which was thought to be an essential pharmacophore could be replaced by the 5-chlorobenzothiazolin-3-acetic acid moiety to lead to potent compound The structure-activity relationships on compds. and their related derivs. are described. Unfortunately, although compds. and had very high affinities for Y5 receptors, their poor permeabilities to brain were shown by exo-vivo binding assays when orally administered.
IT **443686-48-4**
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(novel potent antagonists of human neuropeptide Y Y5 receptors from 7-methoxy-1-hydroxy-1-substituted tetraline derivs.)
RN 443686-48-4 CAPLUS
CN 4-Piperidinecarboxamide, 1-[(5-chloro-2-oxo-3(2H)-benzothiazolyl)acetyl]-N-2-thiazolyl- (9CI) (CA INDEX NAME)

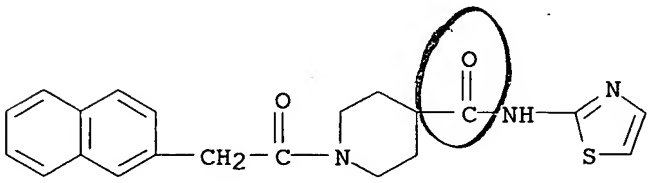


RE.CNT 13 THERE ARE 13 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L15 ANSWER 7 OF 8 CAPLUS COPYRIGHT 2005 ACS on STN
AN 2001:463221 CAPLUS
DN 135:61247
TI Preparation of sulfonylaminomethylpiperidinylethylamines for antiobesity, antidiabetics, and antihypertensives
IN Sato, Yoshinari; Itani, Hiromichi; Ito, Tatsunobu; Sakata, Yoshihiko; Hatakeyama, Yoshifumi; Ohashi, Hiroko
PA Fujisawa Pharmaceutical Co., Ltd., Japan
SO Jpn. Kokai Tokkyo Koho, 64 pp.
CODEN: JKXXAF
DT Patent
LA Japanese
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI JP 2001172257	A2	20010626	JP 2000-302567	20001002
PRAI JP 1999-284407	A	19991005		

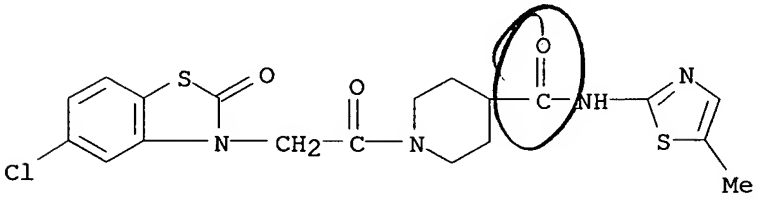
OS MARPAT 135:61247
AB The compds. R1R2(NR6)pR5AR3(SO2)sR4 [R1 = (un)substituted (un)saturated C ring, heterocyclyl; R2 = bond, (un)substituted lower alkylene; R3 = piperidinediyl, (CH2)n, CHR7, NH, CO; R7 = indolylmethyl; n = 1-4; R4 = (un)substituted aryl, aralkyl, heterocyclyl; R5 = bond, lower alkylene, (CH2)mCO; m = 0-1; R6 = H, OH; A = N-containing saturated heterocyclylene; p = 0-1; s = 0-1] are prepared N-[[4-[(naphthalen-1-yl)sulfonylaminomethyl]piperidin-1-yl]carbonylmethyl]-2-indolinecarboxamide (263.0 mg) was reacted with borane-Me2S complex in THF under reflux for 2 h and treated with HCl under reflux for 1 h to give 104.8 mg N-(indolin-2-yl)methyl-N-[4-[(naphthalen-1-yl)sulfonylaminomethyl]piperidin-1-yl]ethylamine hydrochloride showing good inhibitory activity against neuropeptide Y receptor in vitro.
IT **345955-47-7P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of sulfonylaminomethylpiperidinylethylamines for antiobesity, antidiabetics, and antihypertensives)
RN 345955-47-7 CAPLUS
CN 4-Piperidinecarboxamide, 1-(2-naphthalenylacetyl)-N-2-thiazolyl- (9CI) (CA INDEX NAME)



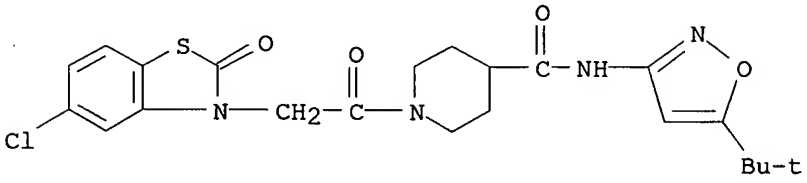
L15 ANSWER 8 OF 8 CAPLUS COPYRIGHT 2005 ACS on STN
AN 2001:372159 CAPLUS
DN 134:366868
TI Preparation of benzothiazolines as neuropeptide Y receptor antagonists
IN Sato, Yoshiya; Itani, Hiromichi; Tabuchi, Seiichiro; Sakata, Yoshihiko; Ohashi, Hiroko
PA Fujisawa Pharmaceutical Co., Ltd., Japan
SO Jpn. Kokai Tokkyo Koho, 88 pp.
CODEN: JKXXAF
DT Patent
LA Japanese
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI JP 2001139574	A2	20010522	JP 2000-296175	20000928
PRAI AU 1999-3093	A	19990928		

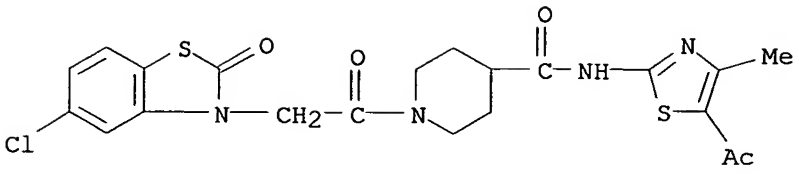
OS MARPAT 134:366868
AB The title compds. I [R1 = H, halo; W = S, O; A = (CH2)n, etc.; n = 1 - 6; Z = (un)substituted N-containing heterocyclic ring] are prepared 1-[(5-Chloro-2-oxobenzothiazolin-3-yl)acetyl]piperidine-4-carboxylic acid 4-benzoylanilide showed IC100 of 10-7 M in a neuropeptide Y5 receptor binding assay.
IT **340178-52-1P 340178-59-8P 340178-81-6P 340179-04-6P 340179-05-7P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of benzothiazolines as neuropeptide Y receptor antagonists)
RN 340178-52-1 CAPLUS
CN 4-Piperidinecarboxamide, 1-[(5-chloro-2-oxo-3(2H)-benzothiazolyl)acetyl]-N-(5-methyl-2-thiazolyl)- (9CI) (CA INDEX NAME)



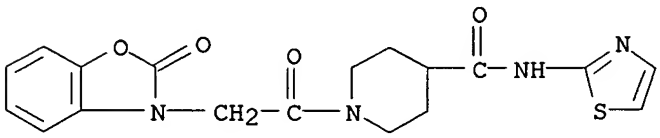
RN 340178-59-8 CAPLUS
CN 4-Piperidinecarboxamide, 1-[(5-chloro-2-oxo-3(2H)-benzothiazolyl)acetyl]-N-[5-(1,1-dimethylethyl)-3-isoxazolyl]- (9CI) (CA INDEX NAME)



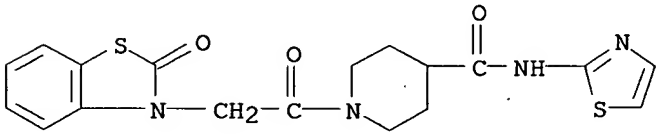
RN 340178-81-6 CAPLUS
CN 4-Piperidinecarboxamide, N-(5-acetyl-4-methyl-2-thiazolyl)-1-[(5-chloro-2-oxo-3(2H)-benzothiazolyl)acetyl]- (9CI) (CA INDEX NAME)



RN 340179-04-6 CAPLUS
CN 4-Piperidinecarboxamide, 1-[(2-oxo-3(2H)-benzoxazolyl)acetyl]-N-2-thiazolyl- (9CI) (CA INDEX NAME)

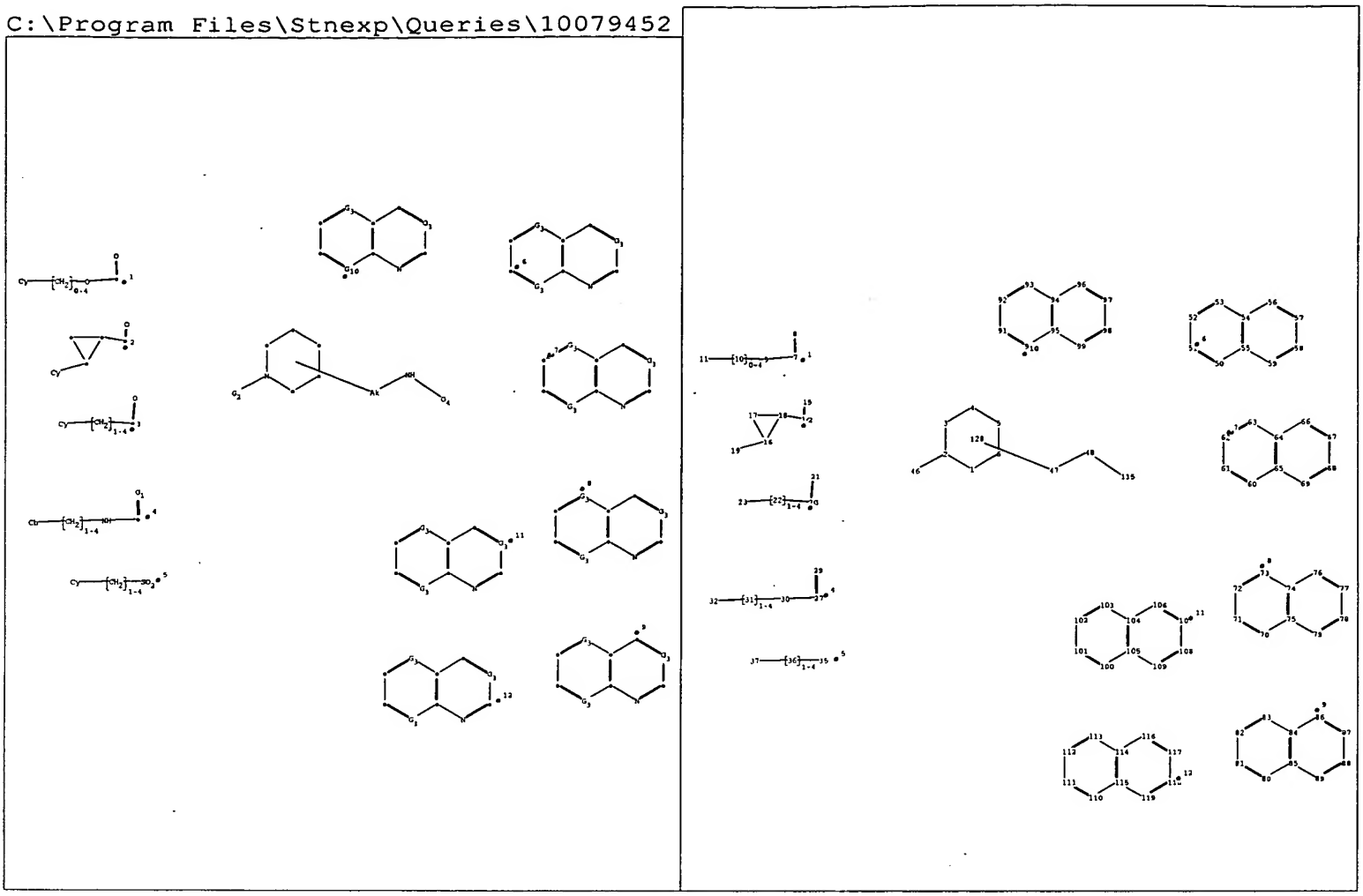


RN 340179-05-7 CAPLUS
CN 4-Piperidinecarboxamide, 1-[(2-oxo-3(2H)-benzothiazolyl)acetyl]-N-2-thiazolyl- (9CI) (CA INDEX NAME)



```
=> => d his

     (FILE 'HOME' ENTERED AT 14:51:54 ON 21 JAN 2005)

     FILE 'REGISTRY' ENTERED AT 14:51:59 ON 21 JAN 2005
L1               SCREEN 1840
L2               SCREEN 2016 OR  2039 OR  2040 OR  2045 OR  2047
L3               STRUCTURE UPLOADED
L4               QUE L3 AND L1 NOT L2
L5             0 S L4 SSS SAM
L6               STRUCTURE UPLOADED
L7             1 S L6 SSS SAM
L8               STRUCTURE UPLOADED
L9             0 S L8 SSS SAM
L10              STRUCTURE UPLOADED
L11            1 S L10 SSS SAM
L12              STRUCTURE UPLOADED
L13            1 S L12 SSS SAM
L14           21 S L12 SSS FUL

     FILE 'CAPLUS' ENTERED AT 15:10:27 ON 21 JAN 2005
L15            8 S L14

     FILE 'CAOLD' ENTERED AT 15:11:51 ON 21 JAN 2005

=> s l14
L16            0 L14

=> log y
COST IN U.S. DOLLARS                                SINCE FILE      TOTAL
                                                       ENTRY    SESSION
FULL ESTIMATED COST                                     0.43     215.29

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)          SINCE FILE      TOTAL
                                                       ENTRY    SESSION
CA SUBSCRIBER PRICE                                     0.00      -5.84

STN INTERNATIONAL LOGOFF AT 15:12:04 ON 21 JAN 2005
```

C:\Program Files\Stnexp\Queries\10079452



chain nodes :
7 8 9 10 11 14 15 19 20 21 22 23 27 29 30 31 32 35 36 37
46 47 48 135
ring nodes :
1 2 3 4 5 6 16 17 18 50 51 52 53 54 55 56 57 58 59 60
61 62 63 64 65 66 67 68 69 70 71 72 73 74 75 76 77 78 79
80 81 82 83 84 85 86 87 88 89 90 91 92 93 94 95 96 97 98
99 100 101 102 103 104 105 106 107 108 109 110 111 112 113
114 115 116 117 118 119
chain bonds :
2-46 7-8 7-9 9-10 10-11 14-15 14-18 16-19 20-21 20-22 22-23
27-29 27-30 30-31 31-32 35-36 36-37 47-48 48-135
ring bonds :
1-2 1-6 2-3 3-4 4-5 5-6 16-17 16-18 17-18 50-51 50-55 51-52
52-53 53-54 54-55 54-56 55-59 56-57 57-58 58-59 60-61 60-65 61-62
62-63 63-64 64-65 64-66 65-69 66-67 67-68 68-69 70-71 70-75 71-72
72-73 73-74 74-75 74-76 75-79 76-77 77-78 78-79 80-81 80-85 81-82
82-83 83-84 84-85 84-86 85-89 86-87 87-88 88-89 90-91 90-95 91-92
92-93 93-94 94-95 94-96 95-99 96-97 97-98 98-99 100-101 100-105
101-102 102-103 103-104 104-105 104-106 105-109 106-107 107-108
108-109 110-111 110-115 111-112 112-113 113-114 114-115 114-116
115-119 116-117 117-118 118-119
exact/norm bonds :
1-2 1-6 2-3 2-46 3-4 4-5 5-6 7-8 7-9 9-10 10-11 14-15 14-18
16-17 16-18 16-19 17-18 20-21 20-22 22-23 27-29 27-30 30-31 31-32
35-36 36-37 47-48 48-135 50-51 50-55 51-52 52-53 53-54 54-55
54-56 55-59 56-57 57-58 58-59 60-61 60-65 61-62 62-63 63-64 64-65
64-66 65-69 66-67 67-68 68-69 70-71 70-75 71-72 72-73 73-74 74-75
74-76 75-79 76-77 77-78 78-79 80-81 80-85 81-82 82-83 83-84 84-85
84-86 85-89 86-87 87-88 88-89 90-91 90-95 91-92 92-93 93-94 94-95
94-96 95-99 96-97 97-98 98-99 100-101 100-105 101-102 102-103
103-104 104-105 104-106 105-109 106-107 107-108 108-109 110-111
110-115 111-112 112-113 113-114 114-115 114-116 115-119 116-117
117-118 118-119
isolated ring systems :

containing 1 : 16 : 50 : 60 : 70 : 80 : 90 : 100 : 110 :

G1:O,N

G2:[*1],[*2],[*3],[*4],[*5]

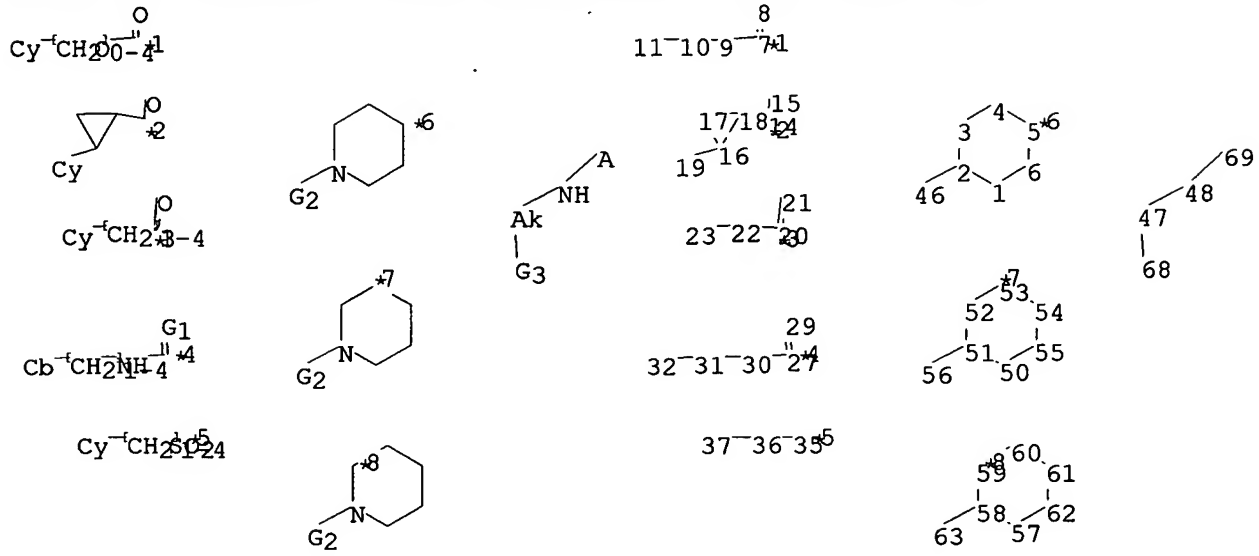
G3:C,N

G4:[*6],[*7],[*8],[*9],[*10],[*11],[*12]

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:CLASS 8:CLASS 9:CLASS
10:CLASS 11:Atom 14:CLASS 15:CLASS 16:Atom 17:Atom 18:Atom 19:Atom
20:CLASS 21:CLASS 22:CLASS 23:Atom 27:CLASS 29:CLASS 30:CLASS
31:CLASS 32:Atom 35:CLASS 36:CLASS 37:Atom 46:CLASS 47:CLASS
48:CLASS 50:CLASS 51:Atom 52:Atom 53:CLASS 54:Atom 55:CLASS 56:CLASS
57:CLASS 58:CLASS 59:Atom 60:CLASS 61:Atom 62:Atom 63:CLASS 64:Atom
65:CLASS 66:CLASS 67:CLASS 68:CLASS 69:Atom 70:CLASS 71:Atom 72:Atom
73:CLASS 74:Atom 75:CLASS 76:CLASS 77:CLASS 78:CLASS 79:Atom
80:CLASS 81:Atom 82:Atom 83:CLASS 84:Atom 85:CLASS 86:CLASS 87:CLASS
88:CLASS 89:Atom 90:CLASS 91:Atom 92:Atom 93:CLASS 94:Atom 95:CLASS
96:CLASS 97:CLASS 98:CLASS 99:Atom 100:CLASS 101:Atom 102:Atom
103:CLASS 104:Atom 105:CLASS 106:CLASS 107:CLASS 108:CLASS 109:Atom
110:CLASS 111:Atom 112:Atom 113:CLASS 114:Atom 115:CLASS 116:CLASS
117:CLASS 118:CLASS 119:Atom 128:CLASS 135:CLASS
Generic attributes :
11:
Saturation : Unsaturated
19:
Saturation : Unsaturated
23:
Saturation : Unsaturated
32:
Saturation : Unsaturated
37:
Saturation : Unsaturated

Element Count :
Node 47: Limited
C,C1-5

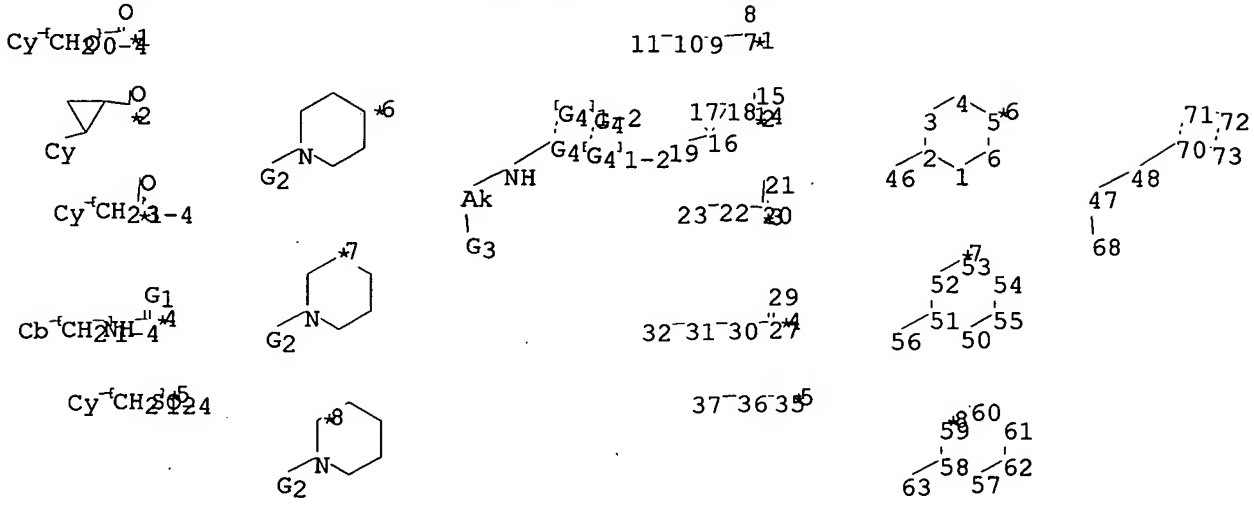
=>
Uploading C:\Program Files\Stnexp\Queries\10079452 (rce19).str



chain nodes :
7 8 9 10 11 14 15 19 20 21 22 23 27 29 30 31 32 35 36 37 46 47 48 56 63 68
ring nodes :
1 2 3 4 5 6 16 17 18 50 51 52 53 54 55 57 58 59 60 61 62 69
chain bonds :
2-46 7-8 7-9 9-10 10-11 14-15 14-18 16-19 20-21 20-22 22-23 27-29 27-30 30-31 31-32 35-36 36-37 47-48 47-68 48-69 51-56 58-63
ring bonds :
1-2 1-6 2-3 3-4 4-5 5-6 16-17 16-18 17-18 50-51 50-55 51-52 52-53 53-54 54-55 57-58 57-62 58-59 59-60 60-61 61-62
exact/norm bonds :
1-2 1-6 2-3 2-46 3-4 4-5 5-6 7-8 7-9 10-11 14-15 16-19 20-21 22-23 27-29 27-30 36-37 47-48 47-68 48-69 50-51 50-55 51-52 51-56 52-53 53-54 54-55 57-58 57-62 58-59 58-63 59-60 60-61 61-62

```
exact bonds :
9-10  14-18  16-17  16-18  17-18  20-22  30-31  31-32  35-36
isolated ring systems :
containing 1 : 16 : 50 : 57 :


G1:O,N

G2:[*1],[*2],[*3],[*4],[*5]

G3:[*6],[*7],[*8]

Match level :
1:Atom  2:Atom  3:Atom  4:Atom  5:Atom  6:Atom  7:CLASS  8:CLASS  9:CLASS  10:CLASS
 11:Atom  14:CLASS  15:CLASS  16:Atom  17:Atom  18:Atom  19:Atom  20:CLASS
21:CLASS  22:CLASS  23:Atom  27:CLASS  29:CLASS  30:CLASS  31:CLASS  32:Atom
35:CLASS  36:CLASS  37:Atom  46:CLASS  47:CLASS  48:CLASS  50:Atom  51:Atom
52:Atom  53:Atom  54:Atom  55:Atom  56:CLASS  57:Atom  58:Atom  59:Atom  60:Atom
61:Atom  62:Atom  63:CLASS  68:CLASS  69:CLASS
Generic attributes :
11:
Saturation              : Unsaturated
19:
Saturation              : Unsaturated
23:
Saturation              : Unsaturated
32:
Saturation              : Unsaturated
37:
Saturation              : Unsaturated

Element Count :
Node 47: Limited
    C,C1-5




L1      STRUCTURE UPLOADED

=> d l1
L1 HAS NO ANSWERS
L1              STR

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY -  AVAILABLE VIA OFFLINE PRINT *

Structure attributes must be viewed using STN Express query preparation.


=> s l1 sss sam
SAMPLE SEARCH INITIATED 15:32:10 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 233718 TO ITERATE

  0.4% PROCESSED    1000 ITERATIONS                                0 ANSWERS
INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)
SEARCH TIME: 00.00.01
```

```
FULL FILE PROJECTIONS:  ONLINE  **INCOMPLETE**
                        BATCH   **INCOMPLETE**
PROJECTED ITERATIONS:      EXCEEDS 1000000
PROJECTED ANSWERS:         EXCEEDS       0

L2             0 SEA SSS SAM L1

=>
Uploading C:\Program Files\Stnexp\Queries\10079452 (rce20).str
```

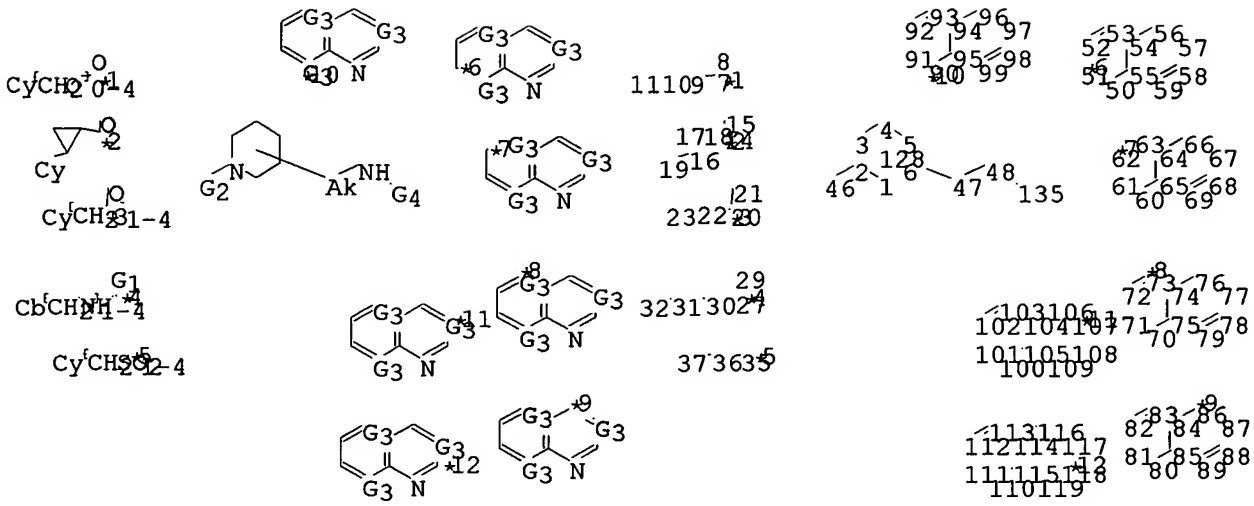


```
chain nodes :
7  8  9  10  11  14  15  19  20  21  22  23  27  29  30  31  32  35  36  37  46  47
 48  56  63  68
ring nodes :
1  2  3  4  5  6  16  17  18  50  51  52  53  54  55  57  58  59  60  61  62  70
71  72  73
```

```
chain bonds :
2-46  7-8  7-9  9-10  10-11  14-15  14-18  16-19  20-21  20-22  22-23  27-29  27-30
 30-31  31-32  35-36  36-37  47-48  47-68  48-70  51-56  58-63
ring bonds :
1-2  1-6  2-3  3-4  4-5  5-6  16-17  16-18  17-18  50-51  50-55  51-52  52-53
53-54  54-55  57-58  57-62  58-59  59-60  60-61  61-62  70-71  70-73  71-72  72-73
exact/norm bonds :
1-2  1-6  2-3  2-46  3-4  4-5  5-6  7-8  7-9  9-10  10-11  14-15  14-18  16-17
16-18  16-19  17-18  20-21  20-22  22-23  27-29  27-30  30-31  31-32  35-36  36-37
47-48  47-68  48-70  50-51  50-55  51-52  51-56  52-53  53-54  54-55  57-58  57-62
58-59  58-63  59-60  60-61  61-62  70-71  70-73  71-72  72-73
isolated ring systems :
containing 1 : 16 : 50 : 57 :


G1:O,N

G2:[*1],[*2],[*3],[*4],[*5]

G3:[*6],[*7],[*8]

G4:C,N

Match level :
1:Atom  2:Atom  3:Atom  4:Atom  5:Atom  6:Atom  7:CLASS  8:CLASS  9:CLASS  10:CLASS
 11:Atom  14:CLASS  15:CLASS  16:Atom  17:Atom  18:Atom  19:Atom  20:CLASS
21:CLASS  22:CLASS  23:Atom  27:CLASS  29:CLASS  30:CLASS  31:CLASS  32:Atom
35:CLASS  36:CLASS  37:Atom  46:CLASS  47:CLASS  48:CLASS  50:Atom  51:Atom
52:Atom  53:Atom  54:Atom  55:Atom  56:CLASS  57:Atom  58:Atom  59:Atom  60:Atom
61:Atom  62:Atom  63:CLASS  68:CLASS  70:CLASS  71:CLASS  72:CLASS  73:CLASS
Generic attributes :
11:
Saturation              : Unsaturated
19:
Saturation              : Unsaturated
23:
Saturation              : Unsaturated
32:
Saturation              : Unsaturated
37:
Saturation              : Unsaturated

Element Count :
Node 47: Limited
    C,C1-5




L3      STRUCTURE UPLOADED

=> d l3
L3 HAS NO ANSWERS
L3              STR

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY -  AVAILABLE VIA OFFLINE PRINT *
```

Structure attributes must be viewed using STN Express query preparation.

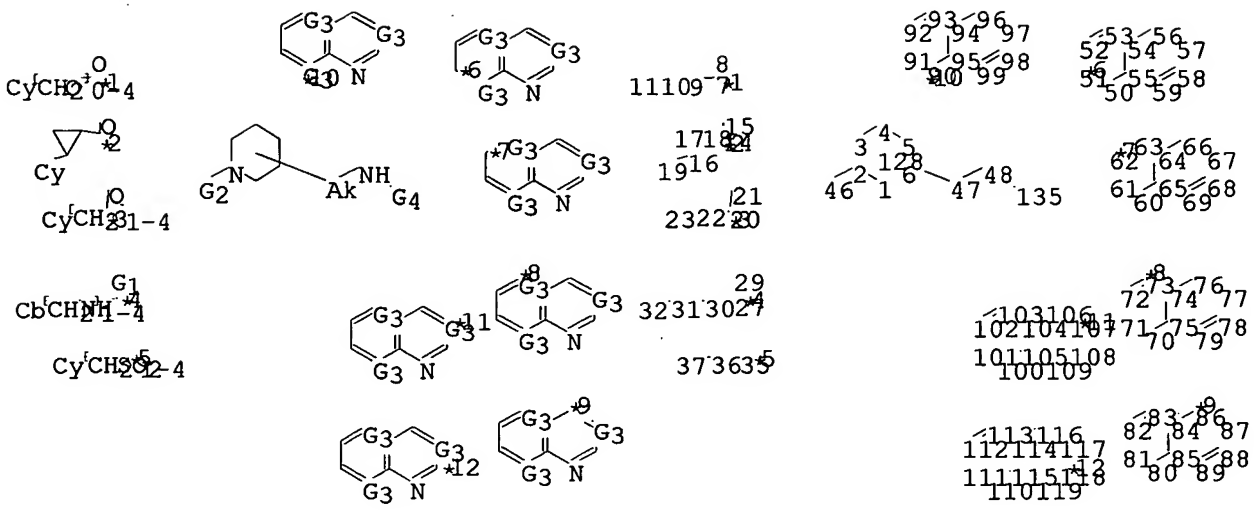
```
=> s l3 sss sam
SAMPLE SEARCH INITIATED 15:35:04 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 233718 TO ITERATE

  0.4% PROCESSED    1000 ITERATIONS                                0 ANSWERS
INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS:  ONLINE  **INCOMPLETE**
                        BATCH   **INCOMPLETE**
PROJECTED ITERATIONS:      EXCEEDS 1000000
PROJECTED ANSWERS:         EXCEEDS       0

L4            0 SEA SSS SAM L3

=>
Uploading C:\Program Files\Stnexp\Queries\10079452 (rce21).str
```



chain nodes :
7 8 9 10 11 14 15 19 20 21 22 23 27 29 30 31 32 35 36 37 46 47
48 135
ring nodes :
1 2 3 4 5 6 16 17 18 50 51 52 53 54 55 56 57 58 59 60 61 62
63 64 65 66 67 68 69 70 71 72 73 74 75 76 77 78 79 80 81 82 83
84 85 86 87 88 89 90 91 92 93 94 95 96 97 98 99 100 101 102 103
104 105 106 107 108 109 110 111 112 113 114 115 116 117 118 119
chain bonds :
2-46 7-8 7-9 9-10 10-11 14-15 14-18 16-19 20-21 20-22 22-23 27-29 27-30
30-31 31-32 35-36 36-37 47-48 48-135
ring bonds :
1-2 1-6 2-3 3-4 4-5 5-6 16-17 16-18 17-18 50-51 50-55 51-52 52-53
53-54 54-55 54-56 55-59 56-57 57-58 58-59 60-61 60-65 61-62 62-63 63-64
64-65 64-66 65-69 66-67 67-68 68-69 70-71 70-75 71-72 72-73 73-74 74-75
74-76 75-79 76-77 77-78 78-79 80-81 80-85 81-82 82-83 83-84 84-85 84-86
85-89 86-87 87-88 88-89 90-91 90-95 91-92 92-93 93-94 94-95 94-96 95-99
96-97 97-98 98-99 100-101 100-105 101-102 102-103 103-104 104-105 104-106
105-109 106-107 107-108 108-109 110-111 110-115 111-112 112-113 113-114
114-115 114-116 115-119 116-117 117-118 118-119

```
exact/norm bonds :
1-2  1-6  2-3  2-46  3-4  4-5  5-6  7-8  7-9  9-10  10-11  14-15  14-18  16-17
16-18  16-19  17-18  20-21  20-22  22-23  27-29  27-30  30-31  31-32  35-36  36-37
47-48  48-135  50-51  50-55  51-52  52-53  53-54  54-55  54-56  55-59  56-57  57-58
 58-59  60-61  60-65  61-62  62-63  63-64  64-65  64-66  65-69  66-67  67-68  68-69
 70-71  70-75  71-72  72-73  73-74  74-75  74-76  75-79  76-77  77-78  78-79  80-81
 80-85  81-82  82-83  83-84  84-85  84-86  85-89  86-87  87-88  88-89  90-91  90-95
 91-92  92-93  93-94  94-95  94-96  95-99  96-97  97-98  98-99  100-101  100-105
101-102  102-103  103-104  104-105  104-106  105-109  106-107  107-108  108-109
110-111  110-115  111-112  112-113  113-114  114-115  114-116  115-119  116-117
117-118  118-119
isolated ring systems :
containing 1 : 16 : 50 : 60 : 70 : 80 : 90 : 100 : 110 :


G1:O,N

G2:[*1],[*2],[*3],[*4],[*5]

G3:C,N

G4:[*6],[*7],[*8],[*9],[*10],[*11],[*12]

Match level :
1:Atom  2:Atom  3:Atom  4:Atom  5:Atom  6:Atom  7:CLASS  8:CLASS  9:CLASS  10:CLASS
 11:Atom  14:CLASS  15:CLASS  16:Atom  17:Atom  18:Atom  19:Atom  20:CLASS
21:CLASS  22:CLASS  23:Atom  27:CLASS  29:CLASS  30:CLASS  31:CLASS  32:Atom
35:CLASS  36:CLASS  37:Atom  46:CLASS  47:CLASS  48:CLASS  50:CLASS  51:Atom
52:Atom  53:CLASS  54:Atom  55:CLASS  56:CLASS  57:CLASS  58:CLASS  59:Atom
60:CLASS  61:Atom  62:Atom  63:CLASS  64:Atom  65:CLASS  66:CLASS  67:CLASS
68:CLASS  69:Atom  70:CLASS  71:Atom  72:Atom  73:CLASS  74:Atom  75:CLASS
76:CLASS  77:CLASS  78:CLASS  79:Atom  80:CLASS  81:Atom  82:Atom  83:CLASS
84:Atom  85:CLASS  86:CLASS  87:CLASS  88:CLASS  89:Atom  90:CLASS  91:Atom
92:Atom  93:CLASS  94:Atom  95:CLASS  96:CLASS  97:CLASS  98:CLASS  99:Atom
100:CLASS  101:Atom  102:Atom  103:CLASS  104:Atom  105:CLASS  106:CLASS  107:CLASS
 108:CLASS  109:Atom  110:CLASS  111:Atom  112:Atom  113:CLASS  114:Atom  115:CLASS
 116:CLASS  117:CLASS  118:CLASS  119:Atom  128:CLASS  135:CLASS
Generic attributes :
11:
Saturation              : Unsaturated
19:
Saturation              : Unsaturated
23:
Saturation              : Unsaturated
32:
Saturation              : Unsaturated
37:
Saturation              : Unsaturated

Element Count :
Node 47: Limited
    C,C1-5
```

```
L5      STRUCTURE UPLOADED

=> d l5
L5 HAS NO ANSWERS
L5                STR
*** STRUCTURE DIAGRAM IS NOT AVAILABLE ***

Structure attributes must be viewed using STN Express query preparation.


=> s l5 sss sam
SAMPLE SEARCH INITIATED 15:43:29 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED -  19631 TO ITERATE

  5.1% PROCESSED    1000 ITERATIONS                                0 ANSWERS
INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS:  ONLINE  **INCOMPLETE**
                        BATCH   **COMPLETE**
PROJECTED ITERATIONS:       384235 TO   401005
PROJECTED ANSWERS:               0 TO        0

L6            0 SEA SSS SAM L5

=> ....Testing the current file.... screen

ENTER SCREEN EXPRESSION OR (END):end


=> screen 1840

L7    SCREEN CREATED

=> screen 2016 OR  2039 OR  2040 OR  2045 OR  2047

L8    SCREEN CREATED

=>
Uploading C:\Program Files\Stnexp\Queries\10079452 (rce22).str
```



chain nodes :
7 8 9 10 11 14 15 19 20 21 22 23 27 29 30 31 32 35 36 37 46 47
48 135
ring nodes :
1 2 3 4 5 6 16 17 18 50 51 52 53 54 55 56 57 58 59 60 61 62
63 64 65 66 67 68 69 70 71 72 73 74 75 76 77 78 79 80 81 82 83
84 85 86 87 88 89 90 91 92 93 94 95 96 97 98 99 100 101 102 103
104 105 106 107 108 109 110 111 112 113 114 115 116 117 118 119
chain bonds :
2-46 7-8 7-9 9-10 10-11 14-15 14-18 16-19 20-21 20-22 22-23 27-29 27-30
30-31 31-32 35-36 36-37 47-48 48-135
ring bonds :
1-2 1-6 2-3 3-4 4-5 5-6 16-17 16-18 17-18 50-51 50-55 51-52 52-53
53-54 54-55 54-56 55-59 56-57 57-58 58-59 60-61 60-65 61-62 62-63 63-64
64-65 64-66 65-69 66-67 67-68 68-69 70-71 70-75 71-72 72-73 73-74 74-75
74-76 75-79 76-77 77-78 78-79 80-81 80-85 81-82 82-83 83-84 84-85 84-86
85-89 86-87 87-88 88-89 90-91 90-95 91-92 92-93 93-94 94-95 94-96 95-99
96-97 97-98 98-99 100-101 100-105 101-102 102-103 103-104 104-105 104-106
105-109 106-107 107-108 108-109 110-111 110-115 111-112 112-113 113-114
114-115 114-116 115-119 116-117 117-118 118-119

```
exact/norm bonds :
1-2  1-6  2-3  2-46  3-4  4-5  5-6  7-8  7-9  9-10  10-11  14-15  14-18  16-17
16-18  16-19  17-18  20-21  20-22  22-23  27-29  27-30  30-31  31-32  35-36  36-37
47-48  48-135  50-51  50-55  51-52  52-53  53-54  54-55  54-56  55-59  56-57  57-58
 58-59  60-61  60-65  61-62  62-63  63-64  64-65  64-66  65-69  66-67  67-68  68-69
 70-71  70-75  71-72  72-73  73-74  74-75  74-76  75-79  76-77  77-78  78-79  80-81
 80-85  81-82  82-83  83-84  84-85  84-86  85-89  86-87  87-88  88-89  90-91  90-95
 91-92  92-93  93-94  94-95  94-96  95-99  96-97  97-98  98-99  100-101  100-105
101-102  102-103  103-104  104-105  104-106  105-109  106-107  107-108  108-109
110-111  110-115  111-112  112-113  113-114  114-115  114-116  115-119  116-117
117-118  118-119
isolated ring systems :
containing 1 : 16 : 50 : 60 : 70 : 80 : 90 : 100 : 110 :


G1:O,N

G2:[*1],[*2],[*3],[*4],[*5]

G3:C,N

G4:[*6],[*7],[*8],[*9],[*10],[*11],[*12]

Match level :
1:Atom  2:Atom  3:Atom  4:Atom  5:Atom  6:Atom  7:CLASS  8:CLASS  9:CLASS  10:CLASS
 11:Atom  14:CLASS  15:CLASS  16:Atom  17:Atom  18:Atom  19:Atom  20:CLASS
21:CLASS  22:CLASS  23:Atom  27:CLASS  29:CLASS  30:CLASS  31:CLASS  32:Atom
35:CLASS  36:CLASS  37:Atom  46:CLASS  47:CLASS  48:CLASS  50:CLASS  51:Atom
52:Atom  53:CLASS  54:Atom  55:CLASS  56:CLASS  57:CLASS  58:CLASS  59:Atom
60:CLASS  61:Atom  62:Atom  63:CLASS  64:Atom  65:CLASS  66:CLASS  67:CLASS
68:CLASS  69:Atom  70:CLASS  71:Atom  72:Atom  73:CLASS  74:Atom  75:CLASS
76:CLASS  77:CLASS  78:CLASS  79:Atom  80:CLASS  81:Atom  82:Atom  83:CLASS
84:Atom  85:CLASS  86:CLASS  87:CLASS  88:CLASS  89:Atom  90:CLASS  91:Atom
92:Atom  93:CLASS  94:Atom  95:CLASS  96:CLASS  97:CLASS  98:CLASS  99:Atom
100:CLASS  101:Atom  102:Atom  103:CLASS  104:Atom  105:CLASS  106:CLASS  107:CLASS
 108:CLASS  109:Atom  110:CLASS  111:Atom  112:Atom  113:CLASS  114:Atom  115:CLASS
 116:CLASS  117:CLASS  118:CLASS  119:Atom  128:CLASS  135:CLASS
Generic attributes :
11:
Saturation              : Unsaturated
19:
Saturation              : Unsaturated
23:
Saturation              : Unsaturated
32:
Saturation              : Unsaturated
37:
Saturation              : Unsaturated

Element Count :
Node 47: Limited
    C,C1-5
```

```
L9      STRUCTURE UPLOADED

=> que L9 AND L7 NOT L8

L10  QUE L9 AND L7 NOT L8

=> d l10
L10 HAS NO ANSWERS
L7              SCR 1840
L8              SCR 2016 OR 2039 OR 2040 OR 2045 OR 2047
L9              STR
*** STRUCTURE DIAGRAM IS NOT AVAILABLE ***

Structure attributes must be viewed using STN Express query preparation.
L10             QUE  L9 AND L7 NOT L8


=> s l10 sss sam
SAMPLE SEARCH INITIATED 15:44:47 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED -  18683 TO ITERATE

  5.4% PROCESSED    1000 ITERATIONS                                0 ANSWERS
INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS:  ONLINE  **COMPLETE**
                        BATCH   **COMPLETE**
PROJECTED ITERATIONS:       365479 TO   381841
PROJECTED ANSWERS:               0 TO        0

L11           0 SEA SSS SAM L9 AND L7 NOT L8

=> s l10 sss ful
FULL SEARCH INITIATED 15:44:56 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 371334 TO ITERATE

100.0% PROCESSED  371334 ITERATIONS                             11 ANSWERS
SEARCH TIME: 00.00.07

L12          11 SEA SSS FUL L9 AND L7 NOT L8

=> => s l12
L13          7 L12

=> d l13 1-7 bib,ab,hitstr
```

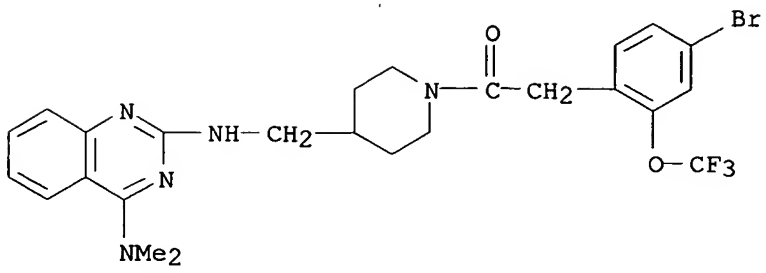
L13 ANSWER 1 OF 7 CAPLUS COPYRIGHT 2005 ACS on STN
AN 2004:963181 CAPLUS
DN 141:379941
TI Preparation of quinazoline-2,4-diamines as melanin concentrating hormone (MCH) receptor antagonists
IN Sekiguchi, Yoshikatsu; Kanuma, Yukihiro; Omodera, Katsunori; Tran, Thuy-ahn; Kramer, Bryan Aubrey; Beeley, Nigel Robert Arnold
PA Taisho Pharmaceutical Co., Ltd., Japan
SO Jpn. Kokai Tokkyo Koho, 988 pp.
CODEN: JKXXAF
DT Patent
LA Japanese
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI JP 2004315511	A2	20041111	JP 2004-95046	20040329
PRAI JP 2003-93418	A	20030331		

AB The title compds. Q-L-Y-R1 [Q = Q1, H2NC(:NH); wherein R2 = NHNH2, NHNHBoc, (un)substituted NH2, morpholino, 4-acetyl-piperazinyl, 4-phenylpiperazinyl; R1 = each (un)substituted C1-16 alkyl, C2-8 alkenyl, C2-4 alkynyl, C3-6 cycloalkyl, C3-6 cycloalkenyl, carbocyclyl, carbocyclic alkyl, or heterocyclyl; L = each Q2-Q6 or its cis- or trans-isomer, Q7-Q16; R4 = H, C1-3 alkyl; R5 = H, each (un)substituted carbocyclic aryl or C1-3 alkyl; Y = SO2, CO, a single bond, CH2] or salts thereof are prepared These compds. are MCH receptor antagonists and used for regulating orphan G protein-coupled receptor SLC-1 and for the prevention and/or treatment of obesity, obesity-related diseases, anxiety, or depression. Thus, hydrogenolysis of benzyl cis-[[4-(4-dimethylaminoquinazolin-2-ylamino)cyclohexyl]methyl]carbamate over 5% Pd-C in MeOH at 50° under H atmospheric for 3 days gave a solution of cis-[[4-(4-dimethylaminoquinazolin-2-ylamino)cyclohexyl]methyl]amine in MeOH which underwent reductive alkylation with 4-bromo-2-trifluoromethoxybenzaldehyde and NaBH(OAc)3 in AcOH/CH2Cl2 to give, after purification using HPLC and treatment with 4 N HCl/EtOAc, compound (I).2HCl. In a high throughput function screen for identifying lead compds., I.2HCl inhibited the human MCH-induced cellular Ca2+ flux with IC50 of 6 μg/mL.

IT **510733-93-4P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of quinazoline derivs. as melanin-concentrating hormone (MCH) receptor antagonists for prevention and/or treatment of obesity, obesity-related diseases, anxiety, or depression)

RN 510733-93-4 CAPLUS
CN 4-Piperidinemethanamine, 1-[[4-bromo-2-(trifluoromethoxy)phenyl]acetyl]-N-[4-(dimethylamino)-2-quinazolinyl]-, monohydrochloride (9CI) (CA INDEX NAME)

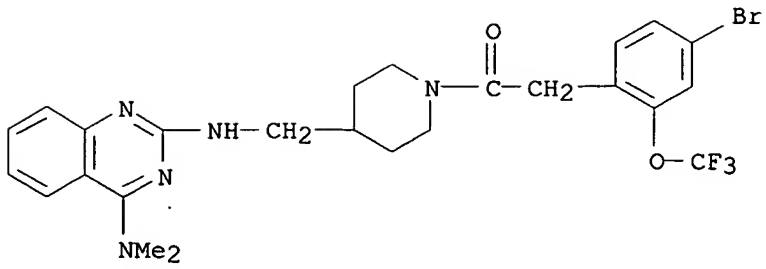


● HCl

L13 ANSWER 2 OF 7 CAPLUS COPYRIGHT 2005 ACS on STN
AN 2003:282325 CAPLUS
DN 138:321285
TI Preparation of quinazoline-2,4-diamines as MCH receptor antagonists
IN Sekiguchi, Yoshinori; Kanuma, Kosuke; Omodera, Katsunori; Tran, Thuy-anh; Kramer, Bryan Aubrey; Beeley, Nigel Robert Arnold
PA Taisho Pharmaceutical Co., Ltd., Japan
SO PCT Int. Appl., 1171 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2003028641	A2	20030410	WO 2002-US31059	20020930
	WO 2003028641	A3	20030828		
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW				
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	EP 1432693	A2	20040630	EP 2002-800388	20020930
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK				
PRAI	US 2001-326463P	P	20011001		
	US 2001-326758P	P	20011002		
	WO 2002-US31059	W	20020930		

OS MARPAT 138:321285
AB The title compds. QLYR1[Q = I, C(:NH)NH2; R1 = (un)substituted alkyl, alkenyl, cycloalkyl, etc.; L = II-IV (wherein R4 = H, alkyl; R5 = H, alkyl, alkyl substituted by a substituted carbocyclic aryl), etc.; Y = SO2, CO, (CH2)m; m = 0-1] which act as MCH receptor antagonists, and are useful for prophylaxis or treatment of obesity, obesity related disorders, anxiety, or depression, were prepared Thus, hydrogenation of benzyl cis-[4-(4-dimethylaminoquinazolin-2-ylamino)cyclohexylmethyl]carbamate followed by reacting the resulting intermediate with 4-bromo-2-trifluoromethoxybenzaldehyde in the presence of NaBH(OAc)3 and AcOH in CH2Cl2, and treatment of the product with 4N HCl in EtOAc afforded 34% cis-V.2HCl which showed IC50 of 6 nM against MCH receptor.
IT **510733-93-4P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of quinazoline-2,4-diamines as MCH receptor antagonists)
RN 510733-93-4 CAPLUS
CN 4-Piperidinemethanamine, 1-[[4-bromo-2-(trifluoromethoxy)phenyl]acetyl]-N-[4-(dimethylamino)-2-quinazolinyl]-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

L13 ANSWER 3 OF 7 CAPLUS COPYRIGHT 2005 ACS on STN
AN 2003:97394 CAPLUS
DN 138:153541
TI Preparation of N-(1,5-naphthyridin-4-yl)piperidine-4-carboxamide derivatives as antibacterial agents
IN Davies, David Thomas; Jones, Graham Elgin; Markwell, Roger Edward; Pearson, Neil David
PA Smithkline Beecham PLC, UK
SO PCT Int. Appl., 97 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2003010138	A2	20030206	WO 2002-EP8319	20020725
	WO 2003010138	A3	20031204		
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW				
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	EP 1419155	A2	20040519	EP 2002-764786	20020725
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK				
	US 2004198756	A1	20041007	US 2004-484563	20040524
PRAI	GB 2001-18238	A	20010726		
	WO 2002-EP8319	W	20020725		

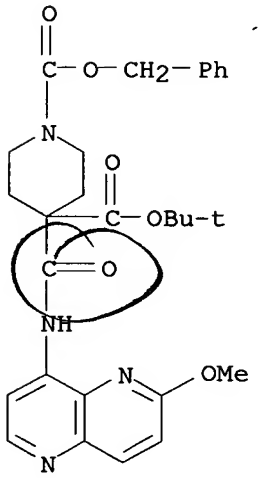
OS MARPAT 138:153541
AB The title piperidine derivs. [I; one of Z1-Z5 is N, one is CR1a and the remainder are CH, or one or two of Z1-Z5 are independently CR1 a and the remainder are CH; R1, R1a = H, HO, C1-6 alkoxy optionally substituted by (un)substituted C1-6 alkoxy, amino, piperidyl, guanidino or amidino, C1-6 alkoxy-C1-6 alkyl, halo, C1-6 alkyl, C1-6 alkylthio, CF3, CF3O, etc.; R3 = CO2H, C1-6 alkoxycarbonyl, (un)substituted CONH2, cyano, tetrazolyl, (un)substituted 2-oxooxazolidinyl, 3-hydroxy-3-cyclobutene-1,2-dione-4-yl, 2,4-thiazolidinedione-5-yl, tetrazol-5-ylaminocarbonyl, (un)substituted 1,2,4-triazol-5-yl, 5-oxo-1,2,4-oxadiazol-3-yl, (un)substituted C1-4 alkyl or ethenyl, halogen, C1-6 alkylthio, CF3, C1-6 alkoxycarbonyl, C1-6 alkylcarbonyl, C2-6 alkenyloxycarbonyl, C2-6 alkenylcarbonyl, (un)substituted OH or NH2, etc.; R31 is in the 2- or 3-position and is hydrogen or a group listed above for R3, provided that R31 in the 2-position is not optionally substituted hydroxy, amino, trifluoromethyl or halogen; R4 = CH2R51, U-V-R52 (wherein R51 = C4-8 alkyl, hydroxy-C4-8 alkyl, C1-4 alkoxy-C4-8 alkyl, etc.; U = CO, SO2, CH2 and V = (un)substituted CH2; or U = CH2 and V = CO, (un)substituted C(:NOH), SO2; R52 = (un)substituted bicyclic carbocyclic or heterocyclic ring); n = 0,1; AB = (un)substituted NHCO, CONH, COCH2, CH2CO, OCH2, CH2O, NHCH2, CH2NH, NHSO2, CH2 SO2, CH2CH2] and pharmaceutically acceptable derivs. thereof are prepared These compds. are useful in methods of treatment of bacterial infections in mammals, particularly man. Thus, 0.10 g 4-(6-methoxy-[1,5]naphthyridin-4-ylcarbamoyl)-4-methylpiperidine and 0.095 g 2-(3-Oxo-3,4-dihydro-2H-benzo[1,4]thiazin-6-yl)ethyl methanesulfonate

were stirred with 138 mg K2CO3 in 2 mL DMF at room temperature for 3 days to give 4-methyl-1-[2-(3-oxo-3,4-dihydro-2H-benzo[1,4]thiazin-6-yl)ethyl]piperidine-4-carboxylic acid (6-methoxy-[1,5]naphthyridin-4-yl)amide (II). II oxalate showed min. inhibitory concentration of ≤4 μg/mL against Staphylococcus aureus Oxford, S. aureus WCUH29, S. pneumoniae 1629, S. pneumoniae N1387, S. pneumoniae ERY 2, Enterococcus faecalis I, E. faecalis 7, Haemophilus influenzae Q1, H. influenzae NEMC1, Moraxella catarrhalis 1502, and Escherichia coli 7623.

IT **495414-76-1P 495414-90-9P 495414-91-0P,** 4-Hydroxymethyl-4-(6-methoxy-[1,5]naphthyridin-4-ylcarbamoyl)piperidine-1-carboxylic acid benzyl ester
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate; preparation of N-(1,5-naphthyridin-4-yl)piperidine-4-carboxamide derivs. as antibacterial agents)

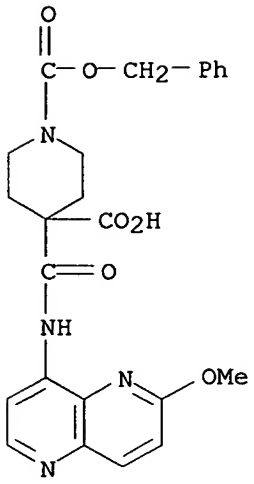
RN 495414-76-1 CAPLUS

CN 1,4-Piperidinedicarboxylic acid, 4-[[(6-methoxy-1,5-naphthyridin-4-yl)amino]carbonyl]-, 4-(1,1-dimethylethyl) 1-(phenylmethyl) ester (9CI) (CA INDEX NAME)

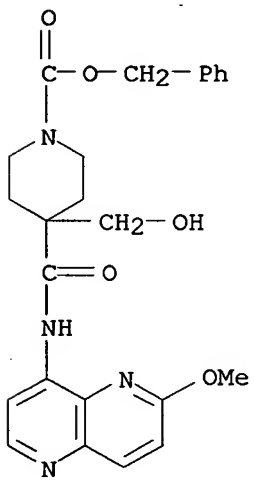


RN 495414-90-9 CAPLUS

CN 1,4-Piperidinedicarboxylic acid, 4-[[(6-methoxy-1,5-naphthyridin-4-yl)amino]carbonyl]-, 1-(phenylmethyl) ester (9CI) (CA INDEX NAME)



RN 495414-91-0 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-(hydroxymethyl)-4-[[(6-methoxy-1,5-naphthyridin-4-yl)amino]carbonyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)



L13 ANSWER 4 OF 7 CAPLUS COPYRIGHT 2005 ACS on STN
AN 2002:964146 CAPLUS
DN 138:39187
TI Preparation of piperidinecarboxylates and related compounds as NMDA NR2B receptor antagonists for the treatment or prevention of migraine.
IN Allen, Christopher; Koblan, Ken S.; Sleeth, Timothy
PA Merck & Co., Inc., USA
SO PCT Int. Appl., 185 pp.
CODEN: PIXXD2
DT Patent
LA English

Common Assignee

FAN.CNT 1

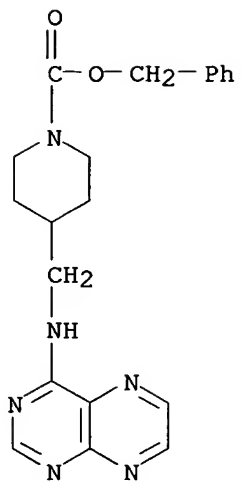
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2002100352	A2	20021219	WO 2002-US21069	20020607
	WO 2002100352	A3	20030327		
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW				
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	EP 1399160	A2	20040324	EP 2002-744807	20020607
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
	JP 2004537526	T2	20041216	JP 2003-503178	20020607
	US 2004204341	A1	20041014	US 2003-479923	20031205
PRAI	US 2001-297672P	P	20010612		
	WO 2002-US21069	W	20020607		

No BP

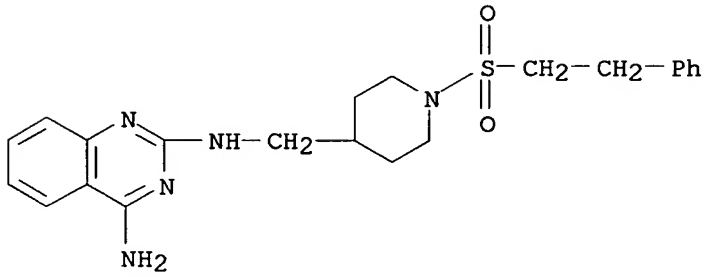
AB A method for treating or preventing migraines comprises administration of an NR2B receptor antagonist (no data). The invention also encompasses the combination of an NR2B antagonist with a cyclooxygenase-2 selective inhibitor, a calcitonin gene-related peptide receptor (CGRP) ligand, a leukotriene receptor antagonist, or a 5HT1B/1D agonist for the treatment or prevention of migraines. Thus, 4-hydroxybenzoic acid, 1-hydroxybenzotriazole hydrate, benzyl 4-(aminomethyl)piperidine-1-carboxylate (preparation given), and Et3N in DMF were treated with 1-ethyl-3-(3-dimethylaminopropyl)carbodiimide hydrochloride and the mixture allowed to stir at room temperature for 18 h to give 4-[(4-hydroxybenzoylamino)methyl]piperidine-1-carboxylic acid benzyl ester.

IT **455265-96-0P 455266-09-8P 478552-69-1P,** 4-(Quinolin-2-ylaminomethyl)piperidine-1-carboxylic acid benzyl ester
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of piperidinecarboxylates and related compds. as NR2B receptor antagonists for the treatment or prevention of migraine)

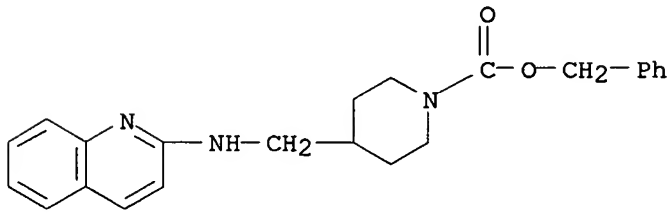
RN 455265-96-0 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[(4-pteridinylamino)methyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)



RN 455266-09-8 CAPLUS
CN 4-Piperidinemethanamine, N-(4-amino-2-quinazolinyl)-1-[(2-phenylethyl)sulfonyl]- (9CI) (CA INDEX NAME)



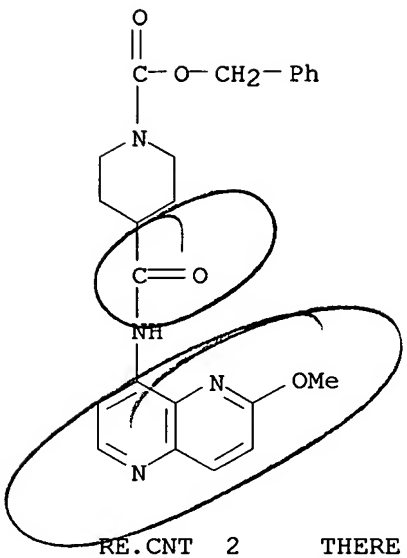
RN 478552-69-1 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[(2-quinolinylamino)methyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)



L13 ANSWER 5 OF 7 CAPLUS COPYRIGHT 2005 ACS on STN
AN 2002:927429 CAPLUS
DN 138:14011
TI Preparation of bicyclic nitrogen-containing heterocyclic derivatives for use as antibacterials
IN Dartois, Catherine Genevieve Yvette; Markwell, Roger Edward; Madler, Guy Marguerite Marie Gerard; Pearson, Neil David
PA Smithkline Beecham P.L.C., UK
SO PCT Int. Appl., 71 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2002096907	A1	20021205	WO 2002-EP5709	20020524
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
EP 1392686	A1	20040303	EP 2002-774022	20020524
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
JP 2004534780	T2	20041118	JP 2003-500086	20020524
US 2004198755	A1	20041007	US 2004-477900	20040524
PRAI GB 2001-12836	A	20010525		
WO 2002-EP5709	W	20020524		

OS MARPAT 138:14011
AB Piperidine derivs. and pharmaceutically acceptable derivs. [I; wherein one of Z1, Z2, Z3, Z4, Z5 = N, one is CR2 (wherein R2 = H, OH, (C1-C6)alkoxy, etc.) and the remainder are CH, or one of Z1, Z2, Z3, Z4, Z5 = CR2 and the remainder are CH; R3 = H, carboxy, (C1-C6)alkoxycarbonyl, aminocarbonyl, cyano, tetrazolyl, etc.; R4 = U-V-R5, wherein U-V = (CH2)2, CH2CH(OH), CH2CO, and R5 is a (substituted) bicyclic carbocyclic or heterocyclic ring system] were prepared For example, II was prepared by a multistep synthetic procedure. The prepared compds. are useful in the treatment of bacterial infections in mammals, particularly man. For example, compound II had MIC values ≤4 μg/mL against S. aureus Oxford.
IT **477787-64-7P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of bicyclic nitrogen-containing heterocyclic derivs. for use as antibacterials)
RN 477787-64-7 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[[(6-methoxy-1,5-naphthyridin-4-yl)amino]carbonyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)



RE.CNT 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L13 ANSWER 6 OF 7 CAPLUS COPYRIGHT 2005 ACS on STN
AN 2002:676010 CAPLUS
DN 137:216875
TI Preparation of N-acyl-4-(heterocyclylaminomethyl)piperidines as NMDA/NR2B antagonists
IN Claiborne, Christopher F.; Butcher, John W.; Claremon, David A.; Libby, Brian E.; Liverton, Nigel J.; Munson, Peter M.; Nguyen, Kevin T.; Phillips, Brian; Thompson, Wayne; McCauley, John A.
PA Merck & Co., Inc., USA
SO PCT Int. Appl., 208 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2002068409	A1	20020906	WO 2002-US5226	20020220
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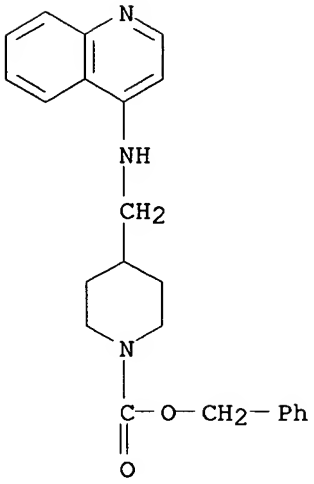
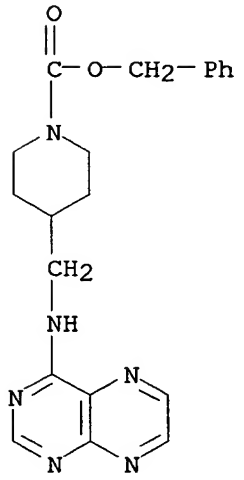
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	CA 2438895	AA	20020906	CA 2002-2438895	20020220
	US 2002165241	A1	20021107	US 2002-79452	20020220
	EE 200300403	A	20031215	EE 2003-403	20020220
	EP 1379520	A1	20040114	EP 2002-721105	20020220
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
	BR 2002007526	A	20040309	BR 2002-7526	20020220
	JP 2004524314	T2	20040812	JP 2002-567923	20020220
	US 2004209889	A1	20041021	US 2003-470561	20030729
	NO 2003003732	A	20031022	NO 2003-3732	20030822
PRAI	US 2001-271100P	P	20010223		
	WO 2002-US5226	W	20020220		

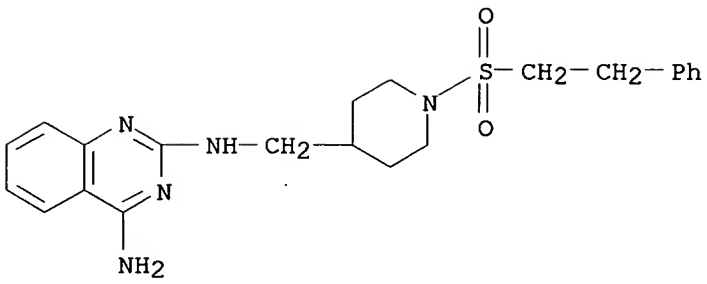
OS MARPAT 137:216875
AB BQ1(X)ANHQ2 [Q1 = 5-7 membered N-containing nonarom. ring, azabicyclooctyl; Q2 = 5-6 membered (substituted) heteroaryl ring; A = alkylene; B = Ar(CH2)0-3O2C, Ar(CH2)0-3SO2, etc.; Ar = (substituted) aryl, heteroaryl; X = H, OH, F, alkyl, alkoxy, NH2, O], were prepared Thus, 1-[(benzyloxy)carbonyl]-4-piperidinecarboxylic acid, 4-aminopyridine, EDC, and HOAt were kept 4 h in DMF to give the amide, which was reduced with BH3.THF to give benzyl 4-[(4-pyridylamino)methyl]-1-piperidinecarboxylate. Title compds. showed IC50's of <50 μM for inhibition of NR1A/2B NMDA receptor activation.
IT **455265-29-9P 455265-96-0P 455266-09-8P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(claimed compound; preparation of N-acyl-4-(heterocyclylaminomethyl)piperidines as NMDA/NR2B antagonists)
RN 455265-29-9 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[(4-quinolinylamino)methyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)



RN 455265-96-0 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[(4-pteridinylamino)methyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)



RN 455266-09-8 CAPLUS
CN 4-Piperidinemethanamine, N-(4-amino-2-quinazolinyl)-1-[(2-phenylethyl)sulfonyl]- (9CI) (CA INDEX NAME)

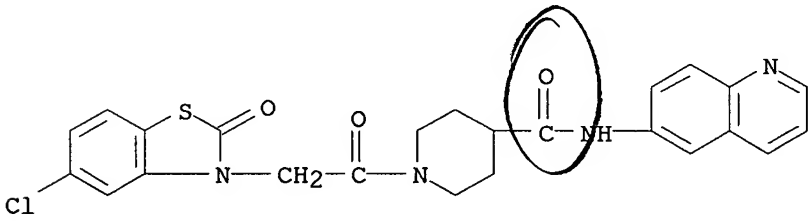


RE.CNT 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L13 ANSWER 7 OF 7 CAPLUS COPYRIGHT 2005 ACS on STN
AN 2001:372159 CAPLUS
DN 134:366868
TI Preparation of benzothiazolines as neuropeptide Y receptor antagonists
IN Sato, Yoshiya; Itani, Hiromichi; Tabuchi, Seiichiro; Sakata, Yoshihiko; Ohashi, Hiroko
PA Fujisawa Pharmaceutical Co., Ltd., Japan
SO Jpn. Kokai Tokkyo Koho, 88 pp.
CODEN: JKXXAF
DT Patent
LA Japanese
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI JP 2001139574	A2	20010522	JP 2000-296175	20000928
PRAI AU 1999-3093	A	19990928		

OS MARPAT 134:366868
AB The title compds. I [R1 = H, halo; W = S, O; A = (CH2)n, etc.; n = 1 - 6; Z = (un)substituted N-containing heterocyclic ring] are prepared 1-[(5-Chloro-2-oxobenzothiazolin-3-yl)acetyl]piperidine-4-carboxylic acid 4-benzoylanilide showed IC100 of 10-7 M in a neuropeptide Y5 receptor binding assay.
IT **340179-00-2P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of benzothiazolines as neuropeptide Y receptor antagonists)
RN 340179-00-2 CAPLUS
CN 4-Piperidinecarboxamide, 1-[(5-chloro-2-oxo-3(2H)-benzothiazolyl)acetyl]-N-6-quinolinyl- (9CI) (CA INDEX NAME)



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     FILE 'REGISTRY' ENTERED AT 15:31:40 ON 21 JAN 2005
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L2              0 S L1 SSS SAM
L3                STRUCTURE UPLOADED
L4              0 S L3 SSS SAM
L5                STRUCTURE UPLOADED
L6              0 S L5 SSS SAM
L7                SCREEN 1840
L8                SCREEN 2016 OR  2039 OR  2040 OR  2045 OR  2047
L9                STRUCTURE UPLOADED
L10               QUE L9 AND L7 NOT L8
L11             0 S L10 SSS SAM
L12            11 S L10 SSS FUL

     FILE 'CAPLUS' ENTERED AT 15:45:10 ON 21 JAN 2005
L13             7 S L12

     FILE 'CAOLD' ENTERED AT 15:45:42 ON 21 JAN 2005

=> s l12
L14           0 L12

=> log y
COST IN U.S. DOLLARS                            SINCE FILE      TOTAL
                                                   ENTRY    SESSION
FULL ESTIMATED COST                                 0.43     206.46

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)      SINCE FILE      TOTAL
                                                   ENTRY    SESSION
CA SUBSCRIBER PRICE                                 0.00      -5.11

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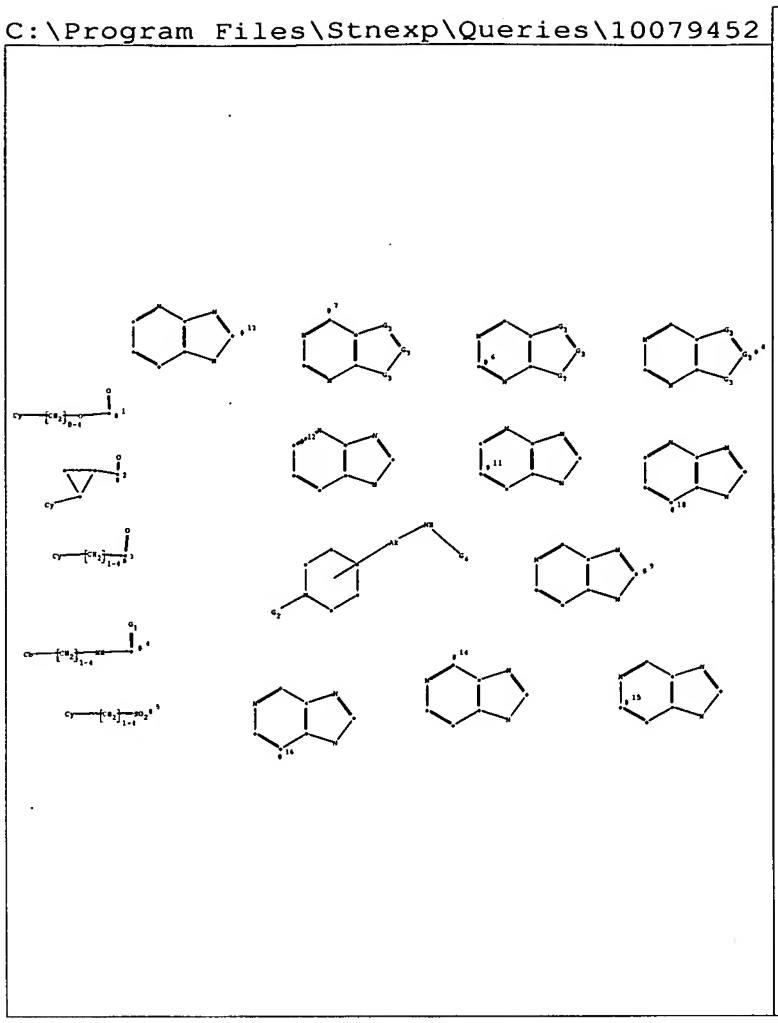

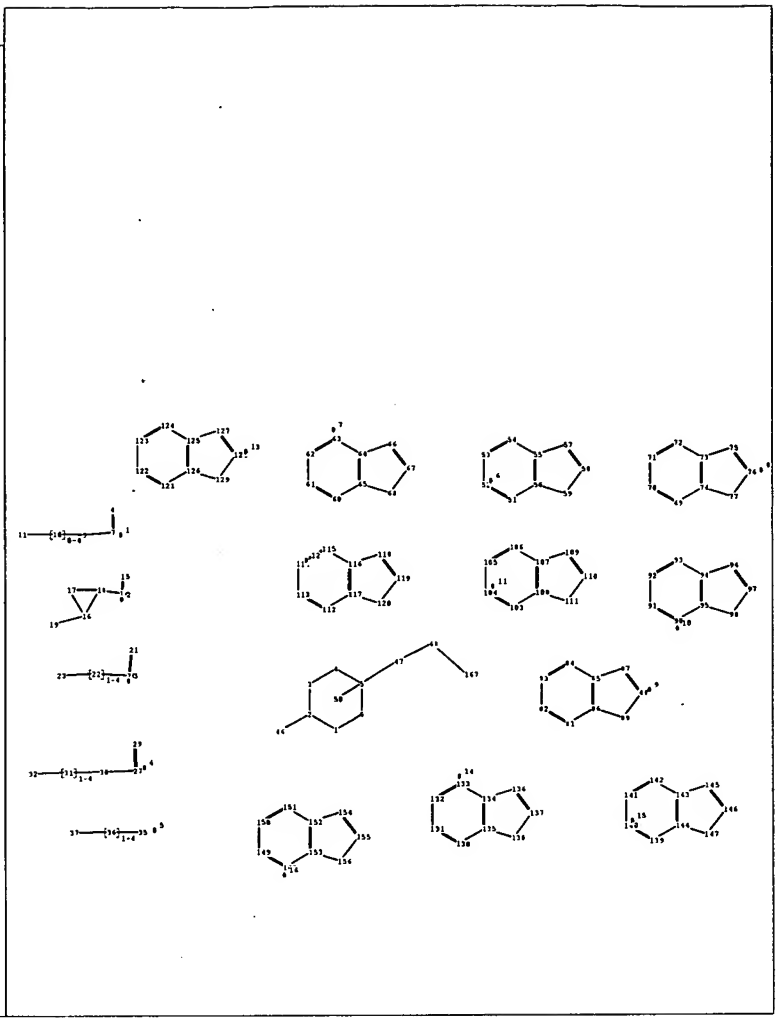

chain nodes :
7 8 9 10 11 14 15 19 20 21 22 23 27 29 30 31 32 35 36 37
46 47 48 167
ring nodes :
1 2 3 4 5 6 16 17 18 51 52 53 54 55 56 57 58 59 60 61
62 63 64 65 66 67 68 69 70 71 72 73 74 75 76 77 81 82 83
84 85 86 87 88 89 90 91 92 93 94 95 96 97 98 103 104 105
106 107 108 109 110 111 112 113 114 115 116 117 118 119 120
121 122 123 124 125 126 127 128 129 130 131 132 133 134 135
136 137 138 139 140 141 142 143 144 145 146 147 148 149 150
151 152 153 154 155 156
chain bonds :
2-46 7-8 7-9 9-10 10-11 14-15 14-18 16-19 20-21 20-22 22-23
27-29 27-30 30-31 31-32 35-36 36-37 47-48 48-167
ring bonds :
1-2 1-6 2-3 3-4 4-5 5-6 16-17 16-18 17-18 51-52 51-56 52-53
53-54 54-55 55-56 55-57 56-59 57-58 58-59 60-61 60-65 61-62 62-63
63-64 64-65 64-66 65-68 66-67 67-68 69-70 69-74 70-71 71-72 72-73
73-74 73-75 74-77 75-76 76-77 81-82 81-86 82-83 83-84 84-85 85-86
85-87 86-89 87-88 88-89 90-91 90-95 91-92 92-93 93-94 94-95 94-96
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143-145 144-147 145-146 146-147 148-149 148-153 149-150 150-151
151-152 152-153 152-154 153-156 154-155 155-156
exact/norm bonds :
1-2 1-6 2-3 2-46 3-4 4-5 5-6 7-8 7-9 9-10 10-11 14-15 14-18
16-17 16-18 16-19 17-18 20-21 20-22 22-23 27-29 27-30 30-31 31-32
35-36 36-37 47-48 48-167 55-57 56-59 57-58 58-59 64-66 65-68
66-67 67-68 73-75 74-77 75-76 76-77 85-87 86-89 87-88 88-89 94-96
95-98 96-97 97-98 107-109 108-111 109-110 110-111 116-118 117-120
118-119

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    154-155  155-156
normalized bonds :
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    104-105  105-106  106-107  107-108  112-113  112-117  113-114  114-115
    115-116  116-117  121-122  121-126  122-123  123-124  124-125  125-126
    130-131  130-135  131-132  132-133  133-134  134-135  139-140  139-144
    140-141  141-142  142-143  143-144  148-149  148-153  149-150  150-151
    151-152  152-153
isolated ring systems :
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G1:O,N

G2:[*1],[*2],[*3],[*4],[*5]

G3:C,N

G4:[*6],[*7],[*8],[*9],[*10],[*11],[*12],[*13],[*14],[*15],[*16]

Match level :
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    31:CLASS  32:Atom  35:CLASS  36:CLASS  37:Atom  46:CLASS  47:CLASS
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    Saturation              : Unsaturated

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=> screen 2016 OR  2039 OR  2040 OR  2045 OR  2047

L2     SCREEN CREATED

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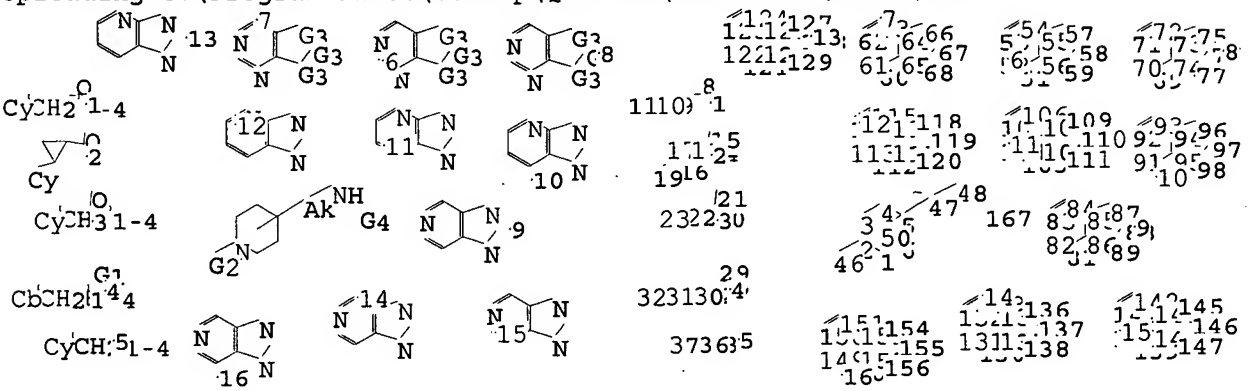

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 48  167
ring nodes :
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ring bonds :
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1-2 1-6 2-3 2-46 3-4 4-5 5-6 7-8 7-9 9-10 10-11 14-15 14-18 16-17
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148-153 149-150 150-151 151-152 152-153
isolated ring systems :
containing 1 : 16 : 51 : 60 : 69 : 81 : 90 : 103 : 112 : 121 : 130 : 139 : 148 :

G1:O,N

G2:[*1],[*2],[*3],[*4],[*5]

G3:C,N

G4:[*6],[*7],[*8],[*9],[*10],[*11],[*12],[*13],[*14],[*15],[*16]

Match level :
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21:CLASS 22:CLASS 23:Atom 27:CLASS 29:CLASS 30:CLASS 31:CLASS 32:Atom
35:CLASS 36:CLASS 37:Atom 46:CLASS 47:CLASS 48:CLASS 50:CLASS 51:Atom
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120:Atom 121:Atom 122:Atom 123:Atom 124:Atom 125:Atom 126:Atom 127:Atom
128:Atom 129:Atom 130:Atom 131:Atom 132:Atom 133:Atom 134:Atom 135:Atom
136:Atom 137:Atom 138:Atom 139:Atom 140:Atom 141:Atom 142:Atom 143:Atom
144:Atom 145:Atom 146:Atom 147:Atom 148:Atom 149:Atom 150:Atom 151:Atom
152:Atom 153:Atom 154:Atom 155:Atom 156:Atom 167:CLASS
Generic attributes :
11:

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Saturation              : Unsaturated
19:
Saturation              : Unsaturated
23:
Saturation              : Unsaturated
32:
Saturation              : Unsaturated
37:
Saturation              : Unsaturated

Element Count :
Node 47: Limited
    C,C1-5



L3      STRUCTURE UPLOADED

=> que L3 AND L1 NOT L2

L4   QUE L3 AND L1 NOT L2

=> d l4
L4 HAS NO ANSWERS
L1              SCR 1840
L2              SCR 2016 OR 2039 OR 2040 OR 2045 OR 2047
L3              STR

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY -  AVAILABLE VIA OFFLINE PRINT *

Structure attributes must be viewed using STN Express query preparation.
L4              QUE  L3 AND L1 NOT L2


=> s l4 sss sam
SAMPLE SEARCH INITIATED 15:59:37 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED -   1354 TO ITERATE

 73.9% PROCESSED    1000 ITERATIONS                                0 ANSWERS
INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS:  ONLINE  **COMPLETE**
                        BATCH   **COMPLETE**
PROJECTED ITERATIONS:        24873 TO    29287
PROJECTED ANSWERS:               0 TO        0

L5            0 SEA SSS SAM L3 AND L1 NOT L2

=> s l4 sss ful
FULL SEARCH INITIATED 15:59:45 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED -  26225 TO ITERATE

100.0% PROCESSED   26225 ITERATIONS                               8 ANSWERS
SEARCH TIME: 00.00.02

L6            8 SEA SSS FUL L3 AND L1 NOT L2
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=> file caplus
COST IN U.S. DOLLARS                                SINCE FILE      TOTAL
                                                       ENTRY    SESSION
FULL ESTIMATED COST                                   161.76     161.97

FILE 'CAPLUS' ENTERED AT 15:59:53 ON 21 JAN 2005
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.
COPYRIGHT (C) 2005 AMERICAN CHEMICAL SOCIETY (ACS)
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FILE COVERS 1907 - 21 Jan 2005  VOL 142 ISS 5
FILE LAST UPDATED: 20 Jan 2005  (20050120/ED)

  This file contains CAS Registry Numbers for easy and accurate
  substance identification.


=> => d his

     (FILE 'HOME' ENTERED AT 15:58:41 ON 21 JAN 2005)

     FILE 'REGISTRY' ENTERED AT 15:58:45 ON 21 JAN 2005
L1               SCREEN 1840
L2               SCREEN 2016 OR  2039 OR  2040 OR  2045 OR  2047
L3               STRUCTURE UPLOADED
L4               QUE L3 AND L1 NOT L2
L5             0 S L4 SSS SAM
L6             8 S L4 SSS FUL

     FILE 'CAPLUS' ENTERED AT 15:59:53 ON 21 JAN 2005

=> s l6
L7             5 L6

=> d l7 1-5 bib,ab,hitstr
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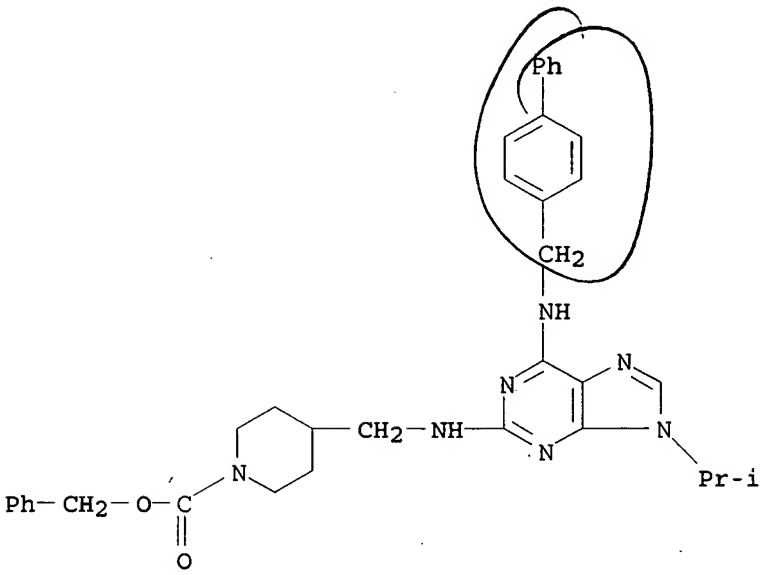
L7 ANSWER 1 OF 5 CAPLUS COPYRIGHT 2005 ACS on STN
AN 2003:221651 CAPLUS
DN 138:238196
TI Preparation of biarylmethylaminopurines as potent cyclin/CDK inhibitors and antiproliferative agents.
IN Trova, Michael Peter
PA Albany Molecular Research, Inc., USA
SO PCT Int. Appl., 275 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2003022805	A2	20030320	WO 2002-US28730	20020909
WO 2003022805	A3	20040122		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 2003092909	A1	20030515	US 2002-237530	20020906
US 6812232	B2	20041102		
PRAI US 2001-318569P	P	20010911		

OS MARPAT 138:238196
AB Title compds. [I; R1 = H, alkyl, alkenyl, cycloalkyl, CH2CF3, CH2CH2CF3, CH(CF3)2; R2 = (substituted) Ph, naphthyl, pyridyl, pyrimidyl, thienyl, furyl, pyrrolyl, quinolinyl, isoquinolinyl, etc.; R3 = H, alkyl, alkenyl, (substituted) Ph, phenylalkyl, etc.; R4 = H, alkyl; R3R4 = atoms to form a 5-8 membered ring; R5 = heterocycle; A = CH2, (CH2)2, (CH2)3, OCH2CH2, CHCH3; Y = H, OR1, NHR1, NHCOR3, NHSO2R3, etc.; Q = (CH2)n; n = 0-3; V = NH, O, S, CH2], were prepared Thus, title compound II was prepared and inhibited growth of BT-579, MCF7, and numerous other transformed cell lines with GI50 < 0.01 μM.
IT **441055-93-2P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of biarylmethylaminopurines as potent cyclin/CDK inhibitors and antiproliferative agents)
RN 441055-93-2 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[[[6-[([1,1'-biphenyl]-4-ylmethyl)amino]-9-(1-methylethyl)-9H-purin-2-yl]amino]methyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)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Pr-i
Ph—CH2—O—C
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L7 ANSWER 2 OF 5 CAPLUS COPYRIGHT 2005 ACS on STN
AN 2003:221467 CAPLUS
DN 138:255243
TI Preparation of biarylmethylaminopurines as potent cyclin/CDK inhibitors and antiproliferative agents
IN Trova, Michael Peter
PA Albany Molecular Research, Inc., USA
SO PCT Int. Appl., 266 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2003022219	A2	20030320	WO 2002-US28731	20020909
	WO 2003022219	A3	20031113		
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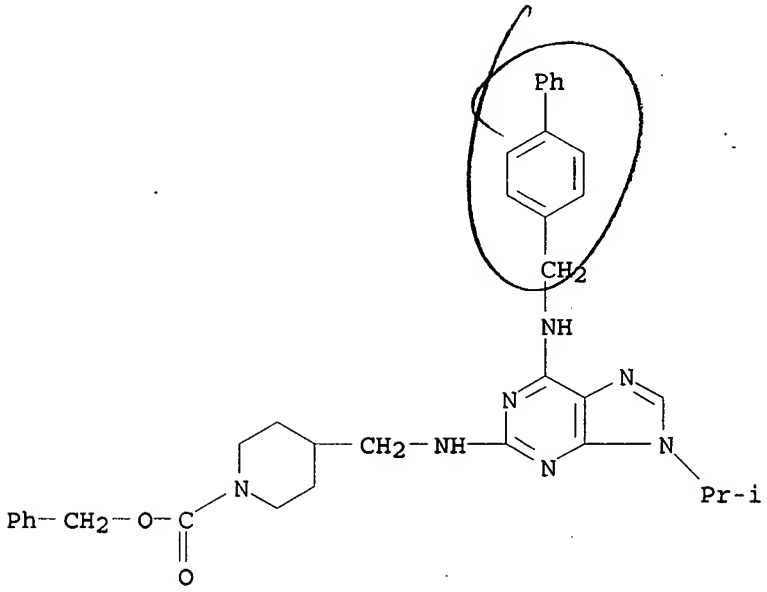
	US 2003087906	A1	20030508	US 2001-950543	20010911
	US 6667311	B2	20031223		
	US 2004077666	A1	20040422	US 2003-680832	20031007
PRAI	US 2001-950543	A	20010911		

OS MARPAT 138:255243
AB The compds. I of the present invention are 2,6,9-trisubstituted purine derivs. which are inhibitors of cyclin/CDK complexes. Title compds. I [R1 = H, alkyl, alkenyl, cycloalkyl, CH2CF3, CH2CH2CF3, CH(CF3)2; R2 = (substituted) Ph, naphthyl, pyridyl, pyrimidyl, thienyl, furyl, pyrrolyl, quinolinyl, isoquinolinyl, etc.; R3 = H, alkyl, alkenyl, (substituted) Ph, phenylalkyl, etc.; R4 = H, alkyl; R3R4 = form a 5-8 membered ring; R5 = heterocycle; A = CH2, (CH2)2, (CH2)3, OCH2CH2, CHCH3; Y = H, OR1, NHR1, NHCOR3, NHSO2R3, etc.; Q = (CH2)n; n = 0-3; V = NH, O, S, CH2], were prepared Thus, title compound II was prepared and inhibited growth of BT-579, MCF7, and numerous other transformed cell lines with GI50 < 0.01 μM. The compds. of the current invention also are potent inhibitors of human cellular proliferation. As such, the compds. of the present invention constitute pharmaceutical compns. with a pharmaceutically acceptable carrier. Such compds. are useful in treating a disorder mediated by elevated levels of cell proliferation in a mammal compared to a healthy mammal by administering to such mammal an effective amount of the compound
IT **441055-93-2P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of biarylmethylaminopurines as potent cyclin/CDK inhibitors and antiproliferative agents)
RN 441055-93-2 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[[[6-[([1,1'-biphenyl]-4-ylmethyl)amino]-9-(1-methylethyl)-9H-purin-2-yl]amino]methyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)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NH
N
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CH2 NH
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Pr-i
Ph CH2 O C
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L7 ANSWER 3 OF 5 CAPLUS COPYRIGHT 2005 ACS on STN
AN 2002:964146 CAPLUS
DN 138:39187
TI Preparation of piperidinecarboxylates and related compounds as NMDA NR2B receptor antagonists for the treatment or prevention of migraine.
IN Allen, Christopher; Koblan, Ken S.; Sleeth, Timothy
PA Merck & Co., Inc., USA
SO PCT Int. Appl., 185 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2002100352	A2	20021219	WO 2002-US21069	20020607
	WO 2002100352	A3	20030327		
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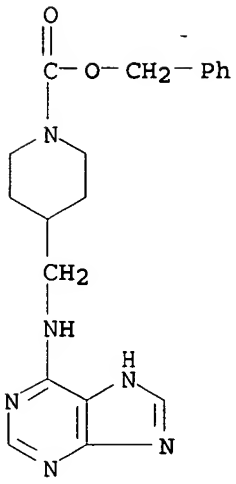
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	EP 1399160	A2	20040324	EP 2002-744807	20020607
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
	JP 2004537526	T2	20041216	JP 2003-503178	20020607
	US 2004204341	A1	20041014	US 2003-479923	20031205
PRAI	US 2001-297672P	P	20010612		
	WO 2002-US21069	W	20020607		

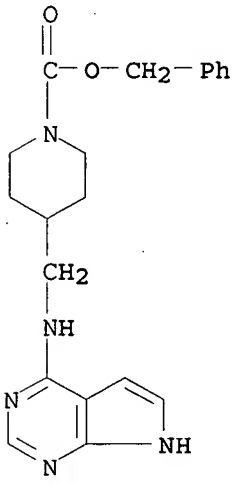
AB A method for treating or preventing migraines comprises administration of an NR2B receptor antagonist (no data). The invention also encompasses the combination of an NR2B antagonist with a cyclooxygenase-2 selective inhibitor, a calcitonin gene-related peptide receptor (CGRP) ligand, a leukotriene receptor antagonist, or a 5HT1B/1D agonist for the treatment or prevention of migraines. Thus, 4-hydroxybenzoic acid, 1-hydroxybenzotriazole hydrate, benzyl 4-(aminomethyl)piperidine-1-carboxylate (preparation given), and Et3N in DMF were treated with 1-ethyl-3-(3-dimethylaminopropyl)carbodiimide hydrochloride and the mixture allowed to stir at room temperature for 18 h to give 4-[(4-hydroxybenzoylamino)methyl]piperidine-1-carboxylic acid benzyl ester.

IT **455265-23-3P 455265-87-9P 455265-92-6P**
455266-10-1P 455266-16-7P 455266-18-9P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of piperidinecarboxylates and related compds. as NR2B receptor antagonists for the treatment or prevention of migraine)

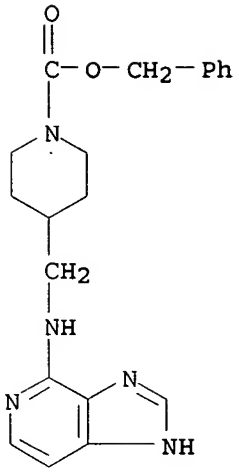
RN 455265-23-3 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[(1H-purin-6-ylamino)methyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)



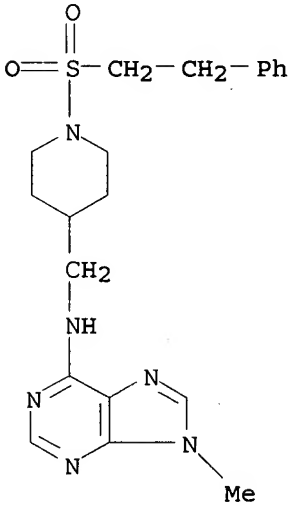
RN 455265-87-9 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[(1H-pyrrolo[2,3-d]pyrimidin-4-ylamino)methyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)



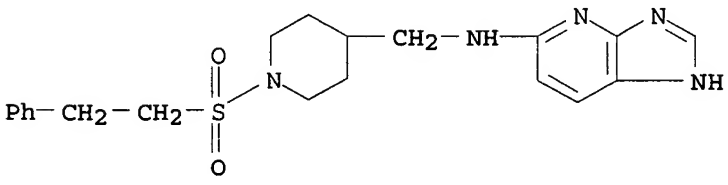
RN 455265-92-6 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[(1H-imidazo[4,5-c]pyridin-4-ylamino)methyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)



RN 455266-10-1 CAPLUS
CN 4-Piperidinemethanamine, N-(9-methyl-9H-purin-6-yl)-1-[(2-phenylethyl)sulfonyl]- (9CI) (CA INDEX NAME)

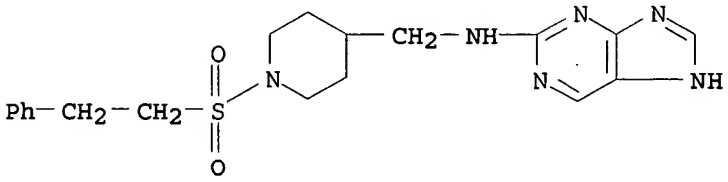


RN 455266-16-7 CAPLUS
CN 4-Piperidinemethanamine, N-1H-imidazo[4,5-b]pyridin-5-yl-1-[(2-phenylethyl)sulfonyl]- (9CI) (CA INDEX NAME)



RN 455266-18-9 CAPLUS

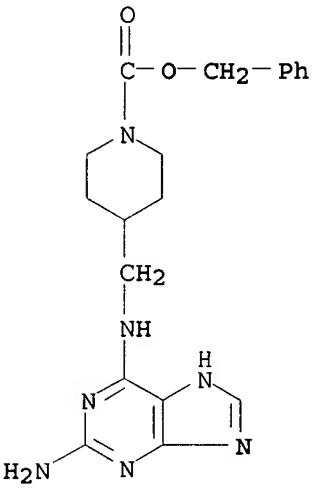
CN 4-Piperidinemethanamine, 1-[(2-phenylethyl)sulfonyl]-N-1H-purin-2-yl- (9CI) (CA INDEX NAME)



IT **455265-50-6P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of piperidinecarboxylates and related compds. as NR2B receptor antagonists for the treatment or prevention of migraine)

RN 455265-50-6 CAPLUS

CN 1-Piperidinecarboxylic acid, 4-[[(2-amino-1H-purin-6-yl)amino]methyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)



L7 ANSWER 4 OF 5 CAPLUS COPYRIGHT 2005 ACS on STN
AN 2002:676010 CAPLUS
DN 137:216875
TI Preparation of N-acyl-4-(heterocyclylaminomethyl)piperidines as NMDA/NR2B antagonists
IN Claiborne, Christopher F.; Butcher, John W.; Claremon, David A.; Libby, Brian E.; Liverton, Nigel J.; Munson, Peter M.; Nguyen, Kevin T.; Phillips, Brian; Thompson, Wayne; McCauley, John A.
PA Merck & Co., Inc., USA
SO PCT Int. Appl., 208 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2002068409	A1	20020906	WO 2002-US5226	20020220
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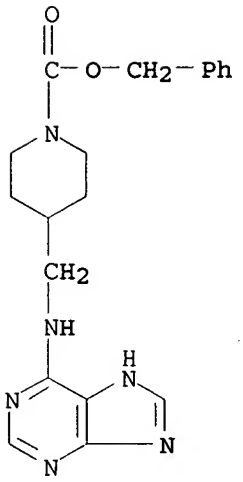
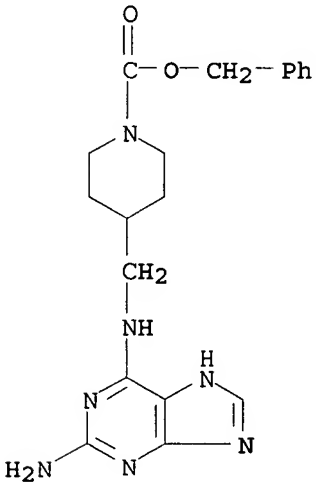
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2438895	AA	20020906	CA 2002-2438895	20020220
US 2002165241	A1	20021107	US 2002-79452	20020220
EE 200300403	A	20031215	EE 2003-403	20020220
EP 1379520	A1	20040114	EP 2002-721105	20020220
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
BR 2002007526	A	20040309	BR 2002-7526	20020220
JP 2004524314	T2	20040812	JP 2002-567923	20020220
US 2004209889	A1	20041021	US 2003-470561	20030729
NO 2003003732	A	20031022	NO 2003-3732	20030822
PRAI US 2001-271100P	P	20010223		
WO 2002-US5226	W	20020220		

OS MARPAT 137:216875
AB BQ1(X)ANHQ2 [Q1 = 5-7 membered N-containing nonarom. ring, azabicyclooctyl; Q2 = 5-6 membered (substituted) heteroaryl ring; A = alkylene; B = Ar(CH2)0-3O2C, Ar(CH2)0-3SO2, etc.; Ar = (substituted) aryl, heteroaryl; X = H, OH, F, alkyl, alkoxy, NH2, O], were prepared Thus, 1-[(benzyloxy)carbonyl]-4-piperidinecarboxylic acid, 4-aminopyridine, EDC, and HOAt were kept 4 h in DMF to give the amide, which was reduced with BH3.THF to give benzyl 4-[(4-pyridylamino)methyl]-1-piperidinecarboxylate. Title compds. showed IC50's of <50 μM for inhibition of NR1A/2B NMDA receptor activation.
IT **455265-23-3P 455265-50-6P 455265-87-9P 455265-92-6P 455266-10-1P 455266-16-7P 455266-18-9P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(claimed compound; preparation of N-acyl-4-(heterocyclylaminomethyl)piperidines as NMDA/NR2B antagonists)
RN 455265-23-3 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[(1H-purin-6-ylamino)methyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)



RN 455265-50-6 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[[(2-amino-1H-purin-6-yl)amino]methyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)



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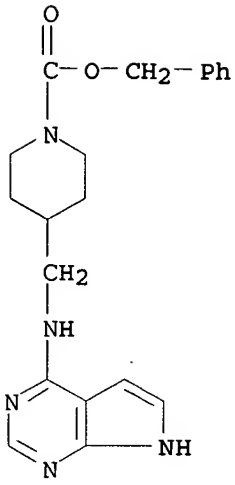
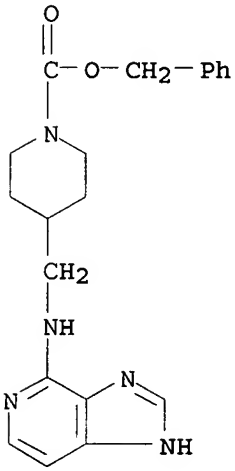
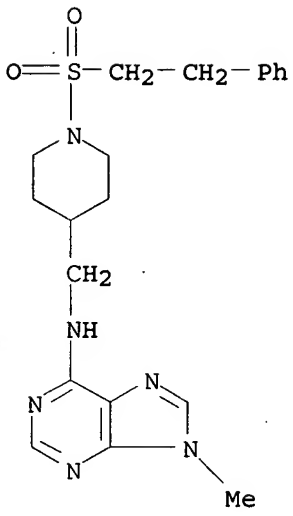


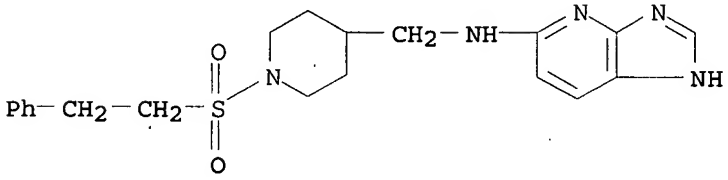
RN 455265-92-6 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[(1H-imidazo[4,5-c]pyridin-4-ylamino)methyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)



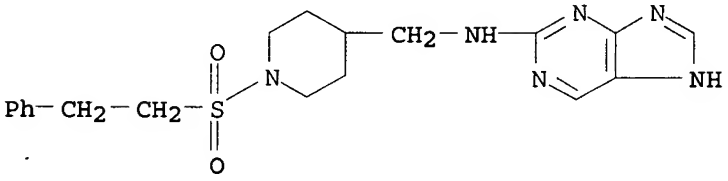
RN 455266-10-1 CAPLUS
CN 4-Piperidinemethanamine, N-(9-methyl-9H-purin-6-yl)-1-[(2-phenylethyl)sulfonyl]- (9CI) (CA INDEX NAME)



RN 455266-16-7 CAPLUS
CN 4-Piperidinemethanamine, N-1H-imidazo[4,5-b]pyridin-5-yl-1-[(2-phenylethyl)sulfonyl]- (9CI) (CA INDEX NAME)



RN 455266-18-9 CAPLUS
CN 4-Piperidinemethanamine, 1-[(2-phenylethyl)sulfonyl]-N-1H-purin-2-yl- (9CI) (CA INDEX NAME)

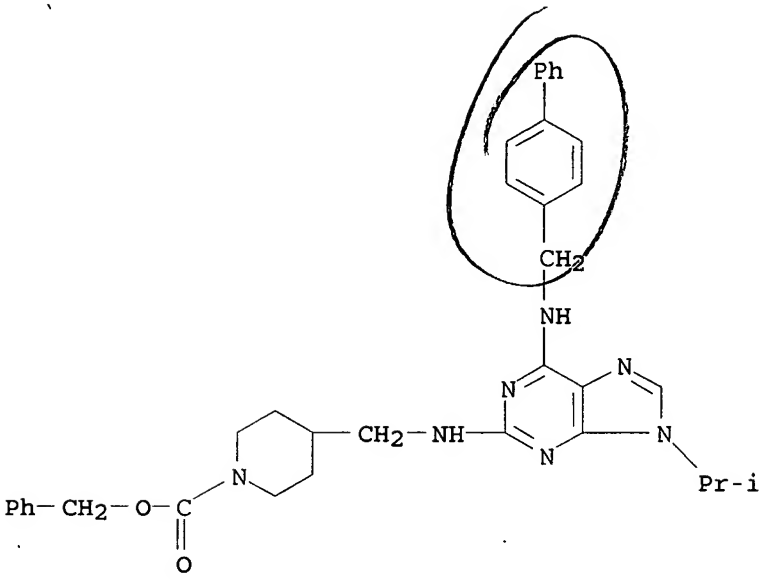


RE.CNT 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 5 OF 5 CAPLUS COPYRIGHT 2005 ACS on STN
AN 2002:522682 CAPLUS
DN 137:78811
TI Preparation of 2,6,9-trisubstituted purine derivatives for therapeutic use as potent antiproliferative agents
IN Trova, Michael Peter
PA USA
SO U.S. Pat. Appl. Publ., 150 pp., Cont.-in-part of U.S. Ser. No. 493,790.
CODEN: USXXCO
DT Patent
LA English
FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 2002091263	A1	20020711	US 2001-950549	20010911
	US 2003125342	A1	20030703	US 2000-493790	20000128
	US 6627633	B2	20030930		
	WO 2003022216	A2	20030320	WO 2002-US28634	20020909
	WO 2003022216	A3	20031211		
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VC, VN, YU, ZA, ZM, ZW				
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	US 2004063727	A1	20040401	US 2003-640154	20030813
PRAI	US 1999-124829P	P	19990317		
	US 2000-493790	A2	20000128		
	US 2001-950549	A	20010911		

OS MARPAT 137:78811
AB 2,6,9-Trisubstituted purine derivs., such as I [R = -VCH(R3)(CH2)nCH(R4)Y; R1 = H, alkyl, alkenyl, cycloalkyl, etc.; R2 = aryl, heteroaryl; R3 = H, alkyl, alkenyl, phenylalkyl, etc.; R4 = H, alkyl; R3(CH2)nR4 = 5-8 membered carbocyclic or heterocyclic ring; A = CH2, CH2CH2, CH2CH2CH2, OCH2CH2, CH(Me), etc.; V = NH, O, S, CH2; X = N, CH; Y = H, alkyloxy, amino, acylamino, sulfonylamino, etc.; n = 0-3] which are inhibitors of cyclin/cdk complexes, were prepared for pharmaceutical use as antitumor agents. Thus, substituted purine II was prepared via a series of synthetic steps which included 6-amination of 2,6-dichloropurine with 4-I-C6H4CH2NH2.HCl, 9-N-alkylation of the resulting purine with Me2CHI, 2-amination of the resulting purine with trans-1,4-cyclohexanediamine and, finally, aromatic coupling of the 4-iodobenzyl moiety with 3-thiophene boronic acid. The prepared purines were assayed for cyclin/cdk inhibition and for growth inhibition of HeLa as well as a number of other cancer cell lines.
IT **441055-93-2P**
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(preparation of 2,6,9-trisubstituted purine derivs. for therapeutic use as potent antiproliferative agents)
RN 441055-93-2 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[[[6-[([1,1'-biphenyl]-4-ylmethyl)amino]-9-(1-methylethyl)-9H-purin-2-yl]amino]methyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)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N
CH2 NH
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Pr-i
Ph CH2 O C
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